

=> d his

(FILE 'HOME' ENTERED AT 09:35:14 ON 01 NOV 2007)

FILE 'REGISTRY' ENTERED AT 09:35:34 ON 01 NOV 2007

L1 STRUCTURE UPLOADED

L2 17 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 09:36:03 ON 01 NOV 2007

L3 1283 S L2

L4 113 S L3 AND PREP/RL

L5 68 S L3 AND (CRYST? OR POLYMORPH? OR XRD OR "X-RAY" OR "X RAY" OR

L6 162 S L4 OR L5

L7 1 S US200!-524478/APPS

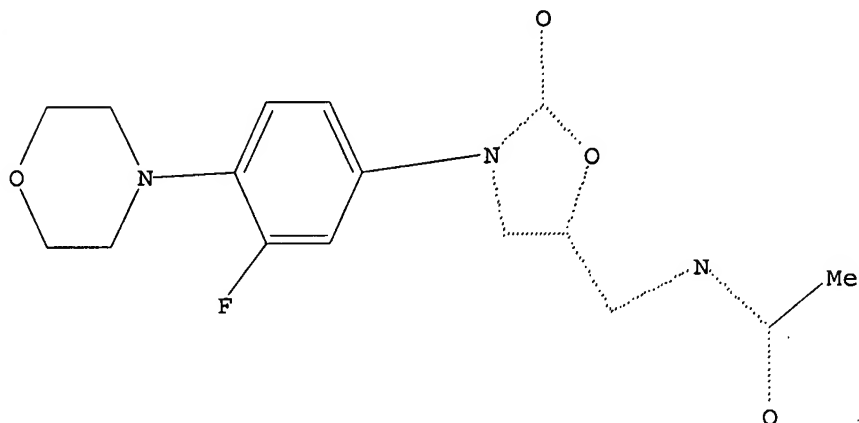
L8 161 S L6 NOT L7

FILE 'REGISTRY' ENTERED AT 09:37:45 ON 01 NOV 2007

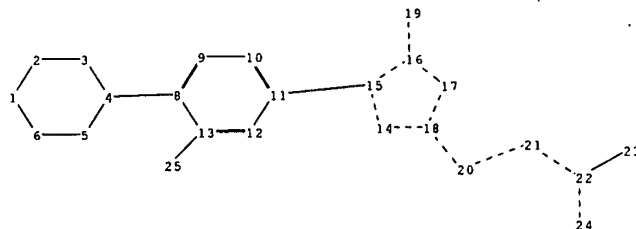
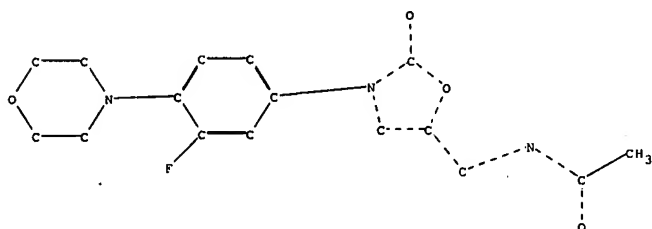
=> d l1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.



chain nodes :

19 20 21 22 23 24 25

ring nodes :

1 2 3 4 5 6 8 9 10 11 12 13 14 15 16 17 18

chain bonds :

4-8 11-15 13-25 16-19 18-20 20-21 21-22 22-23 22-24

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-13 9-10 10-11 11-12 12-13 14-15 14-18 15-16  
16-17 17-18

exact/norm bonds :

1-2 1-6 2-3 3-4 4-5 4-8 5-6 11-15 13-25 14-15 14-18 15-16 16-17 16-19  
17-18 18-20 20-21 21-22 22-23 22-24

normalized bonds :

8-9 8-13 9-10 10-11 11-12 12-13

isolated ring systems :

containing 1 : 8 : 14 :

Connectivity :

2:2 E exact RC ring/chain 3:2 E exact RC ring/chain 5:2 E exact RC ring/chain  
6:2 E exact RC ring/chain

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 8:Atom 9:Atom 10:Atom 11:Atom  
12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:CLASS 20:CLASS  
21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS

L7 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN  
 AN 2005:347014 CAPLUS  
 DN 142:397757  
 TI Preparation of a crystalline form of linezolid  
 IN Mohan Rao, Dodda; Krishna Reddy, Pingili  
 PA Symed Labs Limited, India  
 SO PCT Int. Appl., 14 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

|      | PATENT NO.     | KIND | DATE     | APPLICATION NO.  | DATE         |  |
|------|----------------|------|----------|--|--------------|--|
| PI   | WO 2005035530  | A1   | 20050421 | WO 2003-IN336  | 20031016     |  |
|      | W:             |      |          | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |              |  |
|      | RW:            |      |          | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |              |  |
|      | AU 2003278592  | A1   | 20050427 | AU 2003-278592   | 20031016     |  |
|      | IN 2003CN01638 | A    | 20051125 | IN 2003-CN1638   | 20031016     |  |
|      | EP 1673370     | A1   | 20060628 | EP 2003-769887   | 20031016     |  |
|      | R:             |      |          | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, SK   |              |  |
|      | US 2006128703  | A1   | 20060615 | US 2005-524478   | 20050211 <-- |  |
| PRAI | WO 2003-IN336  | A    | 20031016 |  |              |  |

AB The present invention relates to a novel crystalline form of linezolid, to processes for its preparation and to a pharmaceutical composition containing it.

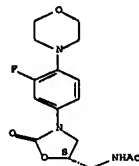
Linezolid was heated at 130-140° for 4 h to give the Form III.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

LS ANSWER 1 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN  
 AN 2007:1022990 CAPLUS [Full-text](#)  
 DN 147:130473  
 TI High oxazolidinone content solid dosage forms  
 IN Krishnan, Anandi  
 PA Glenmark Pharmaceuticals Limited, India  
 SO PCT Int. Appl., 24pp.  
 CODEN: PIXX02  
 DT Patent  
 LA English  
 FAN.CNT 1

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| PI WO 2007102082  | A1   | 20070913 | WO 2007-1B573   | 20070309 |
| M: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW   |      |          |                 |          |
| RM: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CP, CG, CI, CM, GA, GN, GQ, GM, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  |      |          |                 |          |
| PRAI IN 2006-MU346  | A    | 20060309 |                 |          |
| US 2006-019040P   | P    | 20060706 |                 |          |
| AB A high drug content oral solid dosage form is provided comprising about 50 mg to about 800 mg oxazolidinone or a pharmaceutically acceptable salt, hydrate or crystalline form thereof and a lactose-based water soluble excipient. A tablet contained Linezolid 600, lactose monohydrate (Pharmatose 200M) 58.4, mannitol (Pearlitol SD 200) 118.6, croscarmellose sodium (Ac D1 Sol) 29.4, CM-cellulose sodium 42.0, magnesium stearate 4.2, and Opadry Y -1-7000 16.8 mg. |      |          |                 |          |
| IT 165900-03-3, Linezolid   |      |          |                 |          |
| RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (high oxazolidinone content solid dosage forms)   |      |          |                 |          |
| RN 165900-03-3 CAPLUS   |      |          |                 |          |
| CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)  |      |          |                 |          |

Absolute stereochemistry. Rotation (-).



inhibition in oxazolidinone antibacterials

AU Renzio, Adam R.; Atuegbu, Andy; Herradura, Prudencio; Jaishankar, Priyadarshini; Ji, Mingshe; Leach, Karen L.; Huband, Michael D.; Dermeyer, Michael R.; Wu, Luping; Praasad, J. V. N. V.; Gordeev, Mikhail P.  
 Pfizer Global Research and Development, Fremont, CA, 94555, USA  
 SO Bioorganic & Medicinal Chemistry Letters (2007), 17(18), 5036-5040  
 CODEN: BMCL58; ISSN: 0960-894X  
 PB Elsevier Ltd.  
 DT Journal  
 LA English  
 AB Oxazolidinone analogs bearing substituted piperidine or azetidine C-rings are described. Analogs with a Me group at the 3-position of the azetidine ring or the 4-position of the piperidine ring exhibited reduced mitochondrial protein synthesis inhibition while retaining good antibacterial potency.

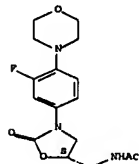
IT 165900-03-3, Linezolid

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (distal Me substituent attenuates mitochondrial protein synthesis inhibition in oxazolidinone antibacterials)

RN 165900-03-3 CAPLUS

CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

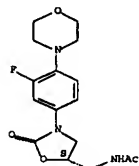
LS ANSWER 4 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN  
 AN 2007:062461 CAPLUS [Full-text](#)  
 DN 147:412810  
 TI Sulfenamides as prodrugs of NH-acidic compounds: A new prodrug option for the amide bond  
 AU Guarino, Victor R.; Karunaratne, Veranja; Stella, Valentino J.  
 CB Department of Pharmaceutical Chemistry, The University of Kansas, Lawrence, KS, 66047, USA  
 SO Bioorganic & Medicinal Chemistry Letters (2007), 17(17), 4910-4913  
 CODEN: BMCL58; ISSN: 0960-894X  
 PB Elsevier Ltd.  
 DT Journal  
 LA English  
 AB The objective of this report is to introduce the novel concept of utilizing sulfenamides as prodrugs for compds. containing an NH-acidic functionality,

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

LS ANSWER 2 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN  
 AN 2007:959469 CAPLUS [Full-text](#)  
 DN 147:377130  
 TI Non-aqueous titration method for determining content of 3,5-disubstituted oxazolidinone compounds  
 IN Wang, Ying; Zhu, Jin; Liu, Jinsong; Lu, Tao  
 PA Sichuan Beilike Biotechnology Co., Ltd., Peop. Rep. China  
 SO Faming Zhuanli Shenqing Gongkai Shuomingshu, 29pp.  
 CODEN: CNXSEV  
 DT Patent  
 LA Chinese  
 FAN.CNT 1

| PATENT NO.   | KIND | DATE     | APPLICATION NO.  | DATE     |
|--|------|----------|------------------|----------|
| PI CN 101021487  | A    | 20070822 | CN 2007-10088397 | 20070319 |
| PRAI CN 2007-10088397  |      | 20070319 |                  |          |
| AB The title method comprises dissolving 3,5-disubstituted oxazolidinone compds. 0.1-1 g in a mixture of glacial acetic acid (or acetic anhydride) and formic acid (in an amount of 0.5-100 times in weight of 3,5-disubstituted oxazolidinone compds.); adding crystal violet indicator solution 0.05-0.3 mL; titrating with 0.01-0.1 mol/L perchloric acid solution until the solution turns blue green color; and calculating the content of 3,5-disubstituted oxazolidinone compds. based on defined formula. The method is simple and accurate. |      |          |                  |          |
| IT 165900-03-3   |      |          |                  |          |
| RL: ANT (Analyte); ANST (Analytical study) (non-aqueous titration method for determination of disubstituted oxazolidinone compds.)   |      |          |                  |          |
| RN 165900-03-3 CAPLUS  |      |          |                  |          |
| CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)   |      |          |                  |          |

Absolute stereochemistry. Rotation (-).



LS ANSWER 3 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN  
 AN 2007:923502 CAPLUS [Full-text](#)  
 DN 147:356359  
 TI A distal methyl substituent attenuates mitochondrial protein synthesis

particularly weakly acidic amide-type functionalities (amides, ureas, carbamates, etc.). Included are the syntheses and physicochem. characterizations of some model sulfenamides to illustrate the promise of this new prodrug technol.

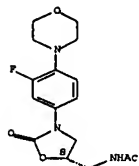
IT 165900-03-3DP, Linezolid, prodrugs 514815-11-3P  
 514815-12-4P

RL: PPP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (sulfenamides as prodrugs of NH-acidic compds.)

RN 165900-03-3 CAPLUS

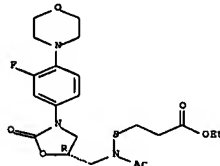
CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



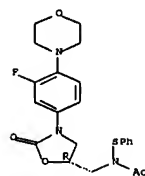
RN 514815-11-3 CAPLUS  
 CN Propanoic acid, 3-[[[acetyl[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]amino]thio]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 514815-12-4 CAPLUS  
 CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-N-(phenylthio)- (CA INDEX NAME)

Absolute stereochemistry.



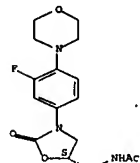
IT 165400-03-3

RL: RCT (Reactant); RACT (Reactant or reagent)  
(sulfenamides as prodrugs of NH-acidic compds.)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[(1S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 2007:810188 CAPLUS [Full-text](#)

DN 147:185884

TI Synthesis and SAR of novel conformationally restricted oxazolidinones possessing Gram-positive and fastidious Gram-negative antibacterial activity. Part 2: Amino substitutions on heterocyclic D-ring system

AU Choy, Allison L.; Prasad, J. V. N. Vara; Boyer, Frederick E.; Huband, Michael D.; Dermeyer, Michael R.

CS Pfizer Global Research and Development, Ann Arbor, MI, 48105, USA

SO Bioorganic &amp; Medicinal Chemistry Letters (2007), 17(16), 4699-4702

CODEN: BMCLEB; ISSN: 0960-894X

PB Elsevier Ltd.

DT Journal

DN 147:322870

TI Synthesis of substituted N-aryl-N'-sulfamoyloxazolidin-2-ones with potential antibacterial activity

AU Nassaib, Mounir; Abdaoui, Mohamed; Djahoudi, Abed el Ghani; Kadri, Mekki; Winum, Jean-Yves

CS Laboratoire de Chimie Appliquee, Universite 08 Mai 1945, Guelma, BP 401, Algeria

SO Recent Patents on Anti-Infective Drug Discovery (2007), 2(2), 131-139

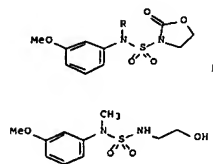
CODEN: RPADCK; ISSN: 1574-891X

PB Bentham Science Publishers Ltd.

DT Journal

LA English

GI



AB N-Aryl-N'-sulfamoyloxazolidin-2-ones, e.g., I (R = H, CH<sub>3</sub>), were synthesized starting from chlorosulfonyl isocyanate (CSI) by carbamoylation, sulfamoylation and intramol. cyclization reactions followed by methylation. N-Aryl-N-methyl-N'-sulfamoyl amino alcs., e.g., II, were prepared via decarboxylative heterocyclic reopening reaction of N-aryl-N-methyl-N'-sulfamoyloxazolidin-2-ones. This step is based on a new hydrolysis method using a solid support, which allows isolation of a new amino-alc. ester. Measurements of the hydro-solubility by determination partition coefficient (log p) in water/octanol system were carried out by spectrophotometry. The antibacterial activities in vitro of some synthesized compds. were evaluated on a "Staphylococcus aureus" strain in a Muller-Hinton medium, to show some good activity. All the synthesized compds. are characterized by IR, <sup>1</sup>H NMR and mass spectroscopy (ESI-MS).

IT 165800-03-5 CAPLUS

RL: PAC (Pharmacological activity); BIOL (Biological study)  
(preparation and antibacterial activity of aryl sulfamoyloxazolidinones via carbamoylation, sulfamoylation and intramol. cyclization of chlorosulfonyl isocyanate, bromoethanol and primary aromatic amines)

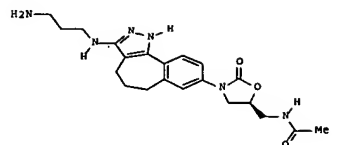
RN 165800-03-3 CAPLUS

CN Acetamide, N-[(1S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

LA English

GI



AB A series of conformationally restricted oxazolidinones, e.g., I, was synthesized, in which the pyrazole ring was substituted with various amino groups. Several analogs exhibited potent activity against both Gram-pos. and fastidious Gram-neg. organisms. Certain amino-substituted analogs also exhibited improved aqueous solubility compared to the corresponding unsubstituted pyrazole-ring analogs.

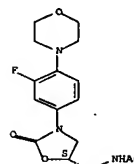
IT 165400-03-3

RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of pyrazole derivs. using heterocyclization of [(bromo)benzoesuberonyl](acetamino)oxazolidinone with thiosemicarbazides as key step, and their antibacterial activity and SAR)

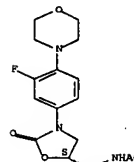
RN 165800-03-3 CAPLUS

CN Acetamide, N-[(1S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 6 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 2007:751279 CAPLUS [Full-text](#)RE.CNT 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 7 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 2007:618173 CAPLUS [Full-text](#)

DN 147:52884

TI Process for preparation of desfluoro linezolid as reference standard

IN Braude, Viviana; Finkelstein, Nina

PA Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.

SO PCT Int. Appl., 28pp.

CODEN: PIXXD2

DT Patent

LA English

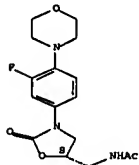
FAN.CNT 1

| PATENT NO.            | KIND   | DATE     | APPLICATION NO. | DATE     |
|-----------------------|--|----------|-----------------|----------|
| PI WO 2007064818      | A1   | 20070607 | WO 2006-US45886 | 20061201 |
| W:                    | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW |          |                 |          |
| RW:                   | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM   |          |                 |          |
| US 2007197529         | A1   | 20070823 | US 2006-607423  | 20061130 |
| PRAI US 2005-742026P  | P  | 20051201 |                 |          |
| OS CASREACT 147:52884 |  |          |                 |          |

AB The present invention pertains to a process for the preparation of desfluoro linezolid as a reference standard for quant. and qual. anal. of linezolid. For example, 1-fluoro-4-nitrobenzene was reacted with morpholine to give N-(4-nitrophenyl)morpholine, which was reduced to 4-(4-morpholinyl)aniline, and then reacted with benzyl chloroformate to obtain 4-(4-morpholinyl)-N-benzylloxycarbonylaniline as an intermediate. The intermediate above was reacted with (R)-glycidyl butyrate, then with methanesulfonyl chloride, and further with sodium azide to give 5-(azidomethyl)-3-[4-(4-morpholinyl)phenyl]-2-oxazolidinone. The azide intermediate was reduced and then reacted with acetic anhydride to obtain desfluoro linezolid as final product.

IT 165800-03-3, Linezolid  
 RL: ANT (Analyte); ANST (Analytical study)  
 (preparation of desfluoro linezolid as reference standard)  
 RN 165800-03-3 CAPLUS  
 CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

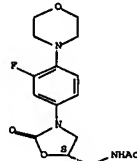


RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 8 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
 AN 2007:598749 CAPLUS Full-text  
 TI Vancomycin-resistant enterococci, Mexico City  
 AU Cuellar-Rodriguez, Jennifer; Galindo-Praga, Arturo; Guevara, Victor; Perez-Jimenez, Carolina; Espinosa-Aguilar, Luis; Rolon, Ana Lilia; Hernandez-Cruz, Araceli; Lopez-Jacome, Esau; Bobadilla-del-Valle, Miriam; Martinez-Gamboa, Areli; Ponce-de-Leon, Alfredo; Sifuentes-Osorio, Jose  
 CB Instituto Nacional de Ciencias Medicas y Nutricion Salvador Zubiran, Mexico City, Mex.  
 SO Emerging Infectious Diseases (2007), 13 (5), 798-799  
 CODEN: EIDIPA; ISSN: 1080-6040  
 PB National Center for Infectious Diseases, Centers for Disease Control and Prevention  
 DT Journal  
 LA English  
 AB A retrospective study was conducted to describe the isolates and the characteristics of patients with vancomycin-resistant *E. faecium* (VREF). All VREF isolates from May 2005 through Apr. 2006 were included. In the study period, VREF was isolated from 27 patients. The median age was 40 years (range 22-84 years). VREF was isolated from the abdomen in 14 patients (51.9%); 11 isolates were from an abscess, 2 from infected surgical sites, and 1 from abscesses. An addnl. 8 isolates were from the urinary tract (29.6%), 2 from the bloodstream (7.4%), 2 from soft-tissue (7.4%), and 1 from bone. Residence in the general medical wards during the isolation of VREF was most common, 17 (63%) cases, followed by 6 (22.2%) in the intensive care unit. PFGE anal. showed several genotypes of *E. faecium*; however, 18 of 26 of the isolates had ≤ 3 band differences from the predominant strain classified as type A. One isolate of *E. faecium* could not be typed. The rate of isolation of VREF at our hospital increased considerably during the last year. Even though the number of patients is small, this finding is considered to be of utmost importance, since VREF seems to be emerging in Mexico. This is the

first well-documented outbreak of high-level resistance to vancomycin enterococci in Mexico. Further research is needed to determine if the problem is limited to our hospital or if it is a nationwide trend.  
 IT 165800-03-3, Linezolid  
 RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (vancomycin resistant Enterococcus from patients in Mexico reveal increasing rate of vancomycin resistant *E. faecium* isolation and resistance to teicoplanin, ampicillin, ciprofloxacin, high level gentamycin, quinupristin/dalfopristin)  
 RN 165800-03-3 CAPLUS  
 CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

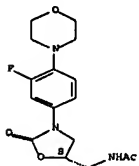


RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 9 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
 AN 2007:586415 CAPLUS Full-text  
 DN 147:180630  
 TI Severe lactic acidosis associated with linezolid use in a patient with the mitochondrial DNA A2706G polymorphism  
 AU Carson, John; Cerda, Jorge; Chae, Jong-Hee; Hirano, Michio; Magglore, Peter  
 CS Department of Pharmacy, St. Peter's Hospital, Albany, NY, USA  
 SO Pharmacotherapy (2007), 27(5), 771-774  
 CODEN: PHPYDQ; ISSN: 0277-0008  
 PB Pharmacotherapy Publications  
 DT Journal  
 LA English  
 AB Linezolid, an oxazolidinone antimicrobial, exerts its effect by binding to bacterial 23S rRNA, preventing the formation of the initiation complex. Its use is associated with reversible hyperlactatemia and lactic acidosis, and inhibition of mitochondrial protein synthesis may be the mechanism underlying this adverse effect. We describe a 35-yr-old woman who developed severe lactic acidosis after she received linezolid for 35 days to treat a disseminated infection with *Mycobacterium avium*-intracellular complex. This patient was found to have the mitochondrial DNA polymorphism A2706G, a variation previously suggested to predispose individuals to linezolid-associated lactic acidosis. In the future, increased understanding of the mitochondrial genome and its associated polymorphisms may allow us to identify

patients at risk for adverse effects that were previously classified as idiosyncratic.  
 IT 165800-03-3, Linezolid  
 RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (mitochondrial DNA polymorphism was associated with linezolid-induced severe lactic acidosis in patient)  
 RN 165800-03-3 CAPLUS  
 CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

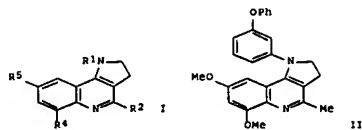


RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 10 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
 AN 2007:538400 CAPLUS Full-text  
 DN 146:531780  
 TI Preparation of pyrrolo[3,2-c]quinoline derivatives useful in preparation of medicaments for treatment and prevention of microbial infections by killing clinical latent microorganisms  
 IN Beck, Petra Helga; Brown, Marc Barry; Clark, David Edward; Coates, Anthony; Dyke, Hazel Joan; Hu, Yanning; Londebrough, Derek John; Mills, Keith; Pallin, Thomas David; Reid, Gary Patrick; Stoddart, Gerlinda  
 PA Helsperby Therapeutics Ltd., UK  
 SO VCT Int. Appl., 179pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1  

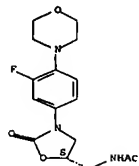
| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| PI WO 2007054693  | A1   | 20070518 | WO 2006-084178  | 20061108 |
| W: AB, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BE, CA, CH, CM, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MM, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW |      |          |                 |          |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,   |      |          |                 |          |

CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 PRAI GB 2005-22715 A 20051108  
 OS MARPAT 146:521780  
 GI



AB Title compds. represented by the formula I [wherein R1 = H, (cyclo)alkyl, amino, etc.; R2 = H, (cyclo)alkyl, alkenyl, etc.; R4 = H; R5 = phenoxy] were prepared. For example, II was provided in a multi-step synthesis starting from 2-acetyl-5-butyrolactone. The pharmaceutical formulations of I were presented, and I were found to possess activity in a log kill at 25, 10 or 5 µg/mL of test compound, of above 0.5 against stationary phase and/or persister bacteria of the types *E. coli*, *Enterococcus*, *Staph. aureus*, *Streptococcus* and *Mycobacterium tuberculosis*. Thus, I and their pharmaceutical compns. are useful as medicaments for killing clin. latent microorganisms for treating microbial infections.  
 IT 165800-03-3, Linezolid  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (preparation of pyrrolo[3,2-c]quinoline derivs. useful in preparation of medicaments for treatment and prevention of microbial infections by killing clin. latent microorganisms).  
 RN 165800-03-3 CAPLUS  
 CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

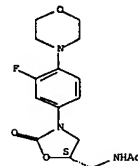
Absolute stereochemistry. Rotation (-).



RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 11 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
AN 2007:527102 CAPLUS [Full-text](#)  
DN 147:381353  
TI High frequencies of clindamycin and tetracycline resistance in methicillin-resistant Staphylococcus aureus pulsed-field type USA300 isolates collected at a Boston ambulatory health center  
AU Han, Linda L.; McDougal, Linda K.; Gorwitz, Rachel J.; Mayer, Kenneth H.; Patel, Jean B.; Sennott, Janet M.; Fontana, John L.  
CS Massachusetts Department of Public Health, State Laboratory Institute, Jamaica Plain, MA, 02130, USA  
SO Journal of Clinical Microbiology (2007), 45(4), 1350-1352  
CODEN: JCMIDW; ISSN: 0095-1137  
PB American Society for Microbiology  
DT Journal  
LA English  
AB Individual or multiple resistance to clindamycin, tetracycline, erythromycin, levofloxacin, or mupirocin was detected in a large proportion of methicillin-resistant Staphylococcus aureus pulsed-field type USA300 isolates collected at an ambulatory health center in Boston. The clindamycin, tetracycline, and mupirocin resistance genes identified in these isolates are commonly associated with plasmids.  
IT 165800-03-3, Linezolid  
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(clindamycin and tetracycline resistance in methicillin-resistant Staphylococcus aureus pulsed-field type USA300 isolates collected at a Boston ambulatory health center)  
RN 165800-03-3 CAPLUS  
CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

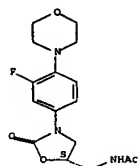
Absolute stereochemistry. Rotation (-).



RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

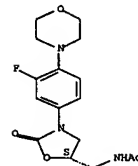
L8 ANSWER 12 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
AN 2007:508872 CAPLUS [Full-text](#)  
DN 146:487758  
TI Preparation of a crystalline antibiotic  
IN Jensen, Jan; Andersen, Niels Rastrup  
PA Leo Pharma A/S, Den.  
SO PCT Int. Appl., 54pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1  
PATENT NO. KIND DATE APPLICATION NO. DATE  
PI WO 2007051468 A2 20070510 WO 2006-DK600 20061030  
WO 2007051468 A3 20071004  
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MM, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW  
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA  
PRAI US 2005-731247P P 20051031  
AB The present invention relates to processes for the crystallization and for the preparation and isolation of a novel crystalline form of fusidic acid, to the use of the processes in the manufacture of pharmaceutical formulation or drug, and to the use of the crystalline fusidic acid form for the treatment of bacterial infections. Fusidic acid hemihydrate was prepared and characterized by spectral methods and x-ray crystallography.  
IT 165800-03-3  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(preparation of crystalline antibiotic substance)  
RN 165800-03-3 CAPLUS  
CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L8 ANSWER 13 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
AN 2007:504915 CAPLUS [Full-text](#)  
DN 146:468608  
TI Tablets of linezolid Form III and processes for their preparation  
AU Navale, Suryakant Vamanrao; Dabre, Rahul S.; Singla, Ajay Kumar; Vijan, Tarun  
PA India  
SO U.S. Pat. Appl. Publ., 7pp.  
CODEN: USXXCO  
DT Patent  
LA English  
FAN.CNT 1  
PATENT NO. KIND DATE APPLICATION NO. DATE  
PI US 2007104785 A1 20070510 US 2006-460825 20060728  
PRAI IN 2005-DE2018 A 20050729  
AB The present invention relates to solid oral dosage forms of linezolid polyamphiphilic Form III with reproducible dissoln. profile and processes for their preparation. The solid dosage form includes linezolid Form III, one or more of means to reduce the gelling tendency of linezolid form III, and one or more of pharmaceutically acceptable excipients.  
IT 165800-03-3, Linezolid  
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(preparation of tablets of linezolid Form III)  
RN 165800-03-3 CAPLUS  
CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

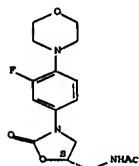


L8 ANSWER 14 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
AN 2007:498478 CAPLUS [Full-text](#)  
DN 147:132467  
TI A review of the current place of glycopeptides in Turkish medical practice  
AU Srdem, Hakan; Oncul, Oral  
CS Department of Infectious Diseases and Clinical Microbiology, Gulhane Medical Academy, Ankara, Turkey  
SO Current Therapeutic Research (2007), 68(1), 49-66  
CODEN: CTCSEA; ISSN: 0011-393X  
PB Excerpta Medica, Inc.  
DT Journal; General Review  
LA English  
AB A review. Background: Glycopeptide antibiotics are considered by many investigators to be the last resort in the treatment of gram-pos. bacterial infections. Objective: The aim of this review was to assess the place of glycopeptides in the treatment of common gram-pos. bacteria in accordance with the current epidemiol. data in Turkey. Methods: A search of both the English- and Turkish-language literature indexed on MEDLINE, Ulakbiss (Turkey), and Plekhaus (Turkey) was performed using the terms: vancomycin, telcoplanin, and glycopeptides, or their Turkish-language counterparts. The complete texts of the articles found in these databases were obtained from the electronic library of Gulhane Medical Academy, Ankara, Turkey. Articles from regional journals, without the support of an electronic format, were obtained by direct communication. Articles of interest were those based on studies occurring in Turkish populations, with special consideration given to publications in press after 2002. Results: Staphylococci were the most frequent gram-pos. pathogens encountered in Turkish hospitals. Studies have found that approx.74% of strains were Staphylococcus aureus and the remaining strains were coagulase-neg. staphylococci (CONS). Overall methicillin resistance in staphylococci was reported as approx.60%. In Turkey, S aureus was one of the most common infectious agents found inside hospitals and is deemed a growing threat in the community. While the rate of methicillin resistance in community-acquired isolates is approx.4%, the data from hospitals suggest that reduced resistance comprises most of the isolates. In the studies reviewed, older quinolones like ciprofloxacin and ofloxacin seem to be ineffective in nearly half of the S aureus isolates. Alternatives like rifampicin, gentamicin, tetracycline, trimethoprim/sulfamethoxazole (TMP/SMX), clindamycin, and erythromycin have had substantial resistance profiles in >50% of the strains. In recent Turkish studies, in vitro profiles of linezolid, quinupristin/dalfopristin (QD), and daptomycin have had pos. results. As in the S aureus isolates, resistance trends have been observed in the CONS group of pathogens. The possible use of  $\beta$ -lactams seems restricted, and alternative approaches have become necessary.

Quinolones, gentamicin, tetracycline, TMP/SMX, clindamycin, and erythromycin have resistance profiles of >50%. Although glycopeptide resistance was not detected, the frequency of heterogeneous vancomycin-intermediate *S. aureus*, a precursor to future resistance, was 13% in 1 study. Current studies in Turkey have found that *Enterococcus faecalis* comprises three quarters of enterococci while the rest are comprised of *Enterococcus faecium*. Initial studies performed with linezolid, OD, and daptomycin suggest that these drugs might be effective alternatives for future enterococcal infections that may have high glycopeptide resistance. Approx. 8% of the *Streptococcus pneumoniae* strains had high-level resistance in Turkey. However, 10 million units of crystallized penicillin or 3 g of oral amoxicillin maintains the optimum treatment of pneumococcal infections outside the central nervous system (CNS). Resistance profiles in third-generation cephalosporins in Turkey range between 2% and 2.5%. Conclusions: In Turkey, a review of the existing literature found that the current use of glycopeptides in pneumococcal infections is restricted to CNS infections facing therapeutic failure in due course. However, the belief that these drugs are the last resort, either in staphylococcal or enterococcal infections, is no longer valid. If a patient has a critical status due to probable gram-pos. microorganisms, clinicians should consider the empiric use of glycopeptides. However, new mols. such as linezolid, OD, and daptomycin, offered for use in the treatment of gram-pos. bacterial diseases, should be reserved for the future, when glycopeptides eventually become obsolete.

IT 155800-03-3, Linezolid  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(glycopeptides is no longer last resort for all pneumococcal, staphylococcal or enterococcal infection in Turkey and new agents such as linezolid, quinupristin/dalfopristin, daptomycin can be used to treat gram pos. bacterial infection)  
RN 155800-03-3 CAPLUS  
CN Acetamide, N-[[[(5S)-3-[[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

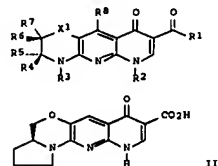
Absolute stereochemistry. Rotation (-).



RE.CNT 103 THERE ARE 103 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 15 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
AN 2007:439147 CAPLUS [Full-text](#)  
DN 146:441824  
TI Preparation of fused naphthyridinecarboxylic acids as antibacterial agents

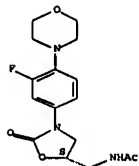
IN Hinman, Mira M.; Rosenberg, Teresa A.; Wagner, Rolf  
PA USA  
SO U.S. Pat. Appl. Publ., 30pp.  
CODEN: USXXCO  
DT Patent  
LA English  
FAN.CNT 1  
PATENT NO. KIND DATE APPLICATION NO. DATE  
PI US 2007088040 A1 20070419 US 2005-51909 20050204  
PRAI US 2004-542808P P 20040206  
OS MARPAT 146:441824  
OI



AB Title compds. I [wherein R1 = OH, alkoxy, NH2, etc.; R2 = H, tert-Bu, allyloxy, etc.; one of R4 and R5 is H, alkyl, alkylcarbonyl, etc., and the other links with R3 to form ring; R6 = H, alkyl, alkylcarbonyl, etc.; R6 and R7 together form -O-, R8 = H, OH, alkyl, etc.; X1 = O, S, NH, etc.], which are useful for treating bacterial infection in a fish or a mammal, were prepared. For instance, II was synthesized in multiple steps. Representative I inhibited bacterial protein synthesis with IC50 values in the range of about 1 μM to about 64 μM.

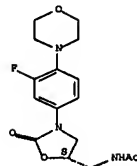
IT 155800-03-3, Linezolid  
RL: PAC (Pharmacological activity); BIOL (Biological study)  
(reference; preparation of fused naphthyridinecarboxylic acids as antibacterial agents)  
RN 155800-03-3 CAPLUS  
CN Acetamide, N-[[[(5S)-3-[[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



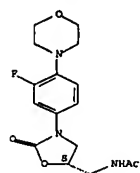
L8 ANSWER 16 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
AN 2007:391170 CAPLUS [Full-text](#)  
DN 147:308289  
TI Taste masked pharmaceutical compositions comprising a pH sensitive polymer providing enhanced bioavailability of polymorphic bitter drugs  
IN Kulkarni, Mohan Gopal Krishna; Menjoge, Anupa Ramesh  
PA Council of Scientific & Industrial Research, India  
SO Indian Pat. Appl., 53pp.  
CODEN: INXXBQ  
DT Patent  
LA English  
FAN.CNT 1  
PATENT NO. KIND DATE APPLICATION NO. DATE  
PI IN 2003DE01561 A 20051230 IN 2003-DE1561 20031215  
PRAI IN 2003-DE1561 20051215  
AB The present invention discloses a substantially amorphous pharmaceutical composition comprising a drug that can exist in a variety of polymorphic forms and a pH sensitive polymer, which inhibits the crystallization of the drug during formulation and reconstitution. Polymers of higher mol. weight are more effective at lower loading, especially when the drug polymer matrix is prepared by the solvent evaporation or solvent extraction technique. The comps. used as dry syrups maintain bioavailability of the drug and effectively mask the taste of the drug when the composition is reconstituted.  
IT 155800-03-3, Linezolid  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(taste masked pharmaceutical comps. comprising a pH sensitive polymer providing enhanced bioavailability of polymorphic bitter drugs)  
RN 155800-03-3 CAPLUS  
CN Acetamide, N-[[[(5S)-3-[[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

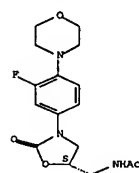


L8 ANSWER 17 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
AN 2007:259386 CAPLUS [Full-text](#)  
DN 146:302317  
TI A novel amorphous form of linezolid for dosage forms  
IN Mohan Rao, Dodda; Krishna Reddy, Pingili  
PA Syntex Labs Limited, India  
SO PCT Int. Appl., 9pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1  
PATENT NO. KIND DATE APPLICATION NO. DATE  
PI WO 2007026369 A1 20070308 WO 2005-IN249 20050829  
M: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, HD, IL, IN, IS, JP, KE, KG, KH, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, ME, MG, MK, MN, MW, MX, MY, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
IN 2005CN03162 A 20070831 IN 2005-CN3162 20051128  
PRAI WO 2005-IN249 W 20050829  
AB The present invention relates to a novel amorphous form of linezolid, to processes for its preparation and to a solid oral pharmaceutical composition containing it. For example, linezolid Form II (10 g) was dissolved in methanol (400 mL) at ambient temperature and then the solution was subjected to vacuum drying to give 9.5 g of amorphous linezolid.  
IT 155800-03-3, Linezolid  
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(preparation of stable amorphous linezolid from its crystal forms for solid oral dosage forms)  
RN 155800-03-3 CAPLUS  
CN Acetamide, N-[[[(5S)-3-[[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)



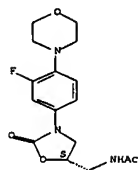
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 18 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2007:244366 CAPLUS Full-text  
DN 147:318113  
TI Staphylococcus haemolyticus endocarditis: Clinical and microbiologic analysis of 4 cases  
AU Falcone, Marco; Campanile, Floriana; Giannella, Maddalena; Borbone, Sonia; Stefani, Stefania; Venditti, Mario  
CS Department of Clinical Medicine, Policlinico Umberto I, University of Rome La Sapienza, Rome, 00185, Italy  
SO Diagnostic Microbiology and Infectious Disease (2007), 57(3), 325-331  
CODEN: DMIDDZ; ISSN: 0732-8593  
PB Elsevier Inc.  
DT Journal  
LA English  
AB Only 3 cases of infective endocarditis (IE) due to methicillin-resistant Staphylococcus haemolyticus (MRSH) have been reported in English literature. Here we report 4 cases of IE due to MRSH encountered in a single university hospital. Population anal. of the strains was performed to assess the presence of vancomycin/teicoplanin heteroresistant subpopulations. Pulsed-field gel electrophoresis was used for mol. typing of isolates. IE was defined in 3 cases as health care associated, and in 1 case, as community acquired. A causative strain was lost. Two strains were heteroresistant to teicoplanin, and 1 also to vancomycin. Genome macrorestriction profile studies demonstrated that 2 MRSH isolates belonged to clones A and E, possessing a class C1 mecDNA, whereas 1 clone was sporadic. All patients were treated with vancomycin plus rifampin. Two patients were cured with antibiotic therapy alone, 1 patient needed surgery, and 1 patient died. Methicillin-resistant multi-resistant S. haemolyticus may represent a difficult-to-treat cause of both community and nosocomially acquired IE.  
IT 165800-03-3, Linezolid  
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(clin. and microbiol. anal. of four cases of Staphylococcus haemolyticus endocarditis)  
RN 165800-03-3 CAPLUS  
CN Acetamide, N-[(5S)-3-[(3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)

RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

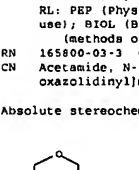
L8 ANSWER 19 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2007:182988 CAPLUS Full-text  
DN 146:507564  
TI Novel polymorphic forms of N-[(5S)-3-[(3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]acetamide and a process for their preparation  
IN Suresh, Thatipally; Rao, Siripragada Mahender; Narayana, Chennuru Lakshmi; Sarma, Mamillapalli Ramabhadra; Vyasa, Krishnamurthi; Reddy, Gaddam On Dr. Reddy's Research Foundation, India  
PA Indian Pat. Appl., 23pp.  
CODEN: INXBBQ  
DT Patent  
LA English  
FAN.CNT 1  
PATENT NO. KIND DATE APPLICATION NO. DATE  
PI IN 2001MA00519 A 20050304 IN 2001-MA519 20010626  
PRAI IN 2001-MA519 20010626  
AB This invention relates to novel polymorphic/pseudopolymorphic forms of N-[(5S)-3-[(3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]acetamide (I). The invention also relates to a pharmaceutical composition comprising the novel polymorphic form or their mixture and a carrier. I was prepared by the acetylation of 5(R)-(aminomethyl)-3-[(3-fluoro-4-(4-morpholinyl)phenyl]-2-oxazolidinone with acetic anhydride in  $\text{Me}_2\text{S}$ .  
IT 165800-03-3P, Linezolid  
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(polymorphic forms of (fluoromorpholinyl)phenyl)oxazolidinyl methylacetamide and process for their preparation)  
RN 165800-03-3 CAPLUS  
CN Acetamide, N-[(5S)-3-[(3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L8 ANSWER 20 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2007:90915 CAPLUS Full-text  
DN 146:149071  
TI Methods of formulating linezolid  
IN Tenengauzer, Ruth; Leibovici, Minutza; Solomon, Ben-Zion  
PA Israel  
SO U.S. Pat. Appl. Publ., 32pp.  
CODEN: USXXCO  
DT Patent  
LA English  
FAN.CNT 1  
PATENT NO. KIND DATE APPLICATION NO. DATE  
PI US 2007020329 A1 20070125 US 2006-233906 20060117  
EP 1749517 A1 20070207 EP 2006-250227 20060117  
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU  
WO 2007018588 A1 20070215 WO 2006-US1514 20060117  
M: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MM, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
PRAI US 2005-701438P 20050720

AB A method of formulating linezolid to provide a pharmaceutical composition comprising linezolid wherein the linezolid is linezolid Form IV substantially free of linezolid Form II, a solid pharmaceutical composition comprising linezolid Form IV substantially free of linezolid Form II and povidone, methods of treating a condition responsive to linezolid in a patient comprising administering to the patient a solid pharmaceutical composition comprising linezolid form IV substantially free of linezolid Form II, and methods of treating a condition responsive to linezolid in a patient comprising administering to the patient a solid pharmaceutical composition comprising linezolid form IV and povidone are disclosed.



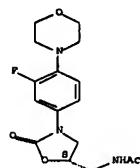
IT 165800-03-3, Linezolid  
RL: PRP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(methods of formulating linezolid form IV)  
RN 165800-03-3 CAPLUS  
CN Acetamide, N-[(5S)-3-[(3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L8 ANSWER 21 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2007:63362 CAPLUS Full-text  
DN 146:148845  
TI Process for the preparation of a crystalline form of (S)-N-[(3-[(3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]acetamide  
IN Kumar, Bobba Venkata Siva; Kulkarni, Pravin Bhalchandra; Patel, Girish Bansilal; Pradhan, Nitin Sharad Chandra  
PA Glenmark Pharmaceuticals Limited, India  
SO U.S. Pat. Appl. Publ., 8pp.  
CODEN: USXXCO  
DT Patent  
LA English  
FAN.CNT 1  
PATENT NO. KIND DATE APPLICATION NO. DATE  
PI US 2007015753 A1 20070118 US 2006-487766 20060717  
IN 2005MU00853 A 20070629 IN 2005-MU853 20050715  
PRAI IN 2005-MU853 A 20050715  
AB A process for preparing a crystalline form of linezolid is provided comprising (a) providing a solution comprising linezolid in an organic solvent having a b.p. of less than or equal to about 150°, (b) adding an anti-solvent having a b.p. greater than or equal to about 50° to the solution; and (c) recovering the crystalline form of linezolid. Thus, solution of linezolid 30 g in methylene chloride 300 mL was added to xylene and stirred for 20 to 25 min. Methylene chloride was distilled out of the solution in a rotary evaporator at a bath temperature of 60 °C to 70 °C. During distillation solids began to precipitate out. After completion of the distillation, the precipitated solids were isolated by filtration and dried to provide linezolid 25 g.  
IT 165800-03-3, Linezolid  
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

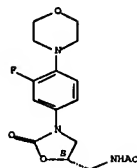
(process for preparation of a crystalline form of (S)-N  
[3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl] methyl]  
acetamide)  
RN 165800-03-3 CAPLUS  
CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-  
oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L8 ANSWER 22 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
AN 2007:13012 CAPLUS [Full-text](#)  
DN 146:317194  
TI Synthesis of rigidly-linked vancomycin dimers and their in vivo efficacy  
against resistant bacteria  
AU Lu, Jun; Yoshida, Osamu; Hayashi, Sayaka; Arimoto, Hirokazu  
CS Department of Chemistry, Graduate School of Science, Nagoya University,  
Chikusa, Nagoya, 464-8602, Japan  
SO Chemical Communications (Cambridge, United Kingdom) (2007), (3), 251-253  
CODEN: CHCOFS; ISSN: 1359-7345  
PB Royal Society of Chemistry  
DT Journal  
LA English  
OS CASREACT 146:317194  
AB An efficient avenue for the preparation of vancomycin dimers is described.  
The dimers exhibited excellent antibacterial activities in the murine  
infection model.  
IT 165800-03-3, Linezolid  
RL PAC (Pharmacological activity); BIOL (Biological study)  
(preparation and antibacterial activity of vancomycin dimers linked by  
phenoxazinone derivs.)  
RN 165800-03-3 CAPLUS  
CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-  
oxazolidinyl]methyl]- (CA INDEX NAME)

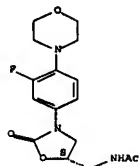
Absolute stereochemistry. Rotation (-).



RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

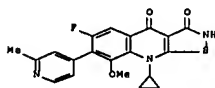
L8 ANSWER 23 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
AN 2006:1330281 CAPLUS [Full-text](#)  
TI Microwave-assisted heterogeneous cross-coupling reactions catalyzed by  
nickel-in-charcoal (Ni/C)  
AU Lipshutz, Bruce H.; Frieman, Bryan A.; Lee, Ching-Tien; Lower, Asher;  
Nihan, Danielle M.; Taft, Benjamin R.  
CS Department of Chemistry and Biochemistry, University of California, Santa  
Barbara, CA, 93106, USA  
SO Chemistry-An Asian Journal (2006), 1(3), 417-429  
CODEN: CAAJBI; ISSN: 1861-4728  
PB Wiley-VCH Verlag GmbH & Co. KGaA  
DT Journal  
LA English  
AB A study involving the relatively rate combination of heterogeneous catalysis  
conducted under microwave conditions is presented. Carbon-carbon bond  
formation, including Negishi and Suzuki couplings, can be quickly effected  
with aryl chloride partners by using a base metal (nickel) adsorbed in the  
pores of activated charcoal. Aminations were also studied, along with cross-  
couplings of vinylalanes with benzylic chlorides as a means to stereodefined  
allylated aroms. Reaction times for all these processes are typically reduced  
from several hours to minutes in a microwave reactor.  
IT INDEXING IN PROGRESS  
IT 165800-02-3P, Zyvox  
RL SPN (Synthetic preparation); PREP (Preparation)  
(microwave-assisted heterogeneous cross-coupling reactions catalyzed by  
nickel-in-charcoal (Ni/C))  
RN 165800-03-3 CAPLUS  
CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-  
oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 70 THERE ARE 70 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

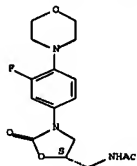
L8 ANSWER 24 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
AN 2006:1328980 CAPLUS [Full-text](#)  
DN 146:220121  
TI Isothiazoloquinolones with Enhanced Antistaphylococcal Activities against  
Multidrug-Resistant Strains: Effects of Structural Modifications at the  
6-, 7-, and 8-Positions  
AU Wang, Qilping; Lucien, Edlaine; Hashimoto, Akihiro; Pais, Godwin C. G.;  
Nelson, David M.; Song, Yongsheng; Thanassi, Jane A.; Marlor, Christopher  
W.; Thoma, Christy L.; Cheng, Jijun; Podos, Steven D.; Ou, Yangsi;  
Deshpande, Milind; Pucci, Michael J.; Buechter, Douglas D.; Bradbury,  
Barton J.; Wiles, Jason A.  
CS Achillion Pharmaceuticals Inc., New Haven, CT, 06511, USA  
SO Journal of Medicinal Chemistry (2007), 50(2), 199-210  
CODEN: JMCHAR; ISSN: 0022-2623  
PB American Chemical Society  
DT Journal  
LA English  
OS CASREACT 146:220121  
QT



AB We describe the biol. evaluation of isothiazoloquinolones (ITOs) having  
structural modifications at the 6-, 7-, and 8-positions. Addition of a  
methoxy substituent to C-8 effected an increase in antibacterial activity  
against methicillin-resistant Staphylococcus aureus (MRSA) and a decrease in  
cytotoxic activity against Hep3 cells. Removal of fluorine from C-6 or  
replacement of the C-8 carbon with a nitrogen compromised anti-MRSA activity.  
When the groups attached at C-7 were compared, the anti-MRSA activity  
decreased in the order 6-isothiazoloquinolone > 4-pyridinyl > 5-dihydroisindolyl >

6-tetrahydroisquinolinyl. The compound with the most desirable in vitro  
biol. profile was 9-cyclopropyl-6-fluoro-8-methoxy-7-(2-methylpyridin-4-yl)-  
9H-isothiazolo[5,4-b]quinoline-3,4-dione (7g) (I). This ITO demonstrated (i)  
strong in vitro anti-MRSA activity (MIC90 = 0.5 µg/mL), (ii) strong inhibitory  
activities against S. Aureus DNA gyrase and topoisomerase IV, with weak  
activity against human topoisomerase II, (iii) weak cytotoxic activities  
against three cell lines, and (iv) efficacy in an in vivo murine thigh model  
of infection employing MRSA.  
IT 165800-03-3, Linezolid  
RL PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)  
(Isothiazoloquinolones with Enhanced Antistaphylococcal Activities  
against Multidrug-Resistant Strains: Effects of Structural  
Modifications at the 6-, 7-, and 8-Positions)  
RN 165800-03-3 CAPLUS  
CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-  
oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



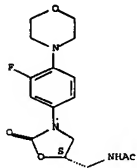
RE.CNT 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 25 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
AN 2006:1304953 CAPLUS [Full-text](#)  
DN 147:26747  
TI Group A streptococci from invasive-disease episodes in Poland are  
remarkably divergent at the molecular level  
AU Szczypta, Katarzyna; Sadowy, Ewa; Isdebski, Radoslaw; Strakova, Lenka;  
Hryniewicz, Waleria  
CS Department of Epidemiology and Clinical Microbiology, National Institute  
of Public Health, Warsaw, Pol.  
SO Journal of Clinical Microbiology (2006), 44(11), 3975-3979  
CODEN: JCMIDW; ISSN: 0095-1137  
PB American Society for Microbiology  
DT Journal  
LA English  
AB Forty-one clin. isolates of group A streptococcus (GAS) were recovered in  
Poland from patients with severe invasive infections and were analyzed by  
phenotypic and genotypic techniques. All isolates were characterized by  
determining their susceptibilities to antimicrobial agents and by determining  
their types by pulsed-field gel electrophoresis, multilocus sequence typing,  
emm typing, and the detection of five streptococcal pyrogenic exotoxin genes

(speA, speB, speC, speF, saa). The isolates studied were fully susceptible to penicillin G, levofloxacin, quinupristin-dalfopristin, and linezolid. Resistance to tetracycline, chloramphenicol, and erythromycin was detected in 46.3, 12.1, and 9.8% of the isolates, resp. A total of 23 different emm sequence types were identified, of which emm1 and emm12 (19.5% each) were the most common, followed by emm81, emm44/61, and emm85. All the emm1 isolates had the speA2 allele. Twenty-three unrelated sequence types (STs) were identified, with the most frequent STs, ST28 and ST36, corresponding to emm1 and emm12, resp. Six newly found STs (STs 375, 376, 377, 378, 379, and 385) corresponded to emm types 74, 102, 77, 76, 84 and 63, resp. The emm1 type and the presence of speA2 gene were associated with the severity of GAS infections. This work presents the first mol. study on Polish invasive GAS isolates.

IT 165900-03-3, Linezolid  
 RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (genetic polymorphism and antibiotic resistance in group A Streptococcus from invasive-disease episodes)  
 RN 165800-03-3 CAPLUS  
 CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



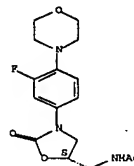
RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 26 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
 AN 2006:1103213 CAPLUS [Full-text](#)  
 DN 146:496813  
 TI Linezolid-resistant Enterococcus faecalis: First report in Spain  
 AU Sanchez-Gomez, J. C.; Fraile-Malmierca, E.; Valverde-Romero, E. D.; Sanchez, M.; Garcia-Rodriguez, J. A.; Garcia-Sanchez, J. E.  
 CS Departamento de Microbiología y Parasitología, Hospital Universitario de Salamanca, Spain  
 SO Journal of Chemotherapy (Firenze, Italy) (2006), 18(4), 440-442  
 CODEN: JCHESU; ISSN: 1120-009X  
 PB E.S.I.P.T. srl  
 DT Journal  
 LA English  
 AB The Enterococcus faecalis is the first linezolid-resistant Gram-pos. coccus strain reported in Spain. The PCR-Restriction Fragment Length Polymorphism Anal. assay is a useful method of detecting G2576T change. This mutation

creates a cutting site for NheI restriction endonuclease. E. faecalis possesses four copies of the 23S rDNA gene. Methods performed in this report are not able to determine the number of mutated copies in each strain. PCR-RFLP showed the existence of two bands in every resistant strain, reflecting heterozygosity; one of 633 bp, corresponding to the non-mutated amplicon, and another one with 591 bp, corresponding to the mutated and NheI-digested gene copies. The prevalence of linezolid-resistant cocci is slowly rising.

IT 165800-03-3, Linezolid  
 RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (linezolid-resistant Enterococcus faecalis)  
 RN 165800-03-3 CAPLUS  
 CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

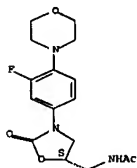
L8 ANSWER 27 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
 AN 2006:1096292 CAPLUS [Full-text](#)  
 DN 146:426029  
 TI Preparation of solid forms of linezolid  
 IN Aronhime, Judith; Koltai, Tamas; Braude, Viviana; Fine, Serguei; Niddam, Tamar  
 PA Teva Pharmaceutical Industries Ltd, Israel; Teva Pharmaceuticals USA, Inc  
 SO PCT Int. Appl., 114pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 5

| PATENT NO.       | KIND | DATE     | APPLICATION NO. | DATE     |
|------------------|------|----------|-----------------|----------|
| PI WO 2006110155 | A1   | 20061019 | WO 2005-US23066 | 20050629 |
| WO 2006110155    | A9   | 20061130 |                 |          |

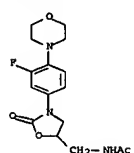
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 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,

IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GM, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UO, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA  
 CA 2572207 A1 20061019 CA 2005-2572207 20050629  
 EP 1745028 A2 20070124 EP 2005-773390 20050629  
 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU  
 IN 2006DN07919 A 20070817 IN 2006-DN7919 20061227  
 PRAI US 2004-584371P P 20040629  
 US 2004-584283P P 20040630  
 US 2004-601086P P 20040812  
 US 2004-602227P P 20040817  
 US 2004-633877P P 20041207  
 US 2005-678440P P 20050505  
 US 2005-684410P P 20050524  
 US 2004-633887P P 20041207  
 WO 2005-US23066 W 20050629  
 AB Novel crystalline forms of linezolid, e.g., Form V, Form VI, Form IX, Form X, Form XII, Form XIV, Form XVII, and Form XVIII, are disclosed. The novel crystalline forms are characterized by powder x-ray diffraction, FT-IR and FT-Raman spectroscopy, and DSC. Methods of preparing the novel crystalline forms, pharmaceutical compns. comprising the novel crystalline forms, and methods of using the novel crystalline forms to treat gram pos. bacterial infections are also described. Amorphous linezolid is also disclosed. The preparation of linezolid is given.  
 IT 165900-03-3P, Linezolid 243223-50-5P, Racemic Linezolid  
 RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of solid forms of linezolid)  
 RN 165800-03-3 CAPLUS  
 CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

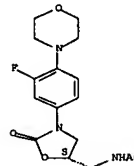


RN 224323-50-6 CAPLUS  
 CN Acetamide, N-[[[3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)



IT 912472-30-1, Linezolid hydrate  
 RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (preparation of solid forms of linezolid)  
 RN 912472-30-1 CAPLUS  
 CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-, hydrate (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

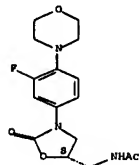


RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 28 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
 AN 2006:1054551 CAPLUS [Full-text](#)  
 DN 146:478573  
 TI Nosocomial spread of Enterococcus faecium resistant to vancomycin and linezolid in a tertiary care medical center  
 AU Dobbs, Thomas E.; Patel, Mukesh; Waites, Ken B.; Moser, Stephen A.; Stamm, Alan M.; Hoenley, Craig J.  
 CS Department of Medicine, University of Alabama at Birmingham, Birmingham, AL, 35249-7331, USA  
 SO Journal of Clinical Microbiology (2006), 44(9), 3368-3370  
 CODEN: JCMIDW; ISSN: 0095-1137  
 PB American Society for Microbiology

DT Journal  
LA English  
AB In May 2004 our institution encountered its first clin. isolate of linezolid-resistant, vancomycin-resistant *Enterococcus faecium* (LRVRE). Between Oct. 2004 and July 2005, 40 patients from whom LRVRE organisms were recovered in clin. specimens were characterized. Epidemiol. investigation and pulsed-field gel electrophoresis patterns indicated a clonal outbreak related to nosocomial spread.  
IT 165800-03-3, Linezolid  
RL: BSU (Biological study, unclassified); BIOL (Biological study) (nosocomial spread of *Enterococcus faecium* resistant to vancomycin and linezolid in a tertiary care medical center)  
RN 165800-03-3 CAPLUS  
CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

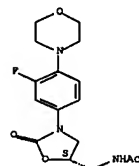


RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 29 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
AN 2006:1048722 CAPLUS [Full-text](#)  
DN 146:517760  
TI Combination of staphylococcal chromosome cassette SCCmec type V and Panton-Valentine leukocidin genes in a methicillin-resistant *Staphylococcus aureus* that caused necrotizing pneumonia in Greece  
AU Gerogianni, Irini; Mpatavanis, Georgios; Gourgoulis, Konstantinos; Maniatis, Antonios; Spiliopoulou, Iris; Petinaki, Efi  
CS Department of Respiratory Medicine, University Hospital of Larissa, Larissa, 41110, Greece  
SO Diagnostic Microbiology and Infectious Disease (2006), 56(2), 213-216  
CODEN: DMIIDZ; ISSN: 0732-8893  
PB Elsevier Inc.  
DT Journal  
LA English  
AB We describe a case of necrotizing pneumonia in Greece caused by a community-acquired methicillin-resistant *Staphylococcus aureus* (MRSA) producing Panton-Valentine leukocidin (PVL) carrying the staphylococcal chromosome cassette mec (SCCmec) type V. The pulse field gel electrophoresis (PFGE) pattern of this strain differed significantly from the PVL-pos. multi-locus sequence typing (MLST)-80 clone of MRSA, which predominates in Greece and in Europe. Further anal. of this strain revealed that it belonged to the agrI allele type, and

its resistance to tobramycin, gentamicin, and kanamycin was associated to the presence of aac-(6)-Ia-aph(2) gene. This is the 1st report that documents the emergence of CA-MRSA with PVL genes in combination with the SCCmec type V in Europe.  
IT 165800-03-3, Linezolid  
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(combination of staphylococcal chromosome cassette SCCmec type V and Panton-Valentine leukocidin genes in a methicillin-resistant *Staphylococcus aureus* that caused necrotizing pneumonia in Greece)  
RN 165800-03-3 CAPLUS  
CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



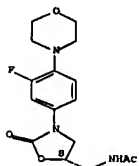
RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 30 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
AN 2006:1032532 CAPLUS [Full-text](#)  
DN 146:458404  
TI Molecular pattern and antimicrobial susceptibility of methicillin-resistant *Staphylococcus aureus* isolates at a teaching hospital in northern Taiwan  
AU Tai, Pei-Wen; Huang, Cheng-Hua; Lin, Qin-Dong; Huang, Yang-Yang  
CS Division of Infectious Diseases, Department of Internal Medicine, Cathay-General Hospital, Taipei, Taiwan  
SO Journal of Microbiology, Immunology and Infection (2006), 39(3), 225-230  
CODEN: JMIIFG; ISSN: 1684-1182  
PB Scientific Communications International Ltd.  
DT Journal  
LA English  
AB Methicillin-resistant *Staphylococcus aureus* (MRSA) infection has progressively increased worldwide. Knowledge of the specific epidemiol. pattern of isolates at individual hospitals is important. MRSA bacteremia was diagnosed in a total of 68 patients from Jan. 2002 through Dec. 2003, stratified for drug susceptibility and mol. pattern (staphylococcal cassette chromosome mec element [SCCmec] typing and genotypes). SCCmec-A-pos. isolates were found on polymerase chain reaction in 58 patients. The most frequent SCCmec types were III (40 cases) of which less than 5% were susceptible to other beta-lactam antibiotics and most were health care-associated, followed by SCCmec type IV (15 cases), that were demonstrated to be community-acquired. SCCmec type IV

MRSA isolates were more likely to be susceptible to ciprofloxacin (93.3%), gentamicin (46.7%) and trimethoprim-sulfamethoxazole (93.3%) than type III isolates. All MRSA isolates were susceptible to glycopeptides and vancomycin (min. inhibitory concs. <2 µg/mL). Pulsed-field gel electrophoresis with SmaI digestion was used to fingerprint these isolates. A total of 9 genotypes with 26 type-subtypes were identified. Genotype A was the most frequent (9 subtypes) indicating that it is epidemic in this hospital. After anal., SCCmec typing could be used to predict drug susceptibility. Specific clones of *S. aureus* are circulating in hospital and communities in Taiwan.

IT 165800-03-3, Linezolid  
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(mol. pattern and antimicrobial susceptibility of methicillin-resistant *Staphylococcus aureus* isolates at a teaching hospital in northern Taiwan)  
RN 165800-03-3 CAPLUS  
CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

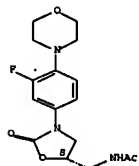


RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 31 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
AN 2006:981749 CAPLUS [Full-text](#)  
DN 145:335928  
TI Preparation of 1,5-dihydro-3-hydroxy-2H-pyrrol-2-ones as Mdm2 protein modulators  
IN Weber, Lutz  
PA Germany  
SO Ger. Offen., 11pp.  
CODEN: GWXXBX  
DT Patent  
LA German  
FAN.CNT 1  
PATENT NO. KIND DATE APPLICATION NO. DATE  
PI DE 102005012681 A1 20060921 DR 2005-102005012681 20050318  
PRAI DE 2005-102005012681 20050318  
OS CABSTRACT 145:335928; MARPAT 145:335928  
OI

AB Title compds. I [R1, R2 = cycloalkyl, heteroaryl, aryl, etc.; R3 = H, alkyl, cycloalkyl, etc.] and their pharmaceutically acceptable salts were prepared. For example, coupling of carboxylic acid II [X = OH] and 2-methoxyethylamine afforded amide II [X = NHCH2CH2OCH3]. Compds. I are noted as Mdm2 protein modulators (no data provided).  
IT 165800-02-3, Linezolid  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(medicaments with; preparation of 3-hydroxy-2H-pyrrolones as Mdm2 protein modulators)  
RN 165800-03-3 CAPLUS  
CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L8 ANSWER 32 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
AN 2006:976176 CAPLUS [Full-text](#)  
DN 145:335951  
TI Tetrahydroisoquinolin-1-ones as HDM2 ligands, their preparation, pharmaceutical compositions, and use for the treatment of cancer  
IN Weber, Lutz  
PA Germany  
SO PCT Int. Appl., 42pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1  
PATENT NO. KIND DATE APPLICATION NO. DATE

PI WO 2006097323 A1 20060921 WO 2006-EP2471 20060317  
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 PRAI DE 2005-102005012680 A 20050318  
 OS MARPAT 145:335951  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

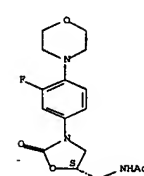
AB The invention relates to compds. according to formula I, which are HDM2 protein ligands, inducing apoptosis and inhibiting proliferation, and having therapeutic utility in cancer therapy. In compds. I, R1 is selected from (un)substituted morpholinyl, (un)substituted pyrrolidinyl, (un)substituted piperazinyl, OR5, and NR5R6, where R5 and R6 are independently selected from H, alkyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, arylalkyl, and heteroarylalkyl; R2 and R3 are independently selected from aryl, heteroaryl, arylalkyl, or heteroarylalkyl; and R4 is selected from H, OH, halo, nitro, lower alkyl, lower alkenyl, lower alkynyl, lower alkoxy, and NR7R8, where R7 and R8 are independently selected from H, lower alkyl, lower alkoxyalkyl, heterocyclyl, aryl, and heteroaryl. The invention also relates to the preparation of I, pharmaceutical compns. comprising a compound I, optionally in combination with a pharmaceutically acceptable carrier, as well as to the use of the compns. for the treatment of cancer. Condensation of 4-chlorobenzaldehyde with 4-chlorobenzylamine followed by heterocyclization with homophthalic anhydride gave isoquinolinonecarboxylic acid II, which was amidated with 2-methoxyethylamine to give isoquinolinone III. The compds. of the invention are ligands of HDM2 (no data).

IT 165900-03-3, Linezolid  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (preparation of tetrahydroisoquinolinones as HDM2 ligands for the treatment of cancer)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 33 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 2006:918812 CAPLUS [Full-text](#)

DN 145:299643

TI Antibiotic and combinations of antibiotic and symptomatic relief agent formulations

IN Evans, Donald L.; Bryant, Thomas J.; Ping, Jeffrey H.; Roberts, Richard Howard; Sirico, William J.; Arnold, Kristin; Davis, Matthew William; Hayer, Gregory Keith; Nielsen, Kurt R.

PA Mutual Pharmaceutical Company, Inc., USA

SO PCT Int. Appl., 72pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

| PATENT NO.       | KIND | DATE     | APPLICATION NO. | DATE     |
|------------------|------|----------|-----------------|----------|
| PI WO 2006093784 | A2   | 20060908 | WO 2006-US6412  | 20060224 |
| WO 2006093784    | A3   | 20070816 |                 |          |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, GA

US 2006205682 A1 20060914 US 2006-362547 20060224

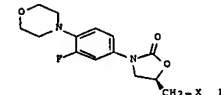
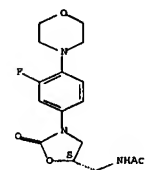
PRAI US 2005-656496P P 20050225

US 2005-671979P P 20050415

AB Disclosed herein are antibiotic formulations and combinations of antibiotic and symptomatic relief agent formulations. The combinations are suitable to treat a variety of diseases, including an infection, while treating the symptoms associated with the disease. Also disclosed are methods of treating a disease or an infection and its symptoms, as well as pharmaceutical kits containing such formulations. Tablets contained trimethoprim 80, and sulfamethoxazole 400 mg.

IT 165800-03-3, Linezolid  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (antibiotic and combinations of antibiotic and symptomatic relief agent formulations)  
 RN 165800-03-3 CAPLUS  
 CN Acetamide, N-[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



AB A process for the preparation of title compound I [X = NHCOMe] via the catalytic hydrogenation of the corresponding azide followed by in-situ acylation was disclosed. For example, zinc-ammonium formate mediated reduction of azide I [X = N3] afforded amine II [X = NH2] in 50% yield and 93.2% purity. Of note, the catalytic hydrogenation of azide II [X = N3] produced fewer byproducts than previous methods.

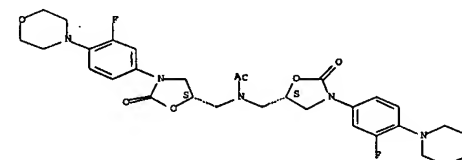
IT 909143-04-4P

RL: BYP (Byproduct); PREP (Preparation)  
 (preparation of linezolid via catalytic hydrogenation)

RN 908143-04-4 CAPLUS

CN Acetamide, N,N-bis[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



IT 165800-03-3P, Linezolid  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of linezolid via catalytic hydrogenation)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-

L8 ANSWER 34 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
 AN 2006:888494 CAPLUS [Full-text](#)  
 DN 145:293035  
 TI Preparation of linezolid via catalytic hydrogenation  
 IN Fine, Serguei; Nidam, Tamar; Braude, Viviana  
 PA Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.  
 SO PCT Int. Appl., 38 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 5

| PATENT NO.       | KIND | DATE     | APPLICATION NO. | DATE     |
|------------------|------|----------|-----------------|----------|
| PI WO 2006091731 | A2   | 20060811 | WO 2006-US6414  | 20060223 |
| WO 2006091731    | A3   | 20061019 |                 |          |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

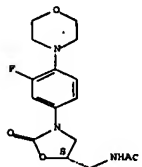
US 2007021417 A1 20070125 US 2006-361457 20060223

US 2006252932 A1 20061109 US 2006-361509 20060224

US 2006258655 A1 20061116 US 2006-362312 20060224

IN 2007DN04083 A 20070824 IN 2007-DN04083 20070530

Absolute stereochemistry. Rotation (-).



L8 ANSWER 35 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 2006:885021 CAPLUS Full-text

DN 145:293031

TI Preparation of linezolid and bis-linezolid

IN Ramaty, Revital; Nidam, Tamar; Braude, Viviana; Adler, Miri

PA Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA,

Inc.

SO PCT Int. Appl., 21 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 5

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| PI WO 2006091848  | A2   | 20060831 | WO 2006-US6655  | 20060224 |
| WO 2006091848   | A9   | 20061109 |                 |          |
| WO 2006091848   | A3   | 20061228 |                 |          |
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| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CP, CO, CI, CM, GA, GN, GO, GM, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MH, ME, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  |      |          |                 |          |
| US 2007021417   | A1   | 20070125 | US 2006-361457  | 20060223 |
| US 2006252932   | A1   | 20061109 | US 2006-361509  | 20060224 |
| US 2006258655   | A1   | 20061116 | US 2006-362312  | 20060224 |
| IN 2007DN04090  | A    | 20070824 | IN 2007-DN4090  | 20070530 |
| PRAI US 2005-656646P  | P    | 20050224 |                 |          |
| US 2005-656778P   | P    | 20050224 |                 |          |
| US 2005-690822P   | P    | 20050614 |                 |          |
| WO 2006-US6655  | M    | 20060224 |                 |          |
| OS CARSREACT 145:293031   |      |          |                 |          |

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB A process for the preparation of linezolid I [X = NHCOMe] and the isolation of bis-linezolid II was disclosed. For example, Pd/C-H2 mediated reduction of azide I [X = N3] afforded amine I [X = NH2]. Of note, is the use of bis-linezolid II as a reference standard

IT 90143-04-4P

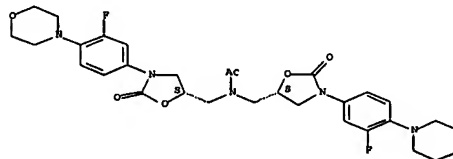
RL: BVP (Byproduct); PREP (Preparation)

(preparation of linezolid and bis-linezolid)

RN 908143-04-4 CAPLUS

CN Acetamide, N,N-bis[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinylmethyl]- (CA INDEX NAME)

Absolute stereochemistry.



IT 165800-03-2P, Linezolid

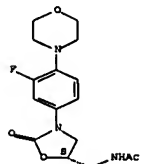
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of linezolid and bis-linezolid)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinylmethyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L8 ANSWER 36 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 2006:884419 CAPLUS Full-text

DN 145:299397

TI Crystalline forms of linezolid intermediate

IN Koltai, Tamas; Nidam, Tamar; Braude, Viviana; Pine, Serguei

PA Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA,

Inc.

SO PCT Int. Appl., 25 pp.

CODEN: PIXXD2

DT Patent

LA English

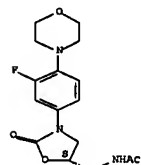
FAN.CNT 5

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| PI WO 2006091777  | A1   | 20060831 | WO 2006-US6529  | 20060224 |
| M: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, HD, IL, IN, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MO, MU, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                 |          |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CP, CO, CI, CM, GA, GN, GO, GM, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MH, ME, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  |      |          |                 |          |
| US 2007021417   | A1   | 20070125 | US 2006-361457  | 20060223 |
| CA 2588876  | A1   | 20060831 | CA 2006-2588876 | 20060224 |
| US 2006252932   | A1   | 20061109 | US 2006-361509  | 20060224 |
| US 2006258655   | A1   | 20061116 | US 2006-362312  | 20060224 |
| IN 2007DN04094  | A    | 20070824 | IN 2007-DN4094  | 20070530 |
| PRAI US 2005-656646P  | P    | 20050224 |                 |          |
| US 2005-656778P   | P    | 20050224 |                 |          |
| US 2005-690822P   | P    | 20050614 |                 |          |
| WO 2006-US6529  | M    | 20060224 |                 |          |
| AB The present invention relates to novel crystalline forms of the linezolid intermediate S-N-(4-morpholinyl-3-fluorophenyl)-2-oxo-5-oxazolidinyl-Me amine referred to herein as Form A, Form B, and Form C.  |      |          |                 |          |
| IT 165800-03-3, Linezolid   |      |          |                 |          |
| RL: PRP (Properties)  |      |          |                 |          |
| (crystalline forms of linezolid intermediate)   |      |          |                 |          |

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinylmethyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 37 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 2006:877982 CAPLUS Full-text

DN 146:291391

TI Usefulness of RFLP method for identification of recent isolates of Nocardia spp. and antimicrobial susceptibility testing

AU Shibuya, Rie; Tateda, Kazuhiro; Kimura, Soichiro; Ishii, Yoshikazu; Murakami, Hinako; Shimatsu, Reiko; Kashitani, Fusako; Iwata, Morihito; Matsumoto, Tetsuya; Kimura, Kazuhiro; Uchida, Koh; Nakata, Koichiro; Kubo, Satoru; Mikami, Yuzuru; Yamaguchi, Keizo

CS Department of Microbiology and Infectious Diseases, Toho University School of Medicine, Japan

SO Nippon Rinsho Biseibutsugaku Zasshi (2006), 16(2), 81-88

CODEN: NRBFZ9; ISSN: 0917-5059

PB Nippon Rinsho Biseibutsu Gakkai

DT Journal

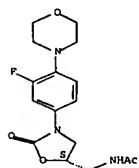
LA Japanese

In this study, we examined usefulness of RFLP method for identification of clin. isolates of Nocardia spp. (standard strains and clin. isolates), comparing to conventional biochem. assays. Twenty-six strains of clin. isolates were conventionally identified as N. asteroides (n=12), N. nova (n=8), N. farcinica (n=6). In contrast, RFLP method using bacterial 16S rDNA demonstrated N. asteroides (n=4), N. farcinica (n=4) in 13 strains of N. asteroides conventionally identified. Also RFLP results showed N. nova (n=2), N. farcinica (n=1), unclassified (n=5) in 8 strains of N. nova conventionally identified, whereas all 6 strains N. farcinica indicated identical results. Antimicrobial susceptibility testing showed that the first-line antibiotics, such as minocycline, amikacin, imipenem and ST, in addition to newer antimicrobials (linezolid, arbekacin), were generally active against clin. isolates of Nocardia spp., although a small variation was observed among strains and species. These data suggest that RFLP method for identification of Nocardia organisms may be a useful technique in the clin. microbiol. laboratory setting.

IT 165800-03-3, Linezolid

RL: BSU (Biological study, unclassified); BIOL (Biological study) (usefulness of RFLP method for identification of recent isolates of *Mycardia* spp. and antimicrobial susceptibility testing)  
 RN 165800-03-3 CAPLUS  
 CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)

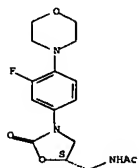
Absolute stereochemistry. Rotation (-).



L8 ANSWER 38 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
 AN 2006:855345 CAPLUS [Full-text](#)  
 DN 145:419001  
 TI Short and practical enantioselective synthesis of linezolid and eperzolid via proline-catalyzed asymmetric  $\alpha$ -aminoxylation  
 AU Marina, Srinivasarao V.; Sudalai, Arumugam  
 CS Chemical Engineering and Process Development Division, National Chemical Laboratory, Pune, 411 008, India  
 SO Tetrahedron Letters (2006), 47(38), 6799-6802  
 CODEN: TETLEA; ISSN: 0040-4039  
 PB Elsevier Ltd.  
 DT Journal  
 LA English  
 OS CASREACT 145:419001  
 AB An efficient enantioselective synthesis of the antibacterials, linezolid and eperzolid, using D-proline-catalyzed asym.  $\alpha$ -aminoxylation of aldehydes as the key step is described. This is the first report on the enantioselective synthesis of linezolid and eperzolid using asym. catalysis.  
 IT 165800-03-3P, Linezolid  
 RL: SPN (Synthetic preparation); PREP (Preparation) (short and practical enantioselective synthesis of linezolid and eperzolid via proline-catalyzed asym.  $\alpha$ -aminoxylation of aldehydes)  
 RN 165800-03-3 CAPLUS  
 CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)

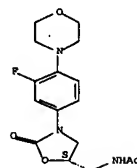
Absolute stereochemistry. Rotation (-).

Absolute stereochemistry. Rotation (-).



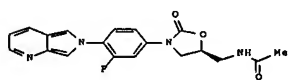
RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT  
 L8 ANSWER 40 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
 AN 2006:755096 CAPLUS [Full-text](#)  
 DN 146:201867  
 TI Surveillance of group B streptococcal toxic shock-like syndrome in nonpregnant adults and characterization of the strains in Japan  
 AU Chang, Bin; Ikebe, Tadayoshi; Wada, Akihito; Ogata, Kikuyo; Tomita, Masaaki; Katsukawa, Chihiro; Kawahara, Ryuji; Suzuki, Rieko; Endo, Miyoko; Isohe, Junko; Tanaka, Daisuke; Hirasawa, Kyoko; Matanabe, Haruo  
 CS The Working Group for Streptococci in Japan, Department of Bacteriology, National Institute of Infectious Diseases, Tokyo, 162-8640, Japan  
 SO Japanese Journal of Infectious Diseases (2006), 59(3), 182-185  
 CODEN: JJIDPE; ISSN: 1344-6304  
 PB National Institute of Infectious Diseases  
 DT Journal  
 LA English  
 AB Nine group B streptococci (GBS) strains were isolated from five toxic shock-like syndrome cases of nonpregnant adults in Japan from 2001 to 2005. All of them were identified as Streptococcus agalactiae. The serotypes of these strains were Ib, III, V, and VII. Pulsed-field gel electrophoresis revealed that the patterns of the strains isolated from the different patients were variable. Antimicrobial susceptibility tests showed that all of the strains were susceptible to penicillin G, ampicillin, cefotaxime, clindamycin, and telithromycin. One strain showed intermediate resistance to erythromycin.  
 IT 165300-03-3, Linezolid  
 RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (surveillance of group B streptococcal toxic shock-like syndrome in nonpregnant adults and characterization of the strains in Japan)  
 RN 165800-03-3 CAPLUS  
 CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

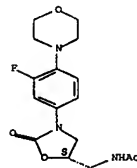


RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 39 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
 AN 2006:757661 CAPLUS [Full-text](#)  
 DN 145:249128  
 TI Antibacterial activity of pyrrolopyridine-substituted oxazolidinones: synthesis and in vitro SAR of various C-5 acetamide replacements  
 AU Paget, Steven D.; Boggs, Christine M.; Foleno, Barbara D.; Goldschmidt, Raul M.; Hlasta, Dennis J.; Weidner-Wells, Michele A.; Werblood, Harvey M.; Bush, Karen; Macielag, Mark J.  
 CS Johnson & Johnson Pharmaceutical Research and Development, L.L.C., Raritan, NJ, 08869, USA  
 SO Bioorganic & Medicinal Chemistry Letters (2006), 16(17), 4537-4542  
 CODEN: BMCLB; ISSN: 0960-894X  
 PB Elsevier B.V.  
 DT Journal  
 LA English  
 OS CASREACT 145:249128  
 GI



AB A series of pyrrolopyridine-substituted oxazolidinones containing various C-5 acetamide isosteres, e.g. 1, was synthesized and the structure-antibacterial activity relationships were determined against a representative panel of susceptible and resistant Gram-pos. bacteria.  
 IT 165800-03-3, Linezolid  
 RL: PAC (Pharmacological activity); BIOL (Biological study) (preparation and antibacterial activities of pyrrolopyridine-substituted oxazolidinones)  
 RN 165800-03-3 CAPLUS  
 CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)



RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

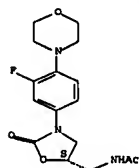
L8 ANSWER 41 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
 AN 2006:740031 CAPLUS [Full-text](#)  
 DN 146:201931  
 TI Epidemiological profile of linezolid-resistant coagulase-negative staphylococci  
 AU Potowski, Brian A.; Adams, Jennifer; Clarke, Lloyd; Shutt, Kathleen; Linden, Peter K.; Baxter, Carla; Pascual, A. William; Capitano, Blair; Peleg, Anton Y.; Szabo, Dora; Paterson, David L.  
 CS Departments of Pharmacy and Therapeutics, Division of Infectious Diseases, Antibiotic Management Program, University of Pittsburgh Medical Center, Pittsburgh, PA, USA  
 SO Clinical Infectious Diseases (2006), 43(2), 165-171  
 CODEN: CIDIEL; ISSN: 1058-4638  
 PB University of Chicago Press  
 DT Journal  
 LA English  
 AB Surveillance studies have shown that <0.1% of coagulase-neg. staphylococci are linezolid resistant; however, at our institution, 4% of such organisms were found to be resistant. We investigated the risk factors for and the epidemiol. profile of linezolid-resistant coagulase-neg. staphylococci. Susceptibility testing and pulsed-field gel electrophoresis were performed to analyze the genetic relatedness of both linezolid-resistant and linezolid-susceptible isolates. Clin. data were retrieved from medical records, and a case-case-control study was performed to identify unique risk factors for linezolid resistance. Isolates recovered from 25 patients with linezolid-resistant coagulase-neg. staphylococci were ex-aminated, all but 1 of the isolates were identified as Staphylococcus epidermidis, and all but 1 had a min. inhibitory concentration of linezolid of >256  $\mu$ g/mL. Pulsed-field gel electrophoresis showed that 21 (84%) of 25 linezolid-resistant isolates exhibited genetic relatedness, whereas linezolid-susceptible isolates were of diverse clones. Unique, independent predictors of linezolid resistance included receipt of linezolid in the 3 mo preceding isolation of the coagulase-neg. staphylococci (odds ratio, 20.6; 95% confidence interval, 5.8-73.0). Conclusion. Linezolid-resistant coagulase-neg. staphylococci have emerged at our institution and are predominately of a single clone. We believe that the most likely scenario to explain this emergence is that person-to-person spread of linezolid-resistant coagulase-neg. staphylococci led to establishment of skin colonization with the strain. Subsequent use of linezolid was followed by selection of the linezolid-resistant strain, which then became the dominant skin flora. The potential for a parallel scenario

involving clonal dissemination followed by selection of linezolid-resistant methicillin-resistant *Staphylococcus aureus* is a real possibility.

IT 165800-03-3, Linezolid  
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(epidemiol. profile of linezolid-resistant coagulase-neg. staphylococci)

RN 165800-03-3 CAPLUS  
CN: Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 42 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
AN 2006:716811 CAPLUS [Full-text](#)  
DN 145:188857  
TI Preparation of Linezolid and Eperezolid as antibacterial agents  
IN Xu, Guangyu; Wu, Xihan; Xie, Yuyuan  
PA Shanghai Institute of Materia Medica, Chinese Academy of Sciences, Peop. Rep. China  
SO Faming Zhuanli Shengqing Gongkai Shuomingshu, 13pp.  
CODEN: CNXXEV  
DT Patent  
LA Chinese  
FAN.CNT 1

| PATENT NO.            | KIND | DATE     | APPLICATION NO.  | DATE     |
|-----------------------|------|----------|------------------|----------|
| PI CN 1673224         | A    | 20050928 | CN 2004-10017127 | 20040323 |
| PRAI CN 2004-10017127 |      | 20040323 |                  |          |

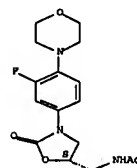
OS CASREACT 145:188857  
AB The title Linezolid and Eperezolid were prepared as antibacterial agents (no data). For example, 3-fluoro-4-morpholinobenzenamine (preparation given) was reacted with L-glyceraldehyde acetonide, followed by hydrogenation, methylsulfonation, diazotization, reduction, and acetylation to give Linezolid in good yield.

IT 165800-03-3P, Linezolid  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(drug candidate; preparation of Linezolid and Eperezolid as antibacterial

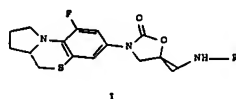
agents)

RN 165800-03-3 CAPLUS  
CN: Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L8 ANSWER 43 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
AN 2006:693876 CAPLUS [Full-text](#)  
DN 145:314856  
TI Synthesis of novel tricyclic oxazolidinones by a tandem SN2 and SNAr reaction: SAR studies on conformationally constrained analogues of Linezolid  
AU Selvakumar, N.; Reddy, B. Yadi; Kumar, G. Sunil; Khara, Manoj Kumar; Srinivas, D.; Kumar, M. Sitaram; Das, Jagattaran; Iqbal, Javed; Trehan, Sanjay  
CS Anti-infectives Discovery Group, Discovery Research, Dr. Reddy's Laboratories Ltd., Hyderabad, 500 049, India  
SO Bioorganic & Medicinal Chemistry Letters (2006), 16(16), 4416-4419  
CODEN: BMCLE8; ISSN: 0960-894X  
PB Elsevier B.V.  
DT Journal  
LA English  
OS CASREACT 145:314856  
GI



AB A series of conformationally constrained analogs of Linezolid were synthesized by employing a tandem SN2 and SNAr reaction as the key step and tested for antibacterial activity. While the hexahydroazolo- quinoxaline compds. were

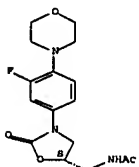
inactive, the tetrahydroazolo-benzothiazine compds. exhibited interesting antibacterial activity. The introduction of fluorine in the aromatic ring further made the compds. more potent in acetamide compds. resulting in an interesting analog I (R = COMe). However, the introduction of fluorine I (R = C(8)Me) on the already potent non-fluorine thiocarbamate I (R = C(8)OMe) did not have any influence on the activity.

IT 165800-03-3, Linezolid  
RL: PAC (Pharmacological activity); BIOL (Biological study)  
(preparation of tricyclic oxazolidinones by tandem SN2 and SNAr reaction

and SAR studies on conformationally constrained analogs of Linezolid)

RN 165800-03-3 CAPLUS  
CN: Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

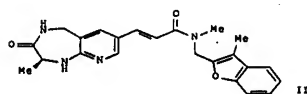
L8 ANSWER 44 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
AN 2006:636869 CAPLUS [Full-text](#)  
DN 145:103734  
TI Compositions comprising multiple antibiotic agents including a Fabi inhibitor, methods of using the same, and preparation of the heterocycle Fabi inhibitors  
IN Berman, Judd M.; Schmid, Molly B.; Mondlein, John D.; Kaplan, Nachum  
PA Affinium Pharmaceuticals, Inc., Can.  
SO U.S. Pat. Appl. Publ., 192 pp., which which  
CODEN: USXXCO  
DT Patent  
LA English  
FAN.CNT 2

| PATENT NO.       | KIND | DATE     | APPLICATION NO. | DATE     |
|------------------|------|----------|-----------------|----------|
| PI US 2006142265 | A1   | 20060629 | US 2005-231298  | 20050919 |
| WO 2004082586    | A2   | 20040930 | WO 2004-1B1261  | 20040217 |
| WO 2004082586    | A3   | 20041223 |                 |          |

W: AB, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,

TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
RM: BM, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GM, ML, MR, NE, SN, TD, TG

PRAI US 2003-455189P P 20030317  
US 2003-476970P P 20030609  
US 2003-488379P P 20030718  
WO 2004-1B1261 A2 20040317  
OS MARPAT 145:103734  
GI



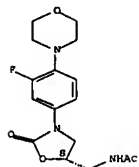
AB The invention is directed to antibacterial compns. comprising an NADH (or NADPH)-dependent enoyl-acyl carrier protein (ACP) reductase (Fabi, previously designated EryM) inhibitor of formula (Y)a-A-CH(R1)-NR1CO-L-R2 (I) and at least one other antibiotic/antibacterial agent [L = alkyl, alkenyl, or cycloalkyl which may be substituted by one or more R1; A = (un)substituted bicyclic heteroaryl of 8-12 atoms or a tricyclic ring of 12-16 atoms, containing 1-4 heteroatoms selected from N, S, and O; R1 = H, cycloalkyl, alk/aryl; R2 = heterocyclyl; a = 0-4; Y1 = -(CH2)n-CO-NR4R5; R4 = water solubilizing group; R5 = H, cycloalkyl; n = 0-4]. The antibacterial composition exhibits a synergistic antibacterial effect compared to its individual components. Thus, bromination of (S)-2-methyl-1,2,4,5-tetrahydropyrido[2,3-e][1,4]diazepin-3-one (preparation given), coupling of the bromide with N-methyl-N-[(1S)-methylbenzofuran-2-yl]methylacrylamide, and acidulation of the free base (no data) with TFA gave pyridodiazepine II+TFA. Selected I inhibited Fabi with a Ki < 1 nM, an MIC (minimal inhibitory concentration) < 0.125 µg/mL, and an IC50 < 10 nM.

IT 165800-03-3, Linezolid  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(compns. comprising multiple antibiotic agents and preparation of heterocycle Fabi inhibitor)

RN 165800-03-3 CAPLUS  
CN: Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).





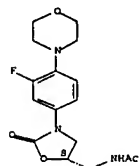
L8 ANSWER 45 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
AN 2006:566562 CAPLUS [Full-text](#)  
DN 145:51043  
TI Oral pharmaceutical compositions containing drugs and lipids and pH dependent polymers for taste-masking  
IN Menjoge, Anupa Ramesh; Kulkarni, Mohan Gopalakrishna  
PA Council of Scientific and Industrial Research, India  
SO PCT Int. Appl., 30 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

| PATENT NO.       | KIND   | DATE     | APPLICATION NO.  | DATE     |
|------------------|--|----------|------------------|----------|
| PI WO 2006061846 | A1   | 20060615 | WO 2004-IN379    | 20041210 |
| W1               | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |          |                  |          |
| RM:              | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, OM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KO, KZ, MD, RU, TJ, TM   |          |                  |          |
| AU 2004325469    | A1   | 20060615 | AU 2004-325469   | 20041210 |
| CN 1953734       | A  | 20070425 | CN 2004-80043093 | 20041210 |
| EP 1845937       | A1   | 20071024 | EP 2004-806762   | 20041210 |
| R:               | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU   |          |                  |          |

PRAI WO 2004-IN379 A 20041210  
AB The present invention discloses compns., comprising a lipid-polymer matrix to mask the bitter or unpleasant taste of the medicament. The lipid or a blend of lipids, are used in combination with the pH dependent polymer where the said polymer is acid soluble or swellable. The process for the preparation of taste masked pharmaceutical compns. of the bitter drugs comprising the said lipid-polymer compns. are disclosed. The concomitant use of the acid soluble polymer, which remains collapsed at the pH of saliva, inhibits the release of drug at that pH and hence they further help in bitterness inhibition. The said compns. deliver substantial amount of the bitter drug immediately at the

RL: PAC (Pharmacological activity); BIOL (Biological study)  
[([thiazinyl)phenyl]oxo)oxazolidinylmethyl]acetamide  
[([thiazinyl)phenyl]oxo)oxazolidinylmethyl]acetamide derivs. and analogs and study of their antibacterial activity in comparison with linezolid, PNU-141659 and PNU-288034)  
RN 165800-03-3 CAPLUS  
CN Acetamide, N-[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinylmethyl]- (CA INDEX NAME)

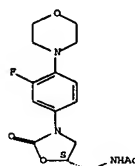
Absolute stereochemistry. Rotation (-).



RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 47 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
AN 2006:473672 CAPLUS [Full-text](#)  
DN 145:140808  
TI Platensimycin is a selective FabF inhibitor with potent antibiotic properties  
AU Wang, Jun; Soisson, Stephen M.; Young, Katherine; Shoop, Wesley; Kodali, Srinivas; Gargoci, Andrew; Painter, Ronald; Parthasarathy, Gopalakrishnan; Tang, Yui S.; Cummings, Richard; Ha, Sookhee; Dorso, Karen; Motyl, Mary; Jayasuriya, Hiranthi; Ondeyka, John; Herath, Kithsiri; Zhang, Chaowei; Hernandez, Lorraine; Allocco, John; Basilio, Angela; Tormo, Jose R.; Genilloud, Olga; Vicente, Francisca; Pelaez, Fernando; Colwell, Lawrence; Lee, Sang Ho; Michael, Bruce; Felcetto, Thomas; Gill, Charles; Silver, Lynn L.; Hermes, Jeffery D.; Bartizal, Ken; Barrett, John; Schmatz, Dennis; Becker, Joseph W.; Cully, Doris; Singh, Sheo B.  
CS Merck Research Laboratories, Rahway, NJ, 07065, USA  
SO Nature (London, United Kingdom) (2006), 441(7091), 358-361  
CODEN: NATUAS; ISSN: 0028-0836  
PB Nature Publishing Group  
DT Journal  
LA English  
AB Bacterial infection remains a serious threat to human lives because of emerging resistance to existing antibiotics. Although the scientific community has avidly pursued the discovery of new antibiotics that interact with new targets, these efforts have met with limited success since the early 1960s. Here we report the discovery of platensimycin, a previously unknown class of antibiotics produced by Streptomyces platensis. Platensimycin demonstrates strong, broad-spectrum Gram-pos. antibacterial activity by selectively inhibiting cellular lipid biosynthesis. We show that this antibacterial effect is exerted through the selective targeting of  $\beta$ -ketoacyl-

gastric pH with improved palatability. For example, microparticles contained cefuroxime axetil, stearic acid as lipid, and copolymer of Me methacrylate, hydroxyethyl methacrylate and vinyl pyridine as the polymer.  
IT 165800-03-3, Linezolid  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
[oral pharmaceutical compns. containing drugs and lipids and pH dependent polymers for taste-masking]  
RN 165800-03-3 CAPLUS  
CN Acetamide, N-[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinylmethyl]- (CA INDEX NAME)  
Absolute stereochemistry. Rotation (-).



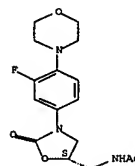
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 46 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
AN 2006:499104 CAPLUS [Full-text](#)  
DN 145:188813  
TI Synthesis and structure-activity studies of antibacterial oxazolidinones containing dihydrothiopyran or dihydrothiazine C-rings  
AU Renslo, Adam R.; Luehr, Gary W.; Lam, Stuart; Westlund, Neil E.; Gomez, Marcela; Hackbarth, Corrine J.; Patel, Dinesh V.; Gordeev, Mikhail F.  
CS Pfizer Global Research and Development, Fremont, CA, 94555, USA  
SO Bioorganic & Medicinal Chemistry Letters (2006), 16(13), 3475-3478  
CODEN: BMCLEB; ISSN: 0960-894X  
PB Elsevier B.V.  
DT Journal  
LA English  
OS CASREACT 145:188813  
AB A new series of antimicrobial oxazolidinones bearing unsatd. heterocyclic C-rings is described. Dihydrothiopyran derivs. were prepared from the saturated tetrahydrothiopyran sulfoxides via a Pummerer-rearrangement/elimination sequence. Two new synthetic approaches to the dihydrothiazine ring system were explored, the first involving a novel trifluoroacetylative detrifluoroacetylative Pummerer-type reaction sequence and the second involving direct dehydrogenation of tetrahydrothiopyran 8,9-dioxide intermediates. Analogs, such as N-[(3-[4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl)methyl]acetamide derivs. and N-[(5S)-3-[4-(2,3-dihydro-1,1-dioxido-4H-1,4-thiazin-4-yl)phenyl]-2-oxo-5-oxazolidinyl)methyl]acetamide derivs. represent oxidized congeners of recent pre-clin. and clin. oxazolidinones.  
IT 165800-03-3, Linezolid

(acyl carrier protein (ACP)) synthase I/II (FabF/B) in the synthetic pathway of fatty acids. Direct binding assays show that platensimycin interacts specifically with the acyl-enzyme intermediate of the target protein, and x-ray crystallog. studies reveal that a specific conformational change that occurs on acylation must take place before the inhibitor can bind. Treatment with platensimycin eradicates Staphylococcus aureus infection in mice. Because of its unique mode of action, platensimycin shows no cross-resistance to other key antibiotic-resistant strains tested, including methicillin-resistant S. aureus, vancomycin-intermediate S. aureus and vancomycin-resistant enterococci. Platensimycin is the most potent inhibitor reported for the FabF/B condensing enzymes, and is the only inhibitor of these targets that shows broad-spectrum activity, in vivo efficacy, and no observed toxicity.

IT 165800-03-3, Linezolid  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
[platensimycin produced by Streptomyces platensis is selective FabF inhibitor with antibiotic activity against antibiotic-resistant pathogens]  
RN 165800-03-3 CAPLUS  
CN Acetamide, N-[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinylmethyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 48 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
AN 2006:470759 CAPLUS [Full-text](#)  
DN 145:76084  
TI Linezolid and Human Polymorphonuclear Leukocyte Function  
AU Naess, Are; Stenhaug Kilhus, Kristin; Nystad, Tone W.; Sornes, Steinar  
CS Institute of Medicine, University of Bergen, Bergen, Norway  
SO Chemotherapy (Basel, Switzerland) (2006), 52(3), 122-124  
CODEN: CHTHBK; ISSN: 0009-3157  
PB S. Karger AG  
DT Journal  
LA English  
AB The objective was to examine whether Linezolid, a new oxazolidinone antibiotic, has an effect on human polymorphonuclear leukocyte (PMN) function. Flow-cytometric techniques were used for the demonstration of PMN chemotaxis towards zymosan-activated serum, and phagocytosis and respiratory burst after incubation in Linezolid. Linezolid at concns. of 10-160 mg/L did not

significantly influence PMN function as measured by chemotaxis, phagocytosis, and respiratory burst. Linezolid at therapeutic or supratherapeutic concns. does not influence human PMN function. This applies to the CP substance as well as to the com. preparation containing additives for i.v. infusion.

IT 165800-03-3, Linezolid

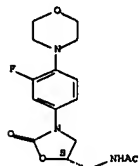
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(Linezolid and human polymorphonuclear leukocyte function)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 49 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 2006:464658 CAPLUS [Full-text](#)

DN 144:474932

TI Mixed antibiotic codrugs for infection treatment

IN Schiffman, Rhett M.; Graham, Richard; Rupp, David; Johnson, Brent A.

PA Allergan, Inc., USA

SO U.S. Pat. Appl. Publ., 22 pp.

CODEN: USXXCO

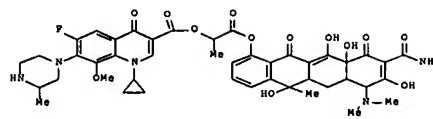
DT Patent

LA English

FAN.CNT 1

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| PI US 2006105941  | A1   | 20060518 | US 2004-988384  | 20041112 |
| WO 2006055359   | A1   | 20060526 | WO 2005-US40530 | 20051109 |
| W: AS, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MM, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                 |          |
| RN: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CP, CG, CI, CM, GA, GN, GQ, GM, ML, MR, NE, SN, TD, TG, DM, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  |      |          |                 |          |

KG, KZ, MD, RU, TJ, TM  
PRAI US 2004-988384 A 20041112  
OS MARPAT 144:474932  
GI



AB Comps. comprising two antibiotics belonging to distinct classes, which are connected via two covalent bonds (an amide or ester bond) to a linker such that the compound degrades in vivo to yield the two antibiotics are disclosed. Methods, compns., and medicaments related thereto are also disclosed. For example, a linker, i.e., the reaction product of p-toluenesulfonyl chloride and Me lactate was prepared and stirred with gatifloxacin followed by tetracycline to give compound I. An eye drop containing compound I was administered to a patient suffering from bacterial conjunctivitis over a period of 2 wk. After the complete treatment, the bacterial infection was eliminated and relief of symptoms was experienced.

IT 165800-03-3, Linezolid

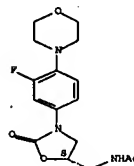
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation and antibacterial activity of mixed antibiotic codrugs)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L8 ANSWER 50 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 2006:463554 CAPLUS [Full-text](#)

DN 144:495248

TI Soluble hyaluronidases and methods of their preparation and therapeutic uses in glycosaminoglycan-associated disorders

IN Bookbinder, Louis H.; Kundu, Anirban; Frost, Gregory I.; Haller, Michael P.; Keller, Gilbert A.; Dylan, Tyler M.

PA Halozyme, Inc., USA

SO U.S. Pat. Appl. Publ., 124 pp., Cont.-in-part of U.S. Ser. No. 65,716.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 3

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| PI US 2006104968  | A1   | 20060518 | US 2005-238171  | 20050927 |
| US 2004268425   | A1   | 20041220 | US 2004-795095  | 20040305 |
| US 2005260186   | A1   | 20051124 | US 2005-65716   | 20050223 |
| AU 2006216545   | A1   | 20060831 | AU 2006-216545  | 20060223 |
| WO 2006091871   | A1   | 20060831 | WO 2006-US6700  | 20060223 |
| W: AS, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MM, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                 |          |
| RN: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CP, CG, CI, CM, GA, GN, GQ, GM, ML, MR, NE, SN, TD, TG, DM, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  |      |          |                 |          |

PRAI US 2003-452360P

P 20030305

US 2004-795095

A2 20040305

US 2005-65716

A2 20050223

US 2005-238171

A 20050927

WO 2006-US6700

W 20060223

AB The invention relates to the discovery of novel soluble neutral active hyaluronidase glycoproteins (sHASEGPs), methods of manufacture, and their use to facilitate administration of other mols. or to alleviate glycosaminoglycan-associated pathologies. Minimally active polypeptide domains of the soluble, neutral active sHASEGP domains are described that include asparagine-linked sugar moieties required for a functional neutral active hyaluronidase domain. Included are modified N-terminal leader peptides that enhance secretion of sHASEGP. The invention further comprises sialated and PEGylated forms of a recombinant sHASEGP to enhance stability and serum pharmacokinetics over naturally occurring slaughterhouse enzymes. Further described are suitable formulations of a substantially purified recombinant sHASEGP derived from a eukaryotic cell that generate the proper glycosylation required for its optimal activity.

IT 165800-03-3, Zyxon

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

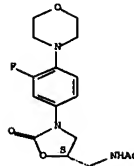
(co-treatment with; soluble hyaluronidases and methods of their preparation

and therapeutic uses in glycosaminoglycan-associated disorders)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L8 ANSWER 51 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 2006:371050 CAPLUS [Full-text](#)

DN 145:502144

TI Genotypic characterization of vancomycin-resistant Enterococcus faecium isolates from haemato-oncological patients at Olomouc University Hospital, Czech Republic

AU Kolar, M.; Pantucek, R.; Vagnerova, I.; Kasselova, M.; Sauer, P.; Matouskova, I.; Doskar, J.; Koukalova, D.; Hejnar, P.

CS Department of Microbiology, Faculty of Medicine, Palacky University, Olomouc, Czech Rep.

SO Clinical Microbiology and Infection (2006), 12(4), 353-360

CODEN: CMINPM; ISSN: 1198-743X

PB Blackwell Publishing Ltd.

DT Journal

LA English

AB This study describes the first mol. characterization of clin. isolates of vancomycin-resistant enterococci (VRE) in the Czech Republic. Of 2647 patient isolates of Enterococcus spp. from 1997-2002, 121 (4.6%) were identified as VRE. The most common isolates were VanA<sup>+</sup> Enterococcus faecium (78%) and VanB<sup>+</sup> Enterococcus faecalis (10%). In addition, five VanA<sup>+</sup> E. faecium isolates were obtained from environmental and staff sampling. Macrorestriction anal. of SmaI restriction fragment length polymorphism was performed for 54 VanA<sup>+</sup> E. faecium clin. isolates and the five VanA<sup>+</sup> E. faecium environmental isolates. Thirty-two unique restriction endonuclease patterns were identified, including two predominant clonal types represented by five or more isolates. Two environmental VanA<sup>+</sup> E. faecium isolates were closely related to two patient isolates, which had an identical SmaI macrorestriction pattern. The results indicated potential survival of strains in the hospital environment and possible subsequent transmission to hospitalized patients.

IT 165800-03-3, Linezolid

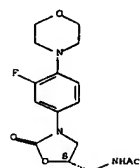
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(genotypic characterization of vancomycin-resistant Enterococcus faecium isolates from haemato-oncol. patients at Olomouc University Hospital, Czech Republic)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



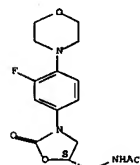
RE.CNT 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 52 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2006:35725 CAPLUS [Full-text](#)  
DN 145:485729  
TI Characterisation of non-multiresistant methicillin-resistant  
Staphylococcus aureus (including EMRSA-15) in Kuwait hospitals  
AU Udo, E. E.; Al-Sweih, N.; Noronha, B.  
CS Department of Microbiology, Faculty of Medicine, Kuwait University, Kuwait  
SO Clinical Microbiology and Infection (2006), 12(3), 262-269  
CODEN: CMINFM; ISSN: 1198-743X  
PB Blackwell Publishing Ltd.  
DT Journal  
LA English  
AB This study characterised non-multiresistant methicillin-resistant  
Staphylococcus aureus (nmMRSa) isolates from Kuwait hospitals to ascertain  
whether they were community-acquired MRSA (CA-MRSA). Forty-two nmMRSa  
isolates obtained between July 2001 and Oct. 2003 were analyzed by  
staphylococcal cassette chromosome mec (SCCmec) typing, bacteriophage typing,  
production of Panton-Valentine leukocidin (PVL), urease and staphylococcal  
enterotoxins A, B, C and D, TSST-1, and by pulsed-field gel electrophoresis  
(PFGE). Forty-one isolates were SCCmec type IV, and one isolate was SCCmec  
type III. The isolates belonged to six PFGE patterns, with two types, A and  
D, distributed in six and four hospitals, resp. Most (n = 26; 61.9%) isolates  
produced urease. These isolates were mainly from wound and skin infections,  
showed low-level methicillin resistance (MIC 8-48 mg/L), and nine carried  
genes for PVL. These characteristics, together with their carriage of the  
type-IV SCCmec, identified the isolates as CA-MRSA. Ten of the 16 urease-neg.  
isolates produced staphylococcal enterotoxin C; 12 reacted weakly with phage  
75, and were resistant to clindamycin and/or erythromycin, which are  
characteristics of EMRSA-15. Thus, this study identified the co-existence of  
two types of nmMRSa, i.e., CA-MRSA and EMRSA-15, in Kuwait hospitals.  
IT 165900-03-3, Linezolid  
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)  
(characterization of non-multiresistant methicillin-resistant  
Staphylococcus aureus (including EMRSA-15) in Kuwait hospitals)  
RN 165900-03-3 CAPLUS  
CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-  
oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-  
oxazolidinyl]methyl]- (CA INDEX NAME)

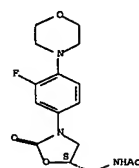
Absolute stereochemistry. Rotation (-).



RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

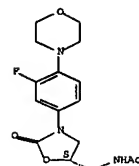
L8 ANSWER 54 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2006:308130 CAPLUS [Full-text](#)  
DN 145:336006  
TI A convenient synthesis of antibacterial linezolid from (S)-glyceraldehyde  
acetone  
AU Xu, Guang Yu; Zhou, Yi; Xu, Man Cai  
CS College of Chemistry and Chemical Engineering, Hunan Normal University,  
Changsha, 410081, Peop. Rep. China  
SO Chinese Chemical Letters (2006), 17(3), 302-304  
CODEN: CCLEET; ISSN: 1001-8417  
PB Chinese Chemical Society  
DT Journal  
LA English  
OS CASREACT 145:336006  
AB A convenient synthesis of oxazolidinone antibacterial linezolid from readily  
available L-ascorbic acid is described. The key steps include reductive  
amination of arylamine and (S)-glyceraldehyde acetone in the presence of  
NaBH4 and 4A sieve, followed by hydrolysis and regioselective cyclization.  
IT 165900-03-3, Linezolid  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(asym. synthesis of linezolid from glyceraldehyde acetone)  
RN 165900-03-3 CAPLUS  
CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-  
oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

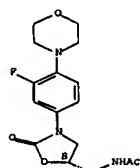
L8 ANSWER 53 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2006:312019 CAPLUS [Full-text](#)  
DN 145:502137  
TI Susceptibility studies of piperazinyl-cross-linked fluoroquinolone dimers  
against test strains of Gram-positive and Gram-negative bacteria  
AU Kerns, Robert J.; Rybak, Michael J.; Cheung, Chrissy M.  
CS Division of Medicinal and Natural Products Chemistry, The University of  
Iowa, Iowa City, IA, 52242-1112, USA  
SO Diagnostic Microbiology and Infectious Disease (2006), 54(4), 305-310  
CODEN: DMIDDD; ISSN: 0732-8893  
PB Elsevier Inc.  
DT Journal  
LA English  
AB Susceptibility testing was used to evaluate potential spectrum of action for  
piperazinyl-cross-linked fluoroquinolone dimers against test strains of  
Streptococcus pneumoniae, Streptococcus pyogenes, Escherichia coli,  
Pseudomonas aeruginosa, Mycobacterium tuberculosis, and vancomycin-resistant  
Enterococcus faecium (VRE) and to evaluate dimers against fluoroquinolone-  
resistant and fluoroquinolone-susceptible strains of streptococci. Individual  
dimers displayed equivalent or lowered MIC values compared with parent  
fluoroquinolone monomers against test strains of S. pneumoniae, S. pyogenes,  
E. coli, and VRE. Raised MIC values were observed for all dimers in  
comparison to monomers against test strains of P. aeruginosa and E. coli. In  
comparison to parent fluoroquinolones, all dimers displayed decreased percent  
inhibition of growth against M. tuberculosis. Structural requirements for  
activity of dimers and partial dimers against all organisms, including lower  
MICs against certain fluoroquinolone-resistant and fluoroquinolone-susceptible  
strains of streptococci, were consistent with requirements previously observed  
for dimers against fluoroquinolone-susceptible and fluoroquinolone-resistant  
strains of S. aureus. In contrast, the 10- to 100-fold lowering of MICs  
against wild-type and fluoroquinolone-resistant strains of S. aureus  
previously observed for individual cross-linked dimers was not observed with  
test strains of the various organisms used here.  
IT 165900-03-3, Linezolid  
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)  
(susceptibility studies of piperazinyl-cross-linked fluoroquinolone  
dimers against test strains of Gram-pos. and Gram-neg. bacteria)  
RN 165900-03-3 CAPLUS



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 55 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2006:283406 CAPLUS [Full-text](#)  
DN 145:502127  
TI Confirmation of the mode of action of an antibacterial inhibitor using  
regulated antisense RNA  
AU Yang, Junshu; Zheng, Li; Ji, Yinduo  
CS Department of Veterinary and Biomedical Sciences, University of Minnesota,  
St. Paul, MN, 55108, USA  
SO World Journal of Microbiology & Biotechnology (2006), 22(3), 299-303  
CODEN: WJMBEY; ISSN: 0959-3993  
PB Springer  
DT Journal  
LA English  
AB We previously demonstrated that regulated antisense RNA technol. enables us to  
validate and identify the mode of action for some antibiotics. In this study,  
we have expanded the application of the regulated antisense approach to track  
the mode of action for a novel inhibitor of polypeptide deformylase (pdf),  
which is an attractive target for the development of novel classes of  
antibacterial agents. We created a pdf antisense isogenic strain in  
Staphylococcus aureus using a TetR-regulated expression system. We  
demonstrated that the partial inhibition of pdf expression significantly  
increased the susceptibility of S. aureus to pdf-specific inhibitor. This  
result provides further evidence that the TetR-regulated antisense technol. is  
a robust tool for tracking the mode of action of novel antibacterial agents.  
IT 165900-03-3, Linezolid  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(the mode of action of an antibacterial inhibitor using regulated  
antisense RNA)  
RN 165900-03-3 CAPLUS  
CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-  
oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



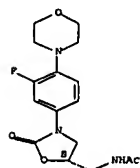
RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

LS ANSWER 56 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
AN 2006:21046 CAPLUS [Full-text](#)  
DN 145:31025  
TI Safety properties and molecular strain typing of lactic acid bacteria from slightly fermented sausages  
AU Aymerich, T.; Martin, B.; Garriga, M.; Vidal-Carou, M. C.; Bover-Cid, S.; Hugas, M.  
CS Meat Technology Centre, IRTA, Monells, Girona, Spain  
SO Journal of Applied Microbiology (2006), 100(1), 40-49  
CODEN: JAMIFK; ISSN: 1364-5072  
PB Blackwell Publishing Ltd.  
DT Journal  
LA English  
AB To evaluate the biodiversity of lactobacilli from slightly fermented sausages (chorizo, fuel and salchichon) by mol. typing, while considering their safety aspects. Species-specific PCR, plasmid profiling and randomly amplified polymorphic DNA (RAPD)-PCR were used to characterize 250 lactic acid bacteria (LAB) isolated from 21 low acid Spanish fermented sausages. Lactobacillus sakei was the predominant species (74%) followed by Lactobacillus curvatus (21.2%) and Leuconostoc mesenteroides (4.8%). By plasmid profiling and RAPD-PCR 144 different strains could be differentiated, 112 belonging to Lact. sakei, 23 to Lact. curvatus and 9 to Leuc. mesenteroides. Ion-pair high performance liquid chromatog. was used to detect biogenic amine production. Tyramine and phenylethylamine were produced by 14.4 and 12.4% of the isolates, resp., all belonging to the species Lact. curvatus. The production of tyramine was stronger than that of phenylethylamine. Partial sequencing of the tyrosine decarboxylase gene from Lact. curvatus was achieved. A specific PCR assay to detect the Lact. curvatus tyramine-producers was designed. The disk diffusion test was used to detect antibiotic resistance among the isolates. Most isolates displayed resistance to vancomycin and gentamicin. Only four strains were resistant to most of the antibiotics tested. None of the isolates were resistant to erythromycin. Lactobacillus sakei would be the species of choice for further use as starter culture in fermented sausage production. Strain typing and characterization of biogenic amine production together with antibiotic susceptibility testing for the selection of starter cultures could help to increase the quality and safety of the products. Species-specific PCR, RAPD and plasmid profiling proved to be efficient at typing LAB at species and strain level. Information on biogenic amine production and transferable antibiotic resistance is important in order to avoid selection of strains with undesirable properties as starter cultures.

finding should promote exploration of this problem in Israel and clarify the impact of resistance on outcome.

IT 165800-03-3, Linezolid  
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(C. difficile isolates from hospitalized patients with Clostridium difficile-associated diarrhea in tertiary medical center, Israel showed varied resistance to metronidazole, vancomycin, rifampicin, fusidic acid, doxycycline, linezolid)  
RN 165800-03-3 CAPLUS  
CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

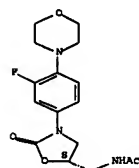


RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

LS ANSWER 58 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
AN 2006:78476 CAPLUS [Full-text](#)  
DN 144:143021  
TI Alpha-defensins, particularly human neutrophil proteins (HNPs), as anthrax immunotherapeutics  
IN Kim, Chun, Kaufmann, Stefan H. E.; Gajendran, Nadesan  
FA Max-Planck-Gesellschaft zur Foerderung der Wissenschaften e.V., Germany  
SO PCT Int. Appl., 46 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1  
PATENT NO. KIND DATE APPLICATION NO. DATE  
PI MO 2006008162 A1 20060126 MO 2005-EP7967 20050721  
M: AB, AD, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CI, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, HD, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
RM: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GG, GW, ML, MR, NE, SN, TD, TG, BW, GH,

IT 165800-03-3, Linezolid  
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(L. sakei, L. curvatus, L. mesenteroides with varied antibiotic resistance seen in slightly fermented sausage, L. curvatus produce biogenic amine with tyrosine decarboxylase gene imply L. sakei use as starter culture)  
RN 165800-03-3 CAPLUS  
CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

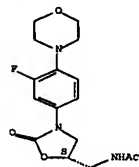


RE.CNT 60 THERE ARE 60 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

LS ANSWER 57 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
AN 2006:104985 CAPLUS [Full-text](#)  
DN 145:266598  
TI Antimicrobial resistance of Clostridium difficile isolates in a tertiary medical center, Israel  
AU Bishara, Jihad; Bloch, Yoram; Garty, Moshe; Behor, Jaqueline; Samra, Zmira  
CS Infectious Diseases Unit, Beilinson Campus, Rabin Medical Center, Petah Tikva, 49100, Israel  
SO Diagnostic Microbiology and Infectious Disease (2006), 54(2), 141-144  
CODEN: DMIDD2; ISSN: 0732-8893  
PB Elsevier Inc.  
DT Journal  
LA English  
AB The antimicrobial susceptibilities of 49 Clostridium difficile isolates obtained from patients with C. difficile-associated diarrhea to metronidazole, vancomycin, rifampicin, fusidic acid, doxycycline, and linezolid were determined by the disk diffusion and Steat (Biodisk, Solna, Sweden). Random amplification of polymorphic DNA-PCR amplification assay was performed for studying clonality of isolates. Resistance to metronidazole was found in 24 (1/49 isolates, MIC ≥ 256 µg/mL) of isolates and resistance to linezolid in 24 (1/49 isolates, MIC = 24 µg/mL). One isolate showed combined resistance to fusidic acid (by disk diffusion test) and rifampicin (MIC ≥ 32 µg/mL). All isolates were sensitive to doxycycline and vancomycin. Mol. typing revealed an absence of clonality among the resistant isolates, whereas the sensitive isolates were monoclonal. Resistance of C. difficile to metronidazole and other antimicrobials including linezolid exists in our institution. This

GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
CA 2574477 A1 20060126 CA 2005-2574477 20050721  
EP 1786453 A1 20070523 EP 2005-768232 20050721  
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR  
PRAI EP 2004-17392 A 20040722  
MO 2005-EP7967 M 20050721  
AB The present invention relates to the use of an α-defensin in the manufacture of a medicament for the treatment, amelioration or prevention of a disease caused by Bacillus anthracis infection. In accordance with this invention, it was found that intoxication by Bacillus anthracis can be prevented by neutralization or inactivating toxin activity using defensins, in particular in conjunction with chemotherapy or a vaccine against B. anthracis. Thus, methods for the treatment of an B. anthracis infection as well as methods of protection against a B. anthracis infection, e.g. a vaccination are described. In a preferred embodiment, the α-defensin is one of the human neutrophil peptides (HNPs) naturally produced by granulocyte and lymphocyte. Provided are protein and cDNA sequences for HNP1-4, including for preproteins and mature peptides, as well as for mammalian homologs of human α-defensins.  
IT 165800-03-3, Linezolid  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(co-therapy with; alpha-defensins, particularly human neutrophil proteins (HNPs), as anthrax immunotherapeutics)  
RN 165800-03-3 CAPLUS  
CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

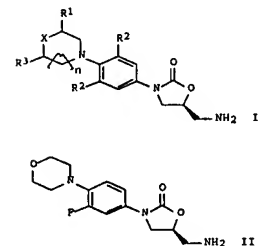
LS ANSWER 59 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
AN 2006:77302 CAPLUS [Full-text](#)  
DN 144:170978  
TI A process for the preparation of novel intermediates for linezolid and related compounds  
IN Mohan Rao, Dodda, Krishna Reddy, Pingili  
FA Synd Labs Limited, India  
SO PCT Int. Appl., 24 pp.  
CODEN: PIXXD2  
DT Patent

10524478

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LA English  
FAN.CNT 1

| PATENT NO.                                | KIND   | DATE     | APPLICATION NO. | DATE     |
|---|--|----------|-----------------|----------|
| PI WO 2006008754                          | A1   | 20060126 | WO 2004-IN218   | 20040720 |
| W:  | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SV, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |          |                 |          |
| RW:                                       | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, NG, SN, TD, TG, BM, GH, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM   |          |                 |          |
| EP 1768967                                | A1   | 20070404 | EP 2004-770673  | 20040720 |
| R:  | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR   |          |                 |          |
| US 2006247435                             | A1   | 20061102 | US 2005-524623  | 20050216 |
| PRAI WO 2004-IN218                        | W  | 20040720 |                 |          |
| OS CASREACT 144:170978; MARPAT 144:170978 |  |          |                 |          |
| GI  |  |          |                 |          |



AB The invention provides a process for preparation of 5-aminomethyl-substituted oxazolidinones of formula I, key intermediates for oxazolidinone antibacterials including linezolid. Comps. of formula I wherein X is O, S, SO, or SO<sub>2</sub>; R<sub>1</sub> is H, Me, or CN; R<sub>2</sub> is independently H, P, or Cl; R<sub>3</sub> is H or Me, n is 0, 1, or 2; and their derivs. are claimed in this invention. Thus, the key intermediate II of linezolid was prepared by reacting N-[3-chloro-2-(R)-hydroxypropyl]-3-fluoro-4-morpholinylaniline with potassium phthalimide then subjecting the resulting N-[3-phthalimido-2-(R)-hydroxypropyl]-3-fluoro-4-(morpholinyl)aniline to carbonylation to give (S)-N-[(3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]phthalimide, which reacted

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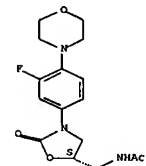
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sequencing, the type II isolates shared 100% sequence identity with M. senegalense. Partial sequencing of the type II hsp65 gene (441 bp) revealed four sequenced showing 298.4% identity with each other and 298.6% identity with the sequence of five bovine strains of M. senegalense. There was 597.1% identity with M. peregrinum type I isolates and other Mycobacterium fortuitum group species. Sequencing of addnl. gene targets including the 16S-23S rDNA internal transcribed spacer region and the rpoB gene (partial sequence) revealed a similar phylogenetic grouping. DNA-DNA hybridization showed 76 to 99% relatedness between the bovine and human strains. These studies demonstrate that type II isolates are not isolates of M. peregrinum but represent human strains of M. senegalense. This study is the first to demonstrate this species as a human pathogen. Representative human M. senegalense strains include ATCC 35755 and newly submitted strains ATCC BAA-849, ATCC BAA-850, and ATCC BAA-851.

IT 165800-03-3, Linezolid  
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(polyphasic characterization reveals that the human pathogen Mycobacterium peregrinum type II belongs to the bovine pathogen species Mycobacterium senegalense)

RN 165800-03-3 CAPLUS  
CN Acetamide, N-[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

LS ANSWER 61 of 161 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2006:32156 CAPLUS Full-text  
DN 144:114463  
TI Crystalline form IV of linezolid  
IN Aronhime, Judith; Koltai, Tamas; Braude, Viviana; Fine, Serguei; Niddam, Tamar  
PA Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceutical USA, Inc.  
SO PCT Int. Appl., 44 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 5

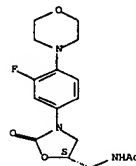
| PATENT NO.       | KIND | DATE     | APPLICATION NO. | DATE     |
|------------------|------|----------|-----------------|----------|
| PI WO 2006008754 | A1   | 20060126 | WO 2004-IN218   | 20040720 |

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with hydrazine hydrate to produce (S)-N-[(3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]amine (II).  
IT 165800-03-3P, N-[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]acetamide  
RL: SPW (Synthetic preparation); PREP (Preparation)  
(a process for the preparation of novel intermediates for linezolid and related compds.)  
RN 165800-03-3 CAPLUS  
CN Acetamide, N-[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

LS ANSWER 60 of 161 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2006:39988 CAPLUS Full-text  
DN 145:285766  
TI Polyphasic characterization reveals that the human pathogen Mycobacterium peregrinum type II belongs to the bovine pathogen species Mycobacterium senegalense  
AU Wallace, Richard J., Jr.; Brown-Elliott, Barbara A.; Brown, June; Steigerwalt, Arnold G.; Hall, Leslie; Woods, Gail; Cloud, Joann; Mann, Linda; Wilson, Rebecca; Crist, Christopher; Jost, Kenneth C., Jr.; Byrner, Dorothy E.; Tang, Jane; Cooper, Jason; Stamenova, Elena; Campbell, Brian; Wolfe, Joyce; Turenne, Christine  
CS Department of Microbiology and Mycobacteria/Nocardia Research Laboratory, The University of Texas Health Center, Tyler, TX, USA  
SO Journal of Clinical Microbiology (2005), 43(12), 5925-5935  
CODEN: JCMIDW; ISSN: 0095-1137  
PB American Society for Microbiology  
DT Journal  
LA English  
AB Mycobacterium peregrinum consists of two taxa: types I and II. We evaluated 43 clin. type II strains from throughout the United States. They were responsible for soft-tissue and bone infections, catheter-related infections, and possible pneumonitis. By carbohydrate utilization, they were indistinguishable from type I strains, being D-mannitol and trehalose pos. However, they had a distinct susceptibility pattern that included intermediate ciprofloxacin MICs but low clarithromycin and doxycycline MICs of ≤1 µg/mL. These features were also shared by reference isolates of Mycobacterium senegalense from African bovine cases of "farcy". By 16S rRNA gene

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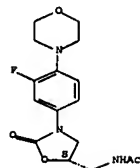
| PATENT NO.           | KIND   | DATE     | APPLICATION NO. | DATE     |
|----------------------|--|----------|-----------------|----------|
| PI WO 2006004922     | A1   | 20060112 | WO 2005-US23272 | 20050629 |
| W:                   | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MY, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SV, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |          |                 |          |
| RW:                  | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, NG, SN, TD, TG, BM, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM   |          |                 |          |
| CA 2572054           | A1   | 20060112 | CA 2005-2572054 | 20050629 |
| EP 1658275           | A1   | 20060524 | EP 2005-790033  | 20050629 |
| R:                   | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU   |          |                 |          |
| US 2006111350        | A1   | 20060525 | US 2005-171579  | 20050629 |
| US 2006142283        | A1   | 20060629 | US 2005-171098  | 20050629 |
| JP 2007504173        | T  | 20070301 | JP 2006-524972  | 20050629 |
| IN 2007DN00042       | A  | 20070427 | IN 2007-DN42    | 20070102 |
| PRAI US 2004-584371P | P  | 20040629 |                 |          |
| US 2004-584283P      | P  | 20040630 |                 |          |
| US 2004-601086P      | P  | 20040812 |                 |          |
| US 2004-602227P      | P  | 20040817 |                 |          |
| US 2004-633877P      | P  | 20041207 |                 |          |
| US 2005-656646P      | P  | 20050224 |                 |          |
| US 2005-656778P      | P  | 20050224 |                 |          |
| US 2005-678440P      | P  | 20050505 |                 |          |
| US 2005-684410P      | P  | 20050524 |                 |          |
| WO 2005-US23272      | W  | 20050629 |                 |          |

AB The present invention provides a novel crystalline form of linezolid referred to herein as Form IV as well as methods for the preparation and use of Form IV. The present invention provides pharmaceutical compns. that comprise therapeutically effective amts. of Form IV that can be used to treat patients suffering from gram-pos. bacterial infections resistant to vancomycin. Various processes for making crystalline linezolid Form IV are disclosed.

IT 165800-03-3P, Linezolid 872942-20-4P  
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PUR (Purification or recovery); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)  
(crystalline form IV of linezolid)

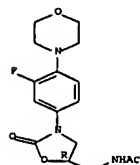
RN 165800-03-3 CAPLUS  
CN Acetamide, N-[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 872992-20-6 CAPLUS  
CN Acetamide, N-([(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)

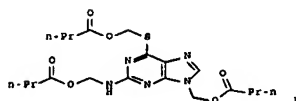
Absolute stereochemistry.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

LS ANSWER 62 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2006:18181 CAPLUS [Full-text](#)  
DN 145:438575  
TI New synthetic method of linezolid  
AU Yu, Desheng; Wang, Zhigang; Xiong, Ying; Zhao, Yanfang; Gong, Ping  
CS School of Pharmaceutical Engineering, Shenyang Pharmaceutical University, Shenyang, Liaoning Province, 110016, Peop. Rep. China  
SO Zhongguo Yaowu Huaxue Zazhi (2005), 15(2), 89-90, 93  
CODEN: ZYHZEJ; ISSN: 1005-0108  
PB Zhongguo Yaowu Huaxue Zazhi Bianjibu  
DT Journal  
LA Chinese  
OS CASREACT 145:438575  
AB A new synthetic method of linezolid was found. Using 3-fluorophenyl isocyanate and (R)-epichlorohydrin as materials, linezolid was synthesized through cyclization, substitution, acylation, bromination, and condensation. Linezolid was successfully synthesized with a total yield of 43.2%. The

Q1



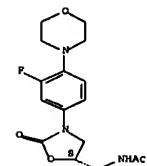
AB The invention is related to novel conjugates, e.g. I, comprising a first moiety and a second moiety, wherein the first moiety is a chemotherapeutic agent residue and the second moiety is selected so that the conjugate is capable of releasing at least one formaldehyde mol. and/or a formaldehyde analog upon cleavage, with the proviso that neither of the first moiety and the second moiety comprises a psychotropic drug residue, and further with the proviso that when the chemotherapeutic agent is 5-fluorouracil, the second moiety is not hydroxyalkyl, acyloxyalkyl, or alkoxyalkoxyalkyl. Specifically, the invention is related to the preparation of conjugates of chemotherapeutic agents of formula (R1-Y-C(R2)-X)n-A (II) [n = 1-6; XnA = a chemotherapeutic agent residue; X = a residue of a functional group that forms a part of the chemotherapeutic agent; R2 = H, cycloalkyl, aryl; Y = O, S; R1 = H, cycloalkyl, aryl, -C(=O)R3, -P(=O)(OR3)2, etc.; each Z, G = independently O, S; R3 = H, alkyl, aryl, NH2 and derivs., carboxyalkyl, etc.], to their pharmaceutical compns. and methods of using them for treating medical conditions such as cancer, immune-mediated diseases (no data), viral infections and diseases (no data), bacterial infections and diseases (no data), fungal infections and diseases (no data), protozoal infections and diseases (no data) etc., and particularly for treating such conditions which are characterized by drug resistance (data only for cancer). Thus, reacting 6-thioguanidine with chloromethyl butyrate gave 21.5% I and 29% butyric acid ([R-1-[(butyryloxy)methyl]amino]-9H-purin-6-yl]sulfinyl)methyl ester. The conjugates II are significantly more potent than tegafur, as is reflected in the observed IC50 values in proliferation assays in vitro. Butyryloxy-methylation of pyrimidine-based chemotherapeutic agents such as uracil, tegafur and thalidomide increases their anticancer activity significantly.

IT 165800-03-3, Linezolid  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(chemotherapeutic agent component; preparation of conjugates of chemotherapeutic agents capable of releasing formaldehyde or formaldehyde analogs and their uses)  
RN 165800-03-3 CAPLUS  
CN Acetamide, N-([(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

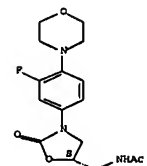
advantages of this method was low cost and simple processing compared with the reported yield of 11.3%.  
IT 165800-03-3P, Linezolid  
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(new synthetic method of linezolid as antibacterial)  
RN 165800-03-3 CAPLUS  
CN Acetamide, N-([(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



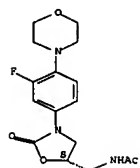
LS ANSWER 63 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2005:1330524 CAPLUS [Full-text](#)  
DN 144:69844  
TI Novel conjugates of chemotherapeutic agents capable of releasing formaldehyde or formaldehyde analogs and their uses  
IN Nudelman, Abraham; Rephaeli, Ada  
PA Ramot At Tel Aviv University Ltd., Israel; Bar-Ilan University  
SO PCT Int. Appl., 100 pp.  
CODEN: PIXXD2

DT Patent  
LA English  
FAN.CNT 1  
PATENT NO. KIND DATE APPLICATION NO. DATE  
PI WO 2005120577 A2 20051222 WO 2005-IL614 20050609  
WO 2005120577 A3 20060831  
M: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, ID, IL, IN, IS, JP, KE, KG, KH, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
R: BW, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, BG, BR, BU, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GN, GW, ML, MR, NE, NG, SN, TD, TO  
PRAI US 2004-577921P P 20040609  
OS CASREACT 144:69844



LS ANSWER 64 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2005:1329503 CAPLUS [Full-text](#)  
DN 144:74803  
TI Coating compositions for bitterness inhibition comprising polymers  
IN Menjoge, Anupa R.; Kulkarni, Mohan G.  
PA Council of Scientific and Industrial Research, USA  
SO U.S. Pat. Appl. Publ., 12 pp.  
CODEN: USXKCO  
DT Patent  
LA English  
FAN.CNT 1  
PATENT NO. KIND DATE APPLICATION NO. DATE  
PI US 2005281874 A1 20051222 US 2004-871534 20040621  
PRAI US 2004-871534 20040621  
AB The present invention discloses coating compns. with taste masking property, comprising a blend of pH sensitive polymers and optionally a pH independent polymer or a blend of the pH sensitive polymer and pH independent polymer used for taste masking of highly bitter drugs. The pH sensitive polymers used comprise the acid soluble polymers and the enteric polymers. The process for the preparation of taste masked pharmaceutical compns. of the bitter drugs comprising the acid coating compns. is disclosed. The concomitant use of the polymers inhibits the release of the bitter drug at the pH of saliva. The said coating compns. deliver substantial amount of the bitter drug immediately with improved palatability. An acid soluble or swellable polymer was synthesized from the following monomers: Me methacrylate, hydroxyethyl methacrylate, and vinyl pyridine. A pharmaceutical composition contained zein 0.3 g, Et cellulose 0.33 g, cetuxoxime acetil (I) 0.6 g, and above polymer 0.3 g. The amount of I released from the composition after 240 min was 84.22%.  
IT 165800-03-3, Linezolid  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(coating compns. for bitterness inhibition comprising polymers)  
RN 165800-03-3 CAPLUS  
CN Acetamide, N-([(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)

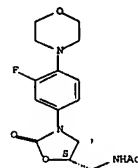
Absolute stereochemistry. Rotation (-).



LB ANSWER 65 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
AN 2005:1323720 CAPLUS [Full-text](#)  
DN 144:270382  
TI Polyclonal emergence and importation of community-acquired methicillin-resistant *Staphylococcus aureus* strains harbouring Panton-Valentine leucocidin genes in Belgium  
AU Denis, O.; Deplano, A.; De Beenhouwer, H.; Hallin, M.; Huysmans, G.; Garrino, M. G.; Glupczynski, Y.; Malaviole, X.; Vergison, A.; Struelens, M. J.  
CS Laboratoire de Reference MRSA--Staphylocoques, Department of Microbiology, Hôpital Erasme, Université Libre de Bruxelles, Brussels, Belg.  
SO Journal of Antimicrobial Chemotherapy (2005), 56(6), 1103-1106  
CODEN: JACHDX; ISSN: 0305-7453  
PB Oxford University Press  
DT Journal  
LA English  
AB Worldwide spread of a limited number of Panton-Valentine leucocidin (PVL)-producing methicillin-resistant *Staphylococcus aureus* (MRSA) clones was reported in various communities. The objective of this study was to describe the mol. characteristics of the first PVL-pos. MRSA strains isolated in Belgium. Clin. MRSA isolates (n = 41) collected from 2002 to 2004 from Belgian patients were investigated for the PVL gene by PCR. PVL-pos. isolates were genotyped by PFGE, staphylococcal cassette chromosome mec (SCCmec) typing, spa sequence typing, accessory gene regulator (agr) polymorphism and multi-locus sequence typing (MLST). Susceptibility to 14 antimicrobials was determined by the disk diffusion method. Genes encoding resistance to tetracyclines, aminoglycosides and macrolide-lincosamide-streptogramin were determined by PCR. Sixteen isolates carried lukS-lukF genes that encode the PVL toxin. All but one isolate were community-acquired. Three patients reported recent travel to North Africa and South America. They were associated with skin or soft tissue infections, bacteremia, and peritonitis. By mol. typing, they belonged to 5 genotypes: ST80-SCCmec IV, ST8-SCCmec IV, ST30-SCCmec IV, ST153-SCCmec IV, and ST88-SCCmec IV. They belonged to the agr type 3 except for ST8 strains, which showed agr type 1. All isolates were susceptible to fluoroquinolones. Approx. half of them were resistant to tetracycline, fusidic acid, and kanamycin. Tetracycline-resistant strains harbored the tet(K) gene and resistance to kanamycin was associated with the aph(3')-IIa gene. The single erythromycin-resistant isolate harbored mar(A/B) genes conferring the M resistance phenotype. These results indicate the recent emergence and sporadic importation into Belgium of PVL-pos. community-associated MRSA strains belonging to 5 distinct clones.

IT 165902-03-3, Linezolid

RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(methicillin-resistant *Staphylococcus aureus* strains harboring Panton-Valentine leucocidin genes)  
RN 165800-03-3 CAPLUS  
CN Acetamide, N-[[[(5S)-3-[[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)  
Absolute stereochemistry. Rotation (-).

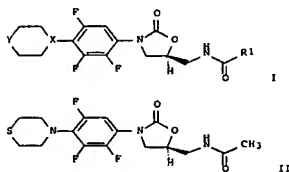


RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

LS ANSWER 66 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
AN 2005:1260967 CAPLUS [Full-text](#)  
DN 144:22912  
TI Substituted 2,3,5-trifluorophenyl oxazolidinones for use as antibacterial agents and their preparation, pharmaceutical compositions, and methods of use  
IN Barbachyn, Michael Robert; Harris, Christina Renee; Josyula, Vara Prasad Venkata Nagendra  
PA Pharmacia & Upjohn Company LLC, USA  
SO PCT Int. Appl., 37 pp., which which which  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

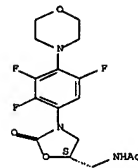
| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| PI WO 2005113520  | A1   | 20051201 | WO 2005-1B1294  | 20050509 |
| M: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                 |          |
| RM: BW, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |          |
| PRAI US 2004-572738P  | P    | 20040520 |                 |          |

US 2004-572738P P 20040520  
US 2004-572799P P 20040520  
US 2004-572802P P 20040520  
OS CASREACT 144:22912; MARPAT 144:22912  
GI



AB The invention relates to trifluorophenyl oxazolidinones I, and to a process for their synthesis. I are useful antimicrobial agents, effective against a number of human and veterinary pathogens. Claimed compds. include I and their pharmaceutically acceptable salts or prodrugs [wherein: X is CH or N, and Y is O or S(O)n; or X is N, and Y is HOCH2C(O)N; R1 is Cl-6 alkyl, O-Cl-6-alkyl, or NH-Cl-6-alkyl, and n = 0-2]. Syntheses of 5 examples are described in detail. For instance, example compound I was prepared in 6 steps. Thus, 2,3,4,5-tetrafluoronitrobenzene reacted with thiomorpholine in MeCN in the presence of DIPEA to give 4-[[2,3,4,5-tetrafluoro-4-nitrophenyl]thiomorpholine. This nitro compound was reduced to the corresponding amine with SnCl2, followed by conversion to the N-Cbz derivative. Treatment of this carbamate with LiOBu-t and cyclization with (S)-ClCH2CH(OH)CH2NH-Boc, removal of Boc, and N-acetylation, gave II. This compound had MIC90 values of 4 µg/mL against *Staphylococcus aureus* and 2 µg/mL against *Streptococcus pneumoniae*. In a test for inhibition of human monoamine oxidase A (side effect), II had a Ki value of 84 µM, and other compds. I had Ki up to 3000 µM. These higher Ki values indicate lower potential for undesirable drug-drug interactions.

IT 870447-54-4P  
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(drug candidate; preparation of substituted (trifluorophenyl)oxazolidinones as antibacterial agents)  
RN 870447-54-4 CAPLUS  
CN Acetamide, N-[[[(5S)-2-oxo-3-[[2,3,5-trifluoro-4-(4-morpholinyl)phenyl]-5-oxazolidinyl)methyl]- (CA INDEX NAME)  
Absolute stereochemistry.



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

LS ANSWER 67 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
AN 2005:1242684 CAPLUS [Full-text](#)  
DN 143:474231  
TI Soluble derivatives of human neutral hyaluronidase and preparation with transgenic cells for use in therapeutic modulation of glycosaminoglycan metabolism  
IN Bookbinder, Louis M.; Kundu, Anirban; Frost, Gregory I.; Haller, Michael F.; Keller, Gilbert A.; Dylan, Tyler M.  
PA Halozyme, Inc., USA  
SO U.S. Pat. Appl. Publ., 121 pp., Cont.-in-part of U.S. Ser. No. 795,095.  
CODEN: USXXCO  
DT Patent  
LA English  
FAN.CNT 3

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| PI US 2005260186  | A1   | 20051124 | US 2005-65716   | 20050223 |
| US 2004268425   | A1   | 20041230 | US 2004-795095  | 20040305 |
| US 2006104968   | A1   | 20060518 | US 2005-238171  | 20050927 |
| AU 2006216545   | A1   | 20060831 | AU 2006-216545  | 20060223 |
| WO 2006091871   | A1   | 20060831 | WO 2006-091871  | 20060223 |
| M: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                 |          |
| RM: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  |      |          |                 |          |
| IN 2007DN07053  | A    | 20071005 | IN 2007-DN07053 | 20070912 |
| PRAI US 2003-452360P  | P    | 20030305 |                 |          |
| US 2004-795095  | A2   | 20040305 |                 |          |
| US 2005-65716   | A2   | 20050223 |                 |          |
| US 2005-238171  | A    | 20050927 |                 |          |
| WO 2006-091871  | W    | 20060223 |                 |          |

AB The invention relates to the discovery of novel soluble neutral active Hyaluronidase Glycoproteins (SHASEGPs), methods of manufacture, and their use to facilitate administration of other moles, or to alleviate glycosaminoglycan associated pathologies. Minimally active polypeptide domains of the soluble, neutral active SHASEGP domains are described that include asparagine-linked sugar moieties required for a functional neutral active hyaluronidase domain. Included are modified amino-terminal leader peptides that enhance secretion of SHASEGP. The invention further comprises sialated and pegylated forms of a recombinant SHASEGP to enhance stability and serum pharmacokinetics over naturally occurring slaughterhouse enzymes. Further described are suitable formulations of a substantially purified recombinant SHASEGP glycoprotein derived from a eukaryotic cell that generate the proper glycosylation required for its optimal activity.

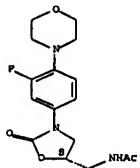
IT 165800-03-3, Zyxos

RL THU (Therapeutic use); BIOL (Biological study); USES (Uses) (therapy using hyaluronidase and; soluble derivs. of human neutral hyaluronidase and preparation with transgenic cells for use in therapeutic modulation of glycosaminoglycan metabolism)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L8 ANSWER 68 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 2005:1241414 CAPLUS [Full-text](#)

DN 144:128789

TI Pulvinones as bacterial cell wall biosynthesis inhibitors

AU Antane, Schuyler; Caufield, Craig E.; Hu, William; Keeney, David; Labthavikul, Pornpen; Morris, Koi; Naughton, Shaughnessy M.; Petersen, Peter J.; Rasmussen, Beth A.; Singh, Guy; Yang, Youjun

CS Chemical and Screening Sciences, Medicinal Chemistry, Wyeth Research, Princeton, NJ, 08543, USA

SO Bioorganic & Medicinal Chemistry Letters (2006), 16(1), 176-180

CODEN: BMCLEB; ISSN: 0950-894X

PB Elsevier B.V.

DT Journal

LA English

OS CASREACT 144:128789

AB Pulvinones were synthesized (> 180) in arrays and evaluated as inhibitors of early stage cell wall biosynthesis enzymes MurA-MurD. Several pulvinones inhibited Mur enzymes with IC50's in the 1-10 µg/mL range and demonstrated

antibacterial activity against Gram-pos. bacteria including methicillin-resistant Staphylococcus aureus, vancomycin-resistant Enterococcus faecalis, and penicillin-resistant Streptococcus pneumoniae.

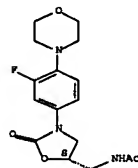
IT 165800-03-3DP, Linezolid, analogs

RL BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (preparation of pulvinone derivs. as bacterial cell wall biosynthesis inhibitors)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 69 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 2005:1224305 CAPLUS [Full-text](#)

DN 143:477961

TI Preparation of annulated pyrazoles as gyrase inhibitors and uses thereof

IN Charifson, Paul S.; Deininger, David D.; Grillot, Anne-Laure; Liao, Yusheng; Ronkin, Steven M.; Stamos, Dean; Perola, Emanuele; Wang, Tiansheng; Letiran, Arnaud; Drumm, Joseph

PA USA

SO U.S. Pat. Appl. Publ., 212-pp., Cont.-in-part of U.S. Ser. No. 971,573.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 4

| PATENT NO.       | KIND | DATE     | APPLICATION NO. | DATE     |
|------------------|------|----------|-----------------|----------|
| PI US 2005256136 | A1   | 20051117 | US 2004-986569  | 20041111 |
| US 2004235886    | A1   | 20041125 | US 2004-767638  | 20040129 |
| US 2005038247    | A1   | 20050217 | US 2004-901928  | 20040729 |
| US 2006025424    | A1   | 20060202 | US 2004-971573  | 20041021 |
| US 2006122196    | A9   | 20060608 |                 |          |
| WO 2006022773    | A1   | 20060302 | WO 2004-US34919 | 20041021 |

M: AR, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SV,

TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RN: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BP, BJ, CP, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI US 2003-443917P P 20030131

US 2004-767638 A2 20040129

US 2004-901928 A2 20040729

US 2004-971573 A2 20041021

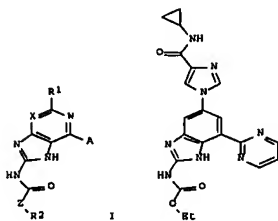
WO 2004-US34919 A2 20041021

US 2003-737638 A1 20031215

WO 2004-US2541 A 20040129

OS MARPAT 143:477961

GI



AB Title compds. I [R1 = (un)substituted Ph or heteroaryl, W = N, CH, or CF; X = CH or CF; Z = O or NH; R2 = H or alkyl; Ring A = (un)substituted 5-6 membered heteroaryl] are prepared and disclosed as gyrase inhibitors. Thus, e.g., II was prepared by cyclocondensation of 1-(3-amino-4-nitro-5-pyrimidin-2-ylphenyl)-1H-imidazole-4-carboxylic acid cyclopropylamide (preparation given) with N,N-diethylcarboxy-2-methyl-2-thiopyranose (preparation given). In gyrase inhibition assays, selected compds. of the invention possessed KI values of less than 50 nM. The present invention relates to methods of treating, preventing, or lessening the severity of resistant bacterial infections in mammals. The present invention also relates to methods of using I in combination with one or more addnl. antibacterial agents and/or one or more addnl. therapeutic agents that increase the susceptibility of bacterial organisms to antibiotics.

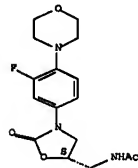
IT 165800-03-3, Linezolid

RL THU (Therapeutic use); BIOL (Biological study); USES (Uses) (gyrase inhibitors and uses thereof in combination with one or more addnl. therapeutic agents that increase susceptibility of bacterial organisms to antibiotics)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L8 ANSWER 70 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 2005:1154321 CAPLUS [Full-text](#)

DN 143:440395

TI A novel process for the preparation of linezolid and related compounds

IN Mohan, Rao Dodda; Krishna, Reddy Pingili

PA Symed Labs Limited, India; Mohan Rao, Dodda; Krishna Reddy, Pingili

SO PCT Int. Appl., 22 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

| PATENT NO.       | KIND | DATE     | APPLICATION NO. | DATE     |
|------------------|------|----------|-----------------|----------|
| PI WO 2005099353 | A2   | 20051027 | WO 2004-IN105   | 20040419 |
| WO 2005099353    | A3   | 20061026 |                 |          |

M: AR, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SV, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RN: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, BR, BW, CH, CY, CZ, DE, EE, ES, FI, FR, GB, GR, GU, HU, IE, IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, HR, LT, LV, MK, SK, TR, BF, BJ, CP, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1737850 A2 20070103 EP 2004-728229 20040419

EP 1737850 B1 20071003

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, HR, LT, LV, MK

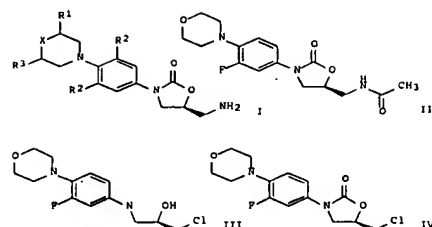
US 2007032472 A1 20070208 US 2005-524746 20050215

PRAI WO 2004-IN105 W 20040419

OS CASREACT 143:440395; MARPAT 143:440395

GI





AB The invention provides a novel process for preparation of 5-aminomethyl-substituted oxazolidinones I [X = O, S, SO, SO<sub>2</sub>; R<sub>1</sub> = H, Me, CN; R<sub>2</sub> = H, F, Cl; R<sub>3</sub> = H, Me; n = 0, 1, 2], as well as key intermediates for oxazolidinone antibacterials, including linezolid (II). For instance, II is prepared by: (a) reaction of 3-fluoro-4-(morpholin-4-yl)aniline with (R)-epichlorohydrin; (b) subjecting the resultant N-[(3-chloro-2-(R)-hydroxypropyl)-3-fluoro-4-(morpholin-4-yl)aniline (III)] to carbonylation; (c) reaction of the thus produced (5R)-5-(chloromethyl)-3-[(3-fluoro-4-(4-morpholinyl)phenyl]-2-oxazolidinone (IV) with potassium phthalimide; (d) hydrazinolysis of the obtained (S)-N-[(3-[(3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]phthalimide with hydrazine hydrate; and (e) final acetylation of (S)-N-[(3-[(3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]amine with acetic anhydride to produce II.

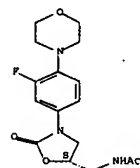
IT 165800-02-3P, Linezolid

RL: IMP (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation); (target compound; process for the preparation of linezolid and related compds.)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[(5S)-3-[(3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L8 ANSWER 71 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:1139495 CAPLUS [Full-text](#)

DN 145:141042

TI Molecular epidemiology of *Streptococcus bovis* causing endocarditis and bacteremia in Italian patients

AU Tripodi, M.-F.; Fortunato, R.; Utili, R.; Triassi, M.; Zarrilli, R.

CS Seconda Divisione di Medicina Interna ed Epatoologia, Dipartimento di Gerontologia, Geriatria e Malattie del Metabolismo, Centro di Eccellenza per lo studio delle Malattie Cardiovascolari, Seconda Università di Napoli, Naples, Italy

SO Clinical Microbiology and Infection (2005), 11(10), 814-819

CODEN: CMENFM; ISSN: 1198-743X

PB Blackwell Publishing Ltd.

DT Journal

LA English

AB *Streptococcus bovis* is being recognized increasingly as a cause of infective endocarditis, and has also been associated with underlying gastrointestinal malignancy. This study evaluated the mol. epidemiol. of *S. bovis* isolates responsible for endocarditis or bacteremia in Italian patients between Jan. 1990 and August 2003. *S. bovis* isolates were classified on the basis of their biochem. profiles, antimicrobial susceptibilities and genotypes. Of 25 isolates studied, 20 were *S. bovis* I and five were *S. bovis* II. Seven biochem. profiles were identified. Pulsed-field gel electrophoresis (PFGE) anal. identified 22 profiles that differed by at least two DNA fragments and showed a similarity of <87%. Most PFGE patterns represented single isolates that differed in antimicrobial susceptibility, but three PFGE types were observed, with identical profiles and antibiotype, in isolates from two different patients. *S. bovis* I and II isolates grouped into two distinct genetic clusters (I and II) with a similarity coefficient of 38%. Two sub-clusters (Ia and Ib), with a similarity coefficient of 47%, included 17 *S. bovis* I isolates with similar biochem. profiles (15 with biotype A, and two with biotype B), but different resistance phenotypes. Based on the phenotypic and genotypic heterogeneity of the isolates, it is postulated that the increase in *S. bovis* endocarditis in this geog. area might have been caused by the selection of sporadic endemic clones from the endogenous intestinal flora.

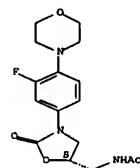
IT 165800-03-3, Linezolid

RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (phenotypic, genotypic heterogeneity among *S. bovis* isolates)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[(5S)-3-[(3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 72 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:1051977 CAPLUS [Full-text](#)

DN 145:210924

TI Synthesis of novel oxazolidinone derivatives for antibacterial investigation

AU Cui, Y.; Dang, Y.; Yang, Y.; Ji, R.

CS Shanghai Institute of Materia Medica, Shanghai Institute for Biological Science, Chinese Academy of Sciences, Shanghai, 201203, Peop. Rep. China

SO Current Science (2005), 89(3), 531-534

CODEN: CUSCAM; ISSN: 0011-3891

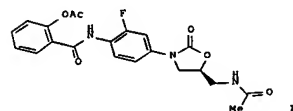
PB Current Science Association

DT Journal

LA English

OS CASREACT 145:210924

GI



AB A series of oxazolidinones were synthesized and evaluated as antibacterial agents. They were screened in vitro against a panel of Gram-pos. organisms. Compound I was found to exhibit activity comparable to linezolid.

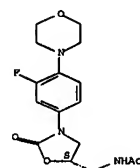
IT 165800-03-3, Linezolid

RL: PAC (Pharmacological activity); BIOL (Biological study) (preparation and antibacterial activity of sulfonamide- and Schiff base (aryl)oxazolidinone derivs.)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[(5S)-3-[(3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 73 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:1004767 CAPLUS [Full-text](#)

DN 143:300321

TI Human toll-like receptor 2 (TLR-2) haplotypes predict outcome of patients

IN Russell, James A.; Walley, Keith R.

PA The University of British Columbia, Can.

SO PCT Int. Appl., 99 pp.

CODEN: PIKXD2

DT Patent

LA English

FAN.CNT 1

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| PI WO 2005085274  | A1   | 20050915 | WO 2005-CA357   | 20050304 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                 |          |
| RW: BM, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |          |
| AU 2005219473   | A1   | 20050915 | AU 2005-219473  | 20050304 |
| CA 2557571  | A1   | 20050915 | CA 2005-2557571 | 20050304 |
| EP 1723160  | A1   | 20061122 | EP 2005-714598  | 20050304 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR   |      |          |                 |          |
| JP 2007527718   | T    | 20071004 | JP 2007-501084  | 20050304 |
| IN 2006DN05775  | A    | 20070831 | IN 2006-DN5775  | 20061004 |
| PRAI US 2004-549560P  | P    | 20040304 |                 |          |
| WO 2005-CA357   | W    | 20050304 |                 |          |

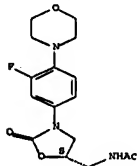
AB The invention provides methods and kits for obtaining a prognosis for a subject having or at risk of developing an inflammatory condition and or a gram pos. infection. The method generally includes determining a toll-like

receptor 2 (TLR-2) risk genotype of a subject for one or more SNPs, comparing the determined genotype with known genotypes for the polymorphism that correspond with the ability of the subject to recover from the inflammatory condition and identifying subjects based on their prognosis. The present invention provides method for genotype determination by RFLP; sequencing; hybridization; oligonucleotide ligation assay; 5' nuclease assay; polymerase proof reading methods; allele specific PCR; MALDI-TOF mass spectroscopy mino-sequencing assay; gene chip hybridization assays and reading sequencing data.

IT 165800-03-3. Linezolid  
 RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (human toll-like receptor 2 (TLR-2) haplotypes predict outcome of patients)

RN 165800-03-3 CAPLUS  
 CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 74 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN  
 AN 2005:993611 CAPLUS [Full-text](#)  
 DN 143:292527  
 TI Bioavailability and improved delivery of alkaline pharmaceutical drugs  
 IN Yu, Ruyi J.; Van Scott, Eugene J.  
 PA USA  
 SO U.S. Pat. Appl. Publ., 16 pp., Cont.-in-part of U.S. Ser. No. 792,273.  
 CODEN: USXXCO  
 DT Patent  
 LA English  
 FAN.CNT 2

| PATENT NO.    | KIND | DATE     | APPLICATION NO. | DATE     |
|---------------|------|----------|-----------------|----------|
| US 2005196418 | A1   | 20050908 | US 2005-50434   | 20050204 |
| US 2004214215 | A1   | 20041028 | US 2004-792273  | 20040304 |
| WO 2006084174 | A2   | 20060810 | WO 2006-US3917  | 20060206 |
| WO 2006084174 | A3   | 20071004 |                 |          |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,

MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SV, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RM: AT, BR, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CP, CO, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRAI US 2004-792273 A2 20040304  
 US 2003-45257P P 20030307  
 US 2005-50434 A 20050204

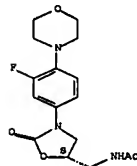
OS MARPAT 143:292527

AB Embodiments of the invention relate to a composition, a process of making the composition, and to the use of the composition. The compns. include a mol. complex formed between an alkaline pharmaceutical drug and at least one selected from a hydroxy acid, a polyhydroxy acid, a related acid, a lactone, or combinations thereof. The compns. provide improved bioavailability and improved delivery of the drug into the cutaneous tissues. For example, diphenhydramine hydrochloride 29 g (0.1 mol) was dissolved in water and 5 N sodium hydroxide generating diphenhydramine free base. Gluconolactone 18 g (0.1 mol) was added to form a mol. complex of 0.1 mol diphenhydramine free base with 0.1 mol gluconic acid/gluconolactone. The solution thus obtained was used for various forms of topical formulations including oil-in-water creams, lotions, gels and soles.

IT 165800-03-3. Linezolid  
 RL: RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)  
 (bioavailability and improved delivery of alkaline drugs by complexation with acids or lactones)

RN 165800-03-3 CAPLUS  
 CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L8 ANSWER 75 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN  
 AN 2005:943468 CAPLUS [Full-text](#)  
 DN 144:292722  
 TI A new and efficient synthetic method and antibacterial activities of oxazolidinone analogs  
 AU Yu, De Sheng; Huang, Liang; Liang, Hui; Gong, Ping  
 CS Shenyang Pharmaceutical University, Shenyang, 110016, Peop. Rep. China

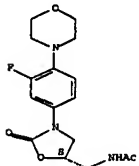
SO Chinese Chemical Letters (2005), 16(7), 875-878  
 CODEN: CCLLEE7; ISSN: 1001-8417  
 PB Chinese Chemical Society  
 DT Journal  
 LA English  
 OS CASREACT 144:292722

AB A series of novel N-[4-(4-(triazinyl)piperazin-1-yl)phenyl]oxazolidinones were prepared by a new and efficient synthetic method starting from 3-FC6H4NCO and (R)-api-chlorhydrin. The compds. exhibited antibacterial activity against Staphylococcus in preliminary studies. These compds. were characterized by LC-MS and 1H NMR.

IT 165800-03-3P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and antibacterial activity of [(triazinyl)piperazinyl]phenyl]oxazolidinones)

RN 165800-03-3 CAPLUS  
 CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 76 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN  
 AN 2005:608158 CAPLUS [Full-text](#)  
 DN 143:223422  
 TI Mutational analysis of 16S and 23S rRNA genes of Thermus thermophilus  
 AU Gregory, Steven T.; Carr, Jennifer F.; Rodriguez-Correa, Daniel; Dahlberg, Albert E.  
 CS Department of Molecular Biology, Cell Biology and Biochemistry, Brown University, Providence, RI, 02912, USA  
 SO Journal of Bacteriology (2005), 187(14), 4804-4812  
 CODEN: JOMBAV; ISSN: 0021-9193  
 PB American Society for Microbiology  
 DT Journal  
 LA English

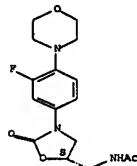
AB Structural studies of the ribosome have benefited greatly from the use of organisms adapted to extreme environments. However, little is known about the mechanisms by which ribosomes or other ribonucleoprotein complexes have adapted to functioning under extreme conditions, and it is unclear to what degree mutant phenotypes of extremophiles will resemble those of their

counterparts adapted to more moderate environments. It is conceivable that phenotypes of mutations affecting thermophilic ribosomes, for instance, will be influenced by structural adaptations specific to a thermophilic existence. This consideration is particularly important when using crystal structures of thermophilic ribosomes to interpret genetic results from non-extremophilic species. To address this issue, we have conducted a survey of spontaneously arising antibiotic-resistant mutants of the extremely thermophilic bacterium Thermus thermophilus, a species which has featured prominently in ribosome structural studies. We have accumulated over 20 single-base substitutions in T. thermophilus 16S and 23S rRNA, in the decoding site and in the peptidyltransferase active site of the ribosome. These mutations produce phenotypes that are largely identical to those of corresponding mutants of mesophilic organisms encompassing a broad phylogenetic range, suggesting that T. thermophilus may be an ideal model system for the study of ribosome structure and function.

IT 165800-03-3. Linezolid  
 RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (resistance, mutational anal. of 16S and 23S rRNA genes of Thermus thermophilus)

RN 165800-03-3 CAPLUS  
 CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



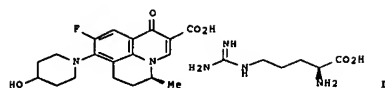
RE.CNT 65 THERE ARE 65 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 77 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN  
 AN 2005:601186 CAPLUS [Full-text](#)  
 DN 143:166017  
 TI A Chiral Benzoquinolizine-2-carboxylic Acid Arginine Salt Active against Vancomycin-Resistant Staphylococcus aureus  
 AU De Souza, Noel J.; Gupta, Shrikant V.; Deshpande, Prasad K.; Desai, Vijaya M.; Bhawar, Satish B.; Yeole, Ravindra D.; Shukla, Milind C.; Strahilevitz, Jacob; Hooper, David C.; Bozdogan, Buelent; Appelbaum, Peter C.; Jacobs, Michael R.; Shetty, Nitin; Patel, Mahesh V.; Jha, Rasendrakumar; Khorakiwala, Hafil P.  
 CS Mockhardt Research Centre, Mockhardt Limited, Aurangabad, 431 210, India  
 SO Journal of Medicinal Chemistry (2005), 48(16), 5232-5242  
 CODEN: JMCMAR; ISSN: 0022-2623  
 PB American Chemical Society  
 DT Journal

10524478

93 of 202

LA English  
OS CASREACT 143:166017  
GI



AB There is an urgent medical need for novel antibacterial agents to treat hospital infections, especially those caused by multidrug-resistant Gram-pos. pathogens. The need may also be fulfilled by either exploring antibacterial agents having new mechanism of action or expanding known classes of antibacterial drugs. The paper describes a new chemical entity, compound (I), derived from hitherto little known "floxacin". The choice of the entity was made from a series of synthesized prodrugs and salts of the active chiral benzoquinolizine carboxylic acid, *S*-(*-*)-nadifloxacin. The chemical, physicochem. characteristics, and essential bioprofile of I qualifies it for serious consideration as a novel drug entity against hospital infections of multidrug-resistant *Staphylococcus aureus*, and its progress up to clin. phase I trials in humans is described.

IT 165900-02-3, Linezolid

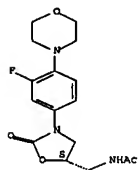
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(chiral benzoquinolizine-2-carboxylic acid arginine salt active against vancomycin-resistant *Staphylococcus aureus*)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 78 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN

10524478

95 of 202

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 79 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 2005:571624 CAPLUS [Full-text](#)

DN 144:350572

TI A novel and short convergent approach for N-aryl-5-aminomethyl-2-oxazolidinone derivatives Linezolid and DUP-721

AU Madhusudan, G.; Reddy, G. Om; Ramanathan, J.; Dubey, P. K.

CS Technology Development Center, Dr Reddys Laboratories Ltd, Hyderabad, 500 049, India

SO Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (2005), 44B(6), 1236-1238

CODEN: IJSCDB; ISSN: 0376-4699

PB National Institute of Science Communication and Information Resources

DT Journal

LA English

OS CASREACT 144:350572

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB A new convergent and short approach for oxazolidinone class of antibacterial agents, Linezolid (I) and DUP-721 (II), has been achieved by condensing chiral 3-chloro-2-(phenoxycarbonyloxy) Dr azide III with aryl amine followed by reductive acetylation. This one pot approach for N-aryl-5-aminomethyl-2-oxazolidinone could provide access for rapid preparation of various oxazolidinone analogs.

IT 165800-02-3P, Linezolid

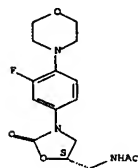
RL: SPN (Synthetic preparation); PREP (Preparation)

(stereoselective preparation of aryl(aminomethyl)oxazolidinone derivs. via ring opening of chiral (R)-epichlorohydrin with sodium azide followed by condensation with Ph chloroformate, heterocyclization with arylamine and reductive acylation)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD

10524478

94 of 202

AN 2005:581513 CAPLUS [Full-text](#)

DN 143:224763

TI Orientation of oxazolidinones in the active site of monoamine oxidase

AU Jones, Tadeusz, Z. F.; Fleming, Paul; Eyermann, Charles J.; Gravestock, Michael B.; Ramsay, Rona R.

CS Centre for Biomolecular Sciences, University of St. Andrews, St. Andrews, Fife, KY16 9ST, UK

SO Biochemical Pharmacology (2005), 70(3), 407-416

CODEN: BCPCA6; ISSN: 0006-2952

PB Elsevier B.V.

DT Journal

LA English

OS CASREACT 143:224763

AB Oxazolidinone inhibitors of monoamine oxidase (MAO) and oxazolidinone antibacterials are two distinct classes of drug, often with linear structures and overlapping activities for some derivs. By synthesizing novel dimerized derivs. with identical substitution of the two C-5 side chains, we have obtained exptl. evidence for the orientation of oxazolidinones in the active site of MAO A. Two types of spectral changes, either increasing the absorbance at 510 nm or decreasing it at 495 nm depending on the group nearest to the flavin cofactor, were seen on ligand binding to MAO A. Side chain derivs. with amine substituents are very poor substrates so that it was possible to examine the spectral change due to binding of a substrate before reduction of the flavin occurred. Binding of these amino derivative substrates to MAO A induced a spectral change characterized by a strong decrease in absorbance at 495 nm. These substrates reduced the enzyme fully without any trace of a semiquinone intermediate. Only oxazolidinone inhibitors with a bromo-imidazole substituent increased the yield of semiquinone intermediate obtained during chemical reduction. In accord with the exptl. data, results of docking expts. showed that binding of the oxazolidinone ring in the aromatic cage close to the flavin was favored and that the nitrogen of the derivs. that were substrates was within van der Waals distance of N-5 of the flavin.

IT 165800-02-3

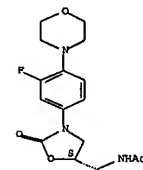
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)

(orientation of oxazolidinones in active site of monoamine oxidase)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD

10524478

96 of 202

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 80 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 2005:547534 CAPLUS [Full-text](#)

DN 143:83463

TI Taste masked pharmaceutical compositions comprising bitter drug and pH sensitive polymer

IN Kulkarni, Mohan Gopalkrishna; Menjoge, Anupa Ramesh

PA Council of Scientific & Industrial Research, India

SO PCT Int. Appl., 36 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

| PATENT NO.  | KIND | DATE     | APPLICATION NO.  | DATE     |
|---|------|----------|------------------|----------|
| PI WO 200505987   | A1   | 20050623 | WO 2003-IN392    | 20031215 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                  |          |
| RW: BM, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, GM, HR, NE, NG, TD, TG  |      |          |                  |          |
| CA 2549572  | A1   | 20050623 | CA 2003-2549572  | 20031215 |
| AU 2003292509   | B9   | 20050629 | AU 2003-292509   | 20031215 |
| AU 2003292509   | A1   | 20050629 |                  |          |
| AU 2003292509   | B2   | 20070802 |                  |          |
| EP 1694302  | A1   | 20060830 | EP 2003-768091   | 20031215 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK   |      |          |                  |          |
| CN 1878539  | A    | 20061213 | CN 2003-80110830 | 20031215 |
| JP 2007518670   | T    | 20070712 | JP 2005-511660   | 20031215 |
| PRAI WO 20031392  | W    | 20031215 |                  |          |

AB The present invention discloses pharmaceutical compns. comprising of pH sensitive polymers used for taste masking highly bitter drugs. The pH sensitive polymer acts as a reverse enteric coating, which is soluble in the acidic pH range 1.0 to 3.0 normally found in the stomach but is insol. in the pH range 3.5 to 7 thus inhibiting the release of the bitter drug at the pH of saliva and also at the pH of reconstitution medium in case of liquid orals. Thus, taste masked microcapsules were obtained by emulsification solvent evaporation technic. Ciprofloxacin (3.50 g) was dispersed in polymer solution containing 900 mg of polymer in 45 mL of mixture of methanol and dichloromethane (1:1). The polymer has the monomer composition Me methacrylate 60%, hydroxyethyl methacrylate 25%, and vinylpyridine 15%. The nonionic surfactant Span 85 was added 0.5% to facilitate the dispersion of ciprofloxacin in the polymer solution. The dispersion of ciprofloxacin was added dropwise to the bath of light liquid paraffin under mech. stirring. A constant mech. stirring rate of 1000 rpm and at room temperature was maintained for a 3 to 4 h. Solvent was allowed to evaporate and the microspheres so obtained were separated by filtration, washed by petroleum ether and dried at 27° under vacuum for 24 h. The microcapsules release 96.58%, 91.57% and 96.85% ciprofloxacin in 15 min, 30 min, and 45 min, resp.

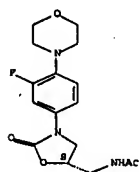
IT 165800-03-3, Linezolid

RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(taste masked oral compns. comprising bitter drug and pH sensitive

polymer)  
 RN 165800-03-3 CAPLUS  
 CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

LS ANSWER 81 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
 AN 2005:547533 CAPLUS [Full-text](#)  
 DN 143:83462  
 TI Taste masked pharmaceutical composition comprising pH sensitive polymer  
 IN Kulkarni, Mohan; Gopalakrishna; Menjoge, Anupa Ramesh  
 PA Council of Scientific & Industrial Research, India  
 SO PCT Int. Appl., 54 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

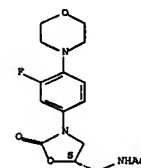
| PATENT NO.         | KIND   | DATE     | APPLICATION NO.  | DATE     |
|--------------------|--|----------|------------------|----------|
| PI WO 2005058986   | A1   | 20050623 | WO 2003-7H391    | 20031215 |
| W1                 | AS, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MY, NZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SV, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW |          |                  |          |
| RN1                | BW, GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CH, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |                  |          |
| CA 2549539         | A1   | 20050623 | CA 2003-2549539  | 20031215 |
| AU 2003288707      | A1   | 20050629 | AU 2003-288707   | 20031215 |
| AU 2003288707      | B2   | 20070802 |                  |          |
| EP 1694303         | A1   | 20060830 | EP 2003-780610   | 20031215 |
| R1                 | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK   |          |                  |          |
| CN 1878540         | A  | 20061213 | CN 2003-80110831 | 20031215 |
| JP 2007518669      | T  | 20070712 | JP 2005-511659   | 20031215 |
| PRA1 WO 2003-IN391 | M  | 20031215 |                  |          |

AB The present invention discloses a substantially amorphous oral pharmaceutical composition comprising a drug that can exist in a variety of polymorphic forms and a pH sensitive polymer, which inhibits the crystallization of the drug during formulation and reconstitution. Polymers of higher mol. weight are more effective at lower loading, especially when the drug polymer matrix is prepared by the solvent evaporation or solvent extraction technique. The composition used as dry syrups maintain oral bioavailability of the drug and effectively mask the taste of the drug when the composition is reconstituted. Thus, the pH sensitive polymer was synthesized by solution polymerization from hydrophobic monomer Me methacrylate 60%, hydrophilic monomer hydroxyethyl methacrylate 25%, and basic monomer vinylpyridine 15% using an azo initiator, azo bis isobutyronitrile. Polymers having a wide range of mol. weight were synthesized and their utility for taste masking and also for the crystallization inhibition was evaluated by formulating the pharmaceutical compns. using a bitter drug, cefuroxime axetil which exists in the polymorphic form and coating the drug with these pH sensitive polymers. For example, 1.5 g of polymer of mol. weight 63,189 daltons and cefuroxime axetil 3 g were dissolved in 40 mL of acetone containing 10% water. The resulting mixture was poured into a tray and dried at 27° under vacuum for 24 h. The resulting drug polymer matrix was sized to obtain ASTM mesh 40/60 particles.

IT 165800-03-3, Linezolid  
 RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USBS (Uses)  
 (taste masked composition comprising pH sensitive polymer with enhanced oral drug bioavailability)

RN 165800-03-3 CAPLUS  
 CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

LS ANSWER 82 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
 AN 2005:546887 CAPLUS [Full-text](#)  
 DN 143:65472  
 TI Taste masked pharmaceutical compositions comprising pH sensitive polymers  
 IN Kulkarni, Mohan; Gopalakrishna; Menjoge, Anupa Ramesh  
 PA Council of Scientific & Industrial Research, India  
 SO U.S. Pat. Appl. Publ., 34 pp.  
 CODEN: USXXCO

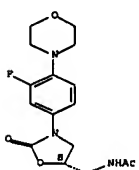
DT Patent  
 LA English  
 FAN.CNT 1

| PATENT NO.       | KIND | DATE     | APPLICATION NO. | DATE     |
|------------------|------|----------|-----------------|----------|
| PI US 2005136115 | A1   | 20050623 | US 2003-739528  | 20031219 |
| US 7282218       | B2   | 20071016 |                 |          |

PRA1 US 2003-739528 20031219  
 AB The present invention discloses a substantially amorphous pharmaceutical composition comprising a drug that can exist in a variety of polymorphic forms and a pH sensitive polymer, which inhibits the crystallization of the drug during formulation and reconstitution. Polymers of higher mol. weight are more effective at lower loading, especially when the drug polymer matrix is prepared by the solvent evaporation or solvent extraction technique. The compns. used as dry syrups maintain bioavailability of the drug and effectively mask the taste of the drug when the composition is reconstituted. Thus, a polymer from 2-HEMA, Me methacrylate and 4-vinylpyrrolidine was prepared and mixed with cefuroxime axetil.

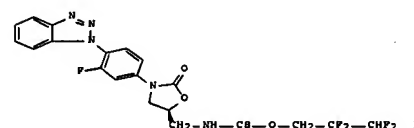
IT 165800-03-3, Linezolid  
 RL: THU (Therapeutic use); BIOL (Biological study); USBS (Uses)  
 (taste masked pharmaceutical compns. comprising pH-sensitive polymers)  
 RN 165800-03-3 CAPLUS  
 CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



LS ANSWER 83 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
 AN 2005:511362 CAPLUS [Full-text](#)  
 DN 143:172816  
 TI Synthesis and antibacterial activity of novel (un)substituted benzotriazolyl oxazolidinone derivatives  
 AU Dixit, Prasad P.; Nair, Prachap S.; Patil, Vijaykumar J.; Jain, Sanjay; Arora, Sudershan K.; Sinha, Neelima  
 CS Medicinal Chemistry Division, New Chemical Entity Research, Pune, Maharashtra, 411 042, India  
 SO Bioorganic & Medicinal Chemistry Letters (2005), 15(12), 3002-3005  
 CODEN: BMCLE8; ISSN: 0960-894X  
 PB Elsevier B.V.  
 DT Journal  
 LA English  
 OS CASREACT 143:172816

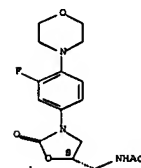
GI



AB A series of (un)substituted benzotriazolyl oxazolidinone derivs., e. g. I, has been synthesized and tested for in vitro antibacterial activities by MIC determination against a panel of susceptible and resistant Gram-pos. and Gram-neg. microorganisms, some of which are resistant to methicillin and vancomycin. Several compds. from this series were found to be equipotent or more potent than linezolid in vitro.

IT 165800-03-3, Linezolid  
 RL: PAC (Pharmacological activity); BIOL (Biological study)  
 (preparation and antibacterial activity of (benzotriazolylphenyl) (oxazolidinonylmethyl)thioureas and -thiocarbamates using addition reaction of isothiocyanate analogs, amines and alcs. as the key step)  
 RN 165800-03-3 CAPLUS  
 CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)

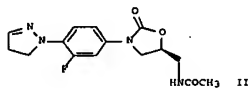
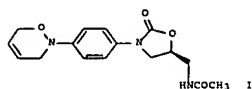
Absolute stereochemistry. Rotation (-).



RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

LS ANSWER 84 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
 AN 2005:461109 CAPLUS [Full-text](#)  
 DN 143:133311  
 TI Synthesis and antibacterial activity of dihydro-1,2-oxazine and 2-pyrazoline oxazolidinones: novel analogs of linezolid

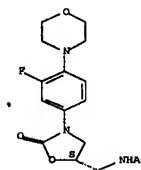
AU D'Andrea, Stan; Zheng, Zhizhen Barbara; DenBleyker, Kenneth; Fung-Tomc, Joan C.; Yang, Hyekyung; Clark, Junius; Taylor, Dennis; Bronson, Joanne  
 CS Pharmaceutical Research Institute, Bristol-Myers Squibb, Discovery  
 Chemistry, Wallingford, CT, 06492, USA  
 SO Bioorganic & Medicinal Chemistry Letters (2005), 15(11), 2834-2839  
 CODEN: BMCLE8; ISSN: 0960-894X  
 PB Elsevier B.V.  
 DT Journal  
 LA English  
 OS CASREACT 143:133311  
 GI



AB The synthesis and antibacterial activity of oxazolidinones containing dihydro-1,2-oxazine and 2-pyrazoline ring systems are described. Linezolid analogs utilizing dihydro-1,2-oxazines as morpholine mimics were prepared utilizing a nitrosoamine/diene 4+2 cycloaddn. strategy. Pyrazolidine, hexahydropyridazine, and 2-pyrazoline analogs more closely related to eperzolid were also prepared. The most active of these new oxazolidinones were the dihydro-1,2-oxazine I and the 2-pyrazoline II, both of which had potency similar to linezolid against a panel of gram-pos. bacteria.

IT 161300-03-3DP, Linezolid, analogs  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (synthesis and antibacterial activity of oxazolidinones containing dihydro-1,2-oxazine and 2-pyrazoline ring systems)  
 RN 165800-03-3 CAPLUS  
 CN Acetamide, N-[[[(5S)-3-[3-(fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

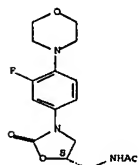


RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 85 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN  
 AN 2005:305935 CAPLUS [Full-text](#)  
 DN 143:282464  
 TI European surveillance study on the antibiotic susceptibility of Propionibacterium acnes  
 AU Oprica, C.; Nord, C. E.  
 CS ESCMID Study Group on Antimicrobial Resistance in Anaerobic Bacteria, Department of Laboratory Medicine, Division of Clinical Bacteriology, and Department of Medicine, Division of Dermatology and Venereology, Karolinska Institutet, Karolinska University Hospital, Stockholm, Swed.  
 SO Clinical Microbiology and Infection (2005), 11(3), 204-213  
 CODEN: CMIMFM; ISSN: 1198-743X  
 PB Blackwell Publishing Ltd.  
 DT Journal  
 LA English  
 AB Propionibacterium acnes strains are recovered from infections linked to surgical procedures, foreign bodies and septicemia. This study investigated the antibiotic susceptibility patterns of P. acnes isolates from different systemic infections and determined the genomic diversity among resistant P. acnes isolates with low-frequency restriction anal. of chromosomal DNA by pulsed-field gel electrophoresis (PFGE). In total, 304 P. acnes isolates from 13 labs. in 13 European countries were tested against six antimicrobial agents by the NCCLS reference agar dilution method and the breakpoints recommended by the European Committee on Antimicrobial Susceptibility Testing. Blood isolates were encountered most frequently, followed by those from skin and soft tissue infections, and abdominal infections. Of the isolates examined, 2.6% were resistant to tetracycline, 15.1% to clindamycin, and 17.1% to erythromycin. No resistance was observed to linezolid, benzylpenicillin or vancomycin. There was considerable variation between countries in the proportion of resistant strains, ranging from 83% in Croatia and 60% in Italy to 0% in The Netherlands. Isolates from blood were predominant among the resistant isolates. Seventeen clones and 78 banding patterns were identified among the resistant isolates. It was concluded that antimicrobial resistance has now emerged among P. acnes isolates from systemic infections.  
 IT 165800-03-3, Linezolid  
 RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (antibiotic susceptibility of Propionibacterium acnes and genetic diversity)  
 RN 165800-03-3 CAPLUS

CN Acetamide, N-[[[(5S)-3-[3-(fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 86 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN  
 AN 2005:140552 CAPLUS [Full-text](#)  
 DN 142:233264  
 TI Ribosome structure and protein synthesis inhibitors  
 IN Steitz, Thomas A.; Moore, Peter B.; Sutcliffe, Joyce A.; Oyler, Adegboyega K.; Ippolito, Joseph A.  
 PA Yale University, USA; Rib-X Pharmaceuticals, Inc.  
 SO U.S. Pat. Appl. Publ., 121 pp., Cont.-in-part of U.S. Ser. No. 72,634.  
 CODEN: USXXCO  
 DT Patent  
 LA English  
 PAN.CNT 4

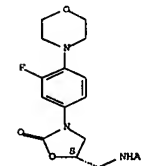
| PATENT NO.          | KIND | DATE     | APPLICATION NO. | DATE     |
|---------------------|------|----------|-----------------|----------|
| PI US 2005036997    | A1   | 20050217 | US 2002-211931  | 20020802 |
| US 6947845          | B2   | 20050920 |                 |          |
| US 2002086308       | A1   | 20020704 | US 2001-922251  | 20010803 |
| US 6947844          | B2   | 20050920 |                 |          |
| US 2003153002       | A1   | 20030814 | US 2002-72634   | 20020208 |
| US 6952650          | B2   | 20051004 |                 |          |
| US 2005272681       | A1   | 20051208 | US 2005-67522   | 20050225 |
| PRAI US 2001-922251 | A2   | 20010803 |                 |          |
| US 2002-348731P     | P    | 20020114 |                 |          |
| US 2002-352024P     | P    | 20020125 |                 |          |
| US 2002-72634       | A2   | 20020208 |                 |          |
| US 2000-223977P     | P    | 20000809 |                 |          |
| US 2000-635708      | A2   | 20000809 |                 |          |
| US 2001-306996P     | P    | 20010720 |                 |          |
| US 2001-309281P     | P    | 20010801 |                 |          |
| US 2002-211931      | A1   | 20020802 |                 |          |

AB The invention provides methods for producing high resolution crystals of ribosomes and ribosomal subunits as well as crystals produced by such methods. The invention also provides high resolution structures of ribosomal subunits either alone or in combination with protein synthesis inhibitors. The invention provides methods for identifying ribosome-related ligands and

methods for designing ligands with specific ribosome-binding properties as well as ligands that may act as protein synthesis inhibitors. Thus, the methods and compns. of the invention may be used to produce ligands that are designed to specifically kill or inhibit the growth of any target organism. Protein Data Bank accession nos. for atomic structures are included.

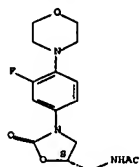
IT 165800-03-3, Linezolid  
 RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (ribosome structure and protein synthesis inhibitors)  
 RN 165800-03-3 CAPLUS  
 CN Acetamide, N-[[[(5S)-3-[3-(fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L8 ANSWER 87 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN  
 AN 2005:85221 CAPLUS [Full-text](#)  
 DN 143:183466  
 TI (S)-N-[[2-[3-(fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]acetamide intermediates  
 AU Anon.  
 CS USA  
 SO IP.com Journal (2004), 4(7), 37-38 (No. IPCOM00029292D), 22 Jun 2004  
 CODEN: IJPOBX; ISSN: 1533-0001  
 PB IP.com, Inc.  
 DT Journal; Patent  
 LA English  
 PATENT NO. KIND DATE APPLICATION NO. DATE  
 PI IP 29292D 20040622  
 PRAI IP 2004-29292D 20040622  
 AB X-ray powder diffraction data from anal. of the azido, hydroxyl, and methanesulfonate deriva. of (R)-N-3-[3-(fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-methyloxazoline is presented. These compds. are of interest as intermediates in the preparation of N-[[[(5S)-3-[3-(fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]acetamide.  
 IT 165800-03-3P  
 RL: PNU (Preparation, unclassified); PREP (Preparation)  
 (x-ray powder diffraction anal. of intermediates useful for preparing (S)-N-[[fluoro(morpholinyl)phenyl]oxooxazolidinyl)methyl acetamide)  
 RN 165800-03-3 CAPLUS  
 CN Acetamide, N-[[[(5S)-3-[3-(fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-

Absolute stereochemistry. Rotation (-).



L8 ANSWER 88 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 2005:74123 CAPLUS Full-text

DN 142:156180

TI Preparation and formulation of novel fusidic acid derivatives for the treatment of infections

IN Duvold, Tore; Bretting, Claus Aage Svensgaard; Rasmussen, Poul Rodbroe; Bouerat, Laetitia; Thorhaug, Jacob

PA Leo Pharma A/S, Den.

SO PCT Int. Appl., 102 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

| PATENT NO.  | KIND | DATE     | APPLICATION NO.  | DATE     |
|---|------|----------|------------------|----------|
| PI NO 2005007669  | A1   | 20050127 | WO 2004-DK491    | 20040709 |
| W: AR, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                  |          |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, BN, TD, TO  |      |          |                  |          |
| AU 2004256886   | A1   | 20050127 | AU 2004-256886   | 20040709 |
| CA 2532725  | A1   | 20050127 | CA 2004-2532725  | 20040709 |
| EP 1658305  | A1   | 20060524 | EP 2004-718988   | 20040709 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK   |      |          |                  |          |
| CN 1816560  | A    | 20060809 | CN 2004-80019302 | 20040709 |
| BR 2004012643   | A    | 20060926 | BR 2004-12643    | 20040709 |
| JP 2007506675   | T    | 20070322 | JP 2006-519769   | 20040709 |
| US 2007105826   | A1   | 20070510 | US 2005-563103   | 20051230 |
| MX 2006PA00423  | A    | 20060405 | MX 2006-PA423    | 20060110 |
| IN 2006DN00173  | A    | 20070824 | IN 2006-DN173    | 20060110 |

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 89 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 2005:59969 CAPLUS Full-text

DN 142:148822

TI Method for the treatment or prevention of dermatological disorders with a cyclooxygenase-2 inhibitor alone and in combination with a dermatological treatment agent and compositions therewith

IN Pulaski, Steven P.

PA Pharmacia Corporation, USA

SO U.S. Pat. Appl. Publ., 68 pp.

CODEN: USXXCO

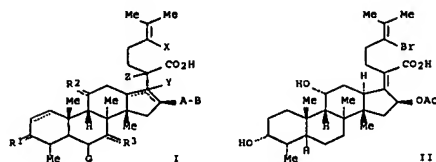
DT Patent

LA English

FAN.CNT 1

| PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE     |
|--|------|----------|-----------------|----------|
| PI US 2005014729   | A1   | 20050120 | US 2004-860307  | 20040603 |
| MO 2005009342  | A2   | 20050203 | MO 2004-US17530 | 20040603 |
| MO 2005009342  | A3   | 20050407 |                 |          |
| W: AR, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW  |      |          |                 |          |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, BN, TD, TO   |      |          |                 |          |
| PRAI US 2003-487844P   | P    | 20030716 |                 |          |
| AB A method for preventing or treating dermatol. disorders and dermatol. disorder-related complications in a subject involves a monotherapy with a Cox-2 inhibitor or a combination therapy with a Cox-2 inhibitor and a dermatol. treatment agent. Also described are therapeutic compns. comprising a Cox-2 inhibitor and a dermatol. treatment agent. Pharmaceutical compns. and kits for implementing the present method are also described. The COX-2 inhibitor is celecoxib (preparation given). |      |          |                 |          |
| IT 165800-03-3, Linezolid  |      |          |                 |          |
| RL: BBU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  |      |          |                 |          |
| (as dermatol. treatment agent, cyclooxygenase-2 inhibitor alone and in combination with dermatol. treatment agents for treatment or prevention of dermatol. disorders)   |      |          |                 |          |
| RN 165800-03-3 CAPLUS  |      |          |                 |          |
| CN Acetamide, N-[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)   |      |          |                 |          |

Absolute stereochemistry. Rotation (-).



AB Fusidic acid derivs. of formula I [X = halo, trifluoromethyl, cyano, azido, alkyl, (substituted) aryl, etc.; Y, Z = H; YZ = bond; A = bond, O, S, S(O); B = alkyl, acyl, cycloalkylcarbonyl, benzoyl, etc.; G = H, OH, OAc; R1, R2 = H, O, (substituted) OH, SH, NH, NH2, etc.; R3 = H, O, OH] are prepared for the treatment of infections. Pharmaceutical compns. containing I are described. Thus, 24-bromofusidic acid (II) was prepared, and had MIC value of 0.05 µg/mL against Staphylococcus aureus FDA466.

IT 165800-03-3, Linezolid

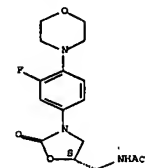
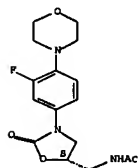
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(compns. containing; preparation of fusidic acid derivs. for the treatment of infections)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L8 ANSWER 90 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 2004:1004182 CAPLUS Full-text

DN 142:16166

TI Determination of linezolid in plasma and bronchoalveolar lavage by high-performance liquid chromatography with ultraviolet detection using a fully automated extraction method

AU Toucain, Jerome; Boselli, Emmanuel; Djabarouti, Sarah; Allaouchiche, Bernard; Xueres, Fabien; Bernadou, Jean-Marc; Ba, Boubakar; Baux, Marie-Claude; Breilh, Dominique

CS Clinical Pharmacokinetic Department, Victor Segalen Bordeaux 2 University, Bordeaux, 33604, Fr.

SO Journal of Chromatography, B: Analytical Technologies in the Biomedical and Life Sciences (2004), 813(1-2), 145-150

CODEN: JCBAAI; ISSN: 1570-0232

PB Elsevier B.V.

DT Journal

LA English

AB The aim of this study was to develop a specific and sensitive high-performance liquid chromatog. assay for the determination of linezolid in human plasma, and bronchoalveolar lavage. The sample extraction was based on a fully automated solid-phase extraction with an OASIS HLB cartridge. The method used UV detection set at a wavelength of 254 nm and a separation with a Zorbax Eclipse XDB C8 column. The assay has been found linear over the concentration range 0.02-30 µg/mL and 0.04-30 µg/mL for linezolid, resp., in plasma and bronchoalveolar lavage. It provided good validation data for accuracy and precision (CV &lt;4.64% and 5.08%, accuracy in the range 98.93-102.67% and 97.33-105.67%, resp., for intra- and interday). The assay will be applied to determine the penetration of linezolid in human bronchoalveolar lavage during pharmacokinetic steady-state.

IT 165800-03-3, Linezolid

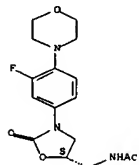
RL: ANT (Analyte); ANST (Analytical study)

(determination of linezolid in plasma and bronchoalveolar lavage by high-performance liquid chromatog. with UV detection using a fully automated extraction method)

RN 165800-03-3 CAPLUS

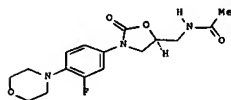
CN Acetamide, N-[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 91 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
AN 2004:947491 CAPLUS [Full-text](#)  
DN 143:326270  
TI Modification of the synthetic technology of linezolid  
AU Meng, Qingguo; Liu, Jun  
CS Institute of Medicinal Biotechnology, Chinese Academy of Medical Sciences and Peking Union Medical College, Beijing, 100050, Peop. Rep. China  
SO Zhongguo Yaowu Huaxue Zazhi (2003), 13(1), 28-30  
CODEN: ZYHZEJ; ISSN: 1005-0108  
PB Zhongguo Yaowu Huaxue Zazhi Bianjibu  
DT Journal  
LA Chinese  
OS CASREACT 143:326270  
GI

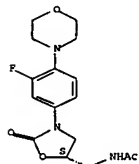


AB Linezolid (I) was synthesized through substitution, reduction, acylation, condensation, esterification, substitution, aminolysis and acetylation from 3,4-difluoronitrobenzene. The total yield was 11%, and the result was similar to the literature result without using NaN<sub>3</sub>. The modified technol. was very convenient to operate with low consumption and complete safety.

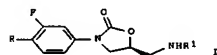
IT 165800-03-3P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of linezolid via amination of difluoronitrobenzene with morpholine followed by reduction acylation with benzyl chloroformate, cyclization with glycidyl butyrate, mesylation, amination with phthalimide, hydrolysis, and acetylation)  
RN 165800-03-3 CAPLUS

for treatment and prevention of otic disorders)  
RN 165800-03-3 CAPLUS  
CN Acetamide, N-[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



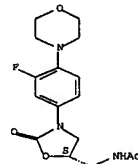
L8 ANSWER 93 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
AN 2004:727763 CAPLUS [Full-text](#)  
DN 143:59934  
TI Synthesis and in vitro antibacterial activities of new 3,5-disubstituted oxazolidinone compounds  
AU Meng, Qingguo; Wang, Qi; Liu, Jun  
CS Institute of Medicinal Biotechnology, Chinese Academy of Medical Sciences and Peking Union Medical College, Beijing, 100050, Peop. Rep. China  
SO Yaoxue Xuebao (2003), 38(10), 754-759  
CODEN: YHHPAL; ISSN: 0513-4870  
PB Yaoxue Xuebao Bianjibu  
DT Journal  
LA Chinese  
OS CASREACT 143:59934  
GI



AB The new oxazolidinone antibacterial agents were designed and synthesized. Oxazolidinones I (R = 4-morpholinyl, 4-phenyl-1-piperazinyl, 4-(4-methoxyphenyl)-1-piperazinyl, 4-benzyl-1-piperidinyl, 1-piperidinyl, R1 = acetamido, 1,2,4-triazol-4-yl, camphorimido, succinimido) were synthesized based on the SAR reported in the literature and their antibacterial activities in vitro were determined. 18 New objective compds. were synthesized, and their structures were determined by IR, <sup>1</sup>HNMR, and FAB-MS. Among the 18 new objective compds., 16 compds. showed antibacterial activity in vitro and I (R = 4-phenyl-1-piperazinyl, R1 = acetamido), I (R = 4-(4-methoxyphenyl)-1-

CN Acetamide, N-[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L8 ANSWER 92 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
AN 2004:857189 CAPLUS [Full-text](#)  
DN 141:325791  
TI Treatment and prevention of otic disorders with cyclooxygenase 2 (COX-2) inhibitors alone or in combination with otic agents  
IN Seibert, Karen  
PA Pharmacia Corporation, USA  
SO U.S. Pat. Appl. Publ., 60 pp.  
CODEN: USXXCO  
DT Patent  
LA English  
FAN.CNT 1

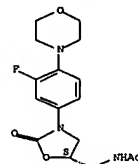
| PATENT NO.       | KIND | DATE     | APPLICATION NO. | DATE     |
|------------------|------|----------|-----------------|----------|
| PI US 2004204471 | A1   | 20041014 | US 2004-772760  | 20040204 |
| WO 2004093870    | A1   | 20041104 | WO 2004-US2990  | 20040204 |

M: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, HD, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SV, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
RM: BW, GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
PRAI US 2003-456286P P 20030320  
AB A method for preventing or treating otic disorders and otic disorder-related complications in a subject involves a monotherapy with a COX-2 inhibitor or a combination therapy with a COX-2 inhibitor and an otic agent. Also described are therapeutic compns. comprising a COX-2 inhibitor and an otic agent. Pharmaceutical compns. and kits for implementing the method are also described.  
IT 165800-03-3, Linezolid  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(cyclooxygenase 2 inhibitors alone or in combination with otic agents)

piperazinyl, R1 = acetamido), and I (R = 4-(4-methoxyphenyl)-1-piperazinyl, R1 = camphorimido) showed better antibacterial activities in vitro than ciprofloxacin, sulfamycin, and vancomycin. Compds. I (R = 4-phenyl-1-piperazinyl, R1 = 1,2,4-triazol-4-yl) and I (R = 4-benzyl-1-piperidinyl, R1 = succinimido) had no antibacterial activity in vitro at all.

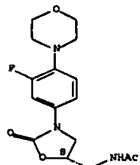
IT 165800-03-3P  
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(synthesis and in vitro antibacterial activities of new 3,5-disubstituted oxazolidinone compds.)  
RN 165800-03-3 CAPLUS  
CN Acetamide, N-[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L8 ANSWER 94 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
AN 2004:710684 CAPLUS [Full-text](#)  
DN 142:130653  
TI Synthesis and antibacterial evaluation of oxazolidin-2-ones structurally related to linezolid  
AU Ammazaloro, Alessandra; Amoroso, Rosa; Bettoni, Giancarlo; Pantacuzzi, MariaLuigia; De Filippis, Barbara; Giampietro, Letizia; Maccallini, Cristina; Paludi, Domenico; Tricca, Maria L.  
CS Department of Drug Sciences, University of Chieti, Chieti, 66100, Italy  
SO Farmaco (2004), 59(9), 645-650  
CODEN: FRMCEJ; ISSN: 0014-827X  
PB Editions Scientifiques et Medicales Elsevier  
DT Journal  
LA English  
AB Compds. structurally related to the antimicrobial drug linezolid were selected in order to evaluate the influence of electron-withdrawing properties and altered geometric features as a result of the N-substituent modification. After a preliminary study of mol. modeling, cinnamoyl-, pyridine- and pyrimidinooxazolidin-2-ones were synthesized. None of the new compds. showed antibacterial activity.  
IT 165800-03-3, Linezolid  
RL: PAC (Pharmacological activity); BIOL (Biological study)  
(preparation and antibacterial evaluation of oxazolidinones related to linezolid)  
RN 165800-03-3 CAPLUS  
CN Acetamide, N-[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-

Absolute stereochemistry. Rotation (-).

RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

LS ANSWER 95 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2004:640315 CAPLUS [Full-text](#)  
DN 141:179622  
TI Controlled release pharmaceutical compositions containing polymers  
IN Kannan, Muthaiahyan Esakki; Krishnan, Anandi; Sapre, Beena Amol; Shah, Chitra; Patil, Atul  
PA Glenmark Pharmaceuticals Ltd., India  
SO PCT Int. Appl., 75 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

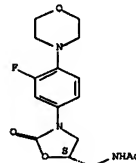
| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| PI MO 2004066910  | A2   | 20040812 | MO 2004-1B274   | 20040126 |
| W: AR, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GR, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MZ, NA, NI, NO, NZ, OM, PO, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                 |          |
| RN: BW, GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GN, GG, GW, ML, MR, NE, NW, TD, TO  |      |          |                 |          |
| IN 2003WU0132   | A    | 20050204 | IN 2003-MU132   | 20030131 |
| US 2004185097   | A1   | 20040923 | US 2004-762180  | 20040121 |
| CA 2493899  | A1   | 20040812 | CA 2004-2493899 | 20040126 |
| EP 1599190  | A2   | 20051130 | EP 2004-705137  | 20040126 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK   |      |          |                 |          |
| IN 2005MN0967   | A    | 20070615 | IN 2005-MN967   | 20050831 |
| PRAI IN 2003-MU132  | A    | 20030131 |                 |          |
| US 2003-517589P   | P    | 20031105 |                 |          |
| WO 2004-1B274   | W    | 20040126 |                 |          |

AB A solid controlled release pharmaceutical composition suitable comprises a drug, a primary release-modifying agent, a secondary release-modifying agent and an auxiliary release-modifying agent, which are present in amounts that synergistically extend the release of the active ingredient. Thus, tablets contained nicotinic acid 500.00, PEG (mol. weight 4,000,000) 170.0, retrograde starch 40.00, lactose monohydrate 30.00, talc 5.00, and Mg stearate 5.00 mg, and water qs.

IT 165800-03-3, Linezolid  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(controlled release pharmaceutical compns. containing polymers)

RN 165800-03-3 CAPLUS  
CN Acetamide, N-[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

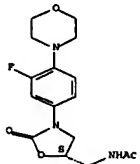


LS ANSWER 96 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2004:634616 CAPLUS [Full-text](#)  
DN 141:203145  
TI Antimicrobial activities of hydrophobic 2-arylbenzofurans and an isoflavone against vancomycin-resistant enterococci and methicillin-resistant Staphylococcus aureus  
AU Fukai, Toshio; Oku, Yukio; Hano, Yoshio; Terada, Sumio  
CS Department of Biophysical Chemistry, School of Pharmaceutical Sciences, Toho University, Chiba, Japan  
SO Planta Medica (2004), 70(7), 685-687  
CODEN: PLMEAA; ISSN: 0032-0943  
PB Georg Thieme Verlag  
DT Journal  
LA English  
AB Eight 2-arylbenzofurans and an isoflavone isolated from medicinal plants were tested for their antimicrobial activities against vancomycin-resistant enterococci (VRE) and methicillin-resistant Staphylococcus aureus (MRSA). Among these compounds, six hydrophobic 2-arylbenzofurans (log P = 4.4-8.7) exhibited considerable antibacterial activity against five VRE strains (VanA-, VanB-, and VanC-phenotypes) (MICs = 3.13-6.25 µg/mL). Five compounds also showed antibacterial activity against ten MRSA strains (MIC50 = 3.13 µg/mL).

IT 165800-02-3, Linezolid  
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(antibiotic activities of hydrophobic arylbenzofurans and gancaonin 1 isoflavone against vancomycin-resistant Enterococcus and

methicillin-resistant Staphylococcus aureus)  
RN 165800-03-3 CAPLUS  
CN Acetamide, N-[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

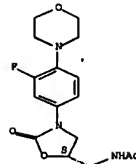
RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

LS ANSWER 97 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2004:600744 CAPLUS [Full-text](#)  
DN 141:277530  
TI Oxazolidinone-2-thiones: a molecular modeling study  
AU Gandhi, Neha; Srivastava, Brijesh K.; Lohray, Vidya B.; Lohray, Braj B.  
CS Department of Chemistry, Zydus Research Centre, Cadila Healthcare Ltd, Ahmedabad, Moraria, 382210, India  
SO Tetrahedron Letters (2004), 45(13), 6269-6272  
CODEN: TETLEA; ISSN: 0040-4039  
PB Elsevier  
DT Journal  
LA English  
OS CASREACT 141:277530  
AB Two oxazolidinone-2-thiones, thio-analogs of linezolid, were synthesized and their antibacterial properties evaluated. Unlike oxazolidinones, the thio-analogs did not inhibit the growth of Gram pos. bacteria. A mol. modeling study has been carried out to aid understanding of this unexpected finding.

IT 165800-03-3, Linezolid  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(mol. modeling study of the binding of 23S ribosome with linezolid and thio-analogs)

RN 165800-03-3 CAPLUS  
CN Acetamide, N-[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

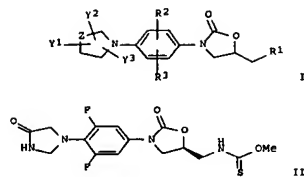
RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

LS ANSWER 98 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2004:430626 CAPLUS [Full-text](#)  
DN 141:7113  
TI Preparation of novel heterocyclic compounds having antibacterial activity  
IN Selvakumar, Natesan; Das, Jagattaran; Trehan, Sanjay; Iqbal, Javed; Kumar, Magadi Sitaran; Rajagopalan, Ramanujam; Rao, Mamidi Naga Venkata Srinivasa  
PA Reddy's Laboratories Limited, India; Reddy's Laboratories Inc.  
SO U.S. Pat. Appl., 100 pp., Cont.-in-part of U.S. Pat. Appl. 2003 65,175.  
CODEN: USXXCO  
DT Patent  
LA English  
FAN.CNT 2

| PATENT NO.          | KIND | DATE     | APPLICATION NO. | DATE     |
|---------------------|------|----------|-----------------|----------|
| PI US 2004102494    | A1   | 20040527 | US 2003-613414  | 20030703 |
| US 7160912          | B2   | 20070109 |                 |          |
| IN 2000MA01124      | A    | 20050304 | IN 2000-MA1124  | 20001226 |
| US 2003065175       | A1   | 20030403 | US 2001-32392   | 20011221 |
| US 7030148          | B2   | 20060418 |                 |          |
| ZA 2003004945       | A    | 20040927 | ZA 2003-4945    | 20030625 |
| US 2004059120       | A1   | 20040325 | US 2003-632950  | 20030801 |
| US 7183301          | B2   | 20070227 |                 |          |
| US 2006293315       | A1   | 20061228 | US 2006-511756  | 20060829 |
| US 2007004712       | A1   | 20070104 | US 2006-511799  | 20060829 |
| PRAI IN 2000-MA1124 | A    | 20001226 |                 |          |
| IN 2001-MA15        | A    | 20010104 |                 |          |
| US 2001-32392       | A2   | 20011221 |                 |          |
| US 2003-613414      | A1   | 20030703 |                 |          |

OS MARPAT 141:7113  
OI





AB The title compds. [I; R1 = NHR4 (wherein R4 = thioacyl, C(S)cycloalkoxy, C(S)aryloxy, etc.); R2, R3 = H, halo, alkyl, etc.; Y1 = O, S; Y2, Y3 = H, halo, CN, etc.; Z = O, S, CH, CH2, (un)substituted NH], useful for inhibiting the growth of bacteria in a subject having a bacterial infection (MIC values given for some of the compds. I), were prepared. E.g., a multi-step synthesis of II was given. The pharmaceutical composition comprising the compound I is claimed.

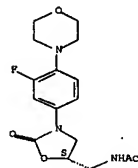
IT 165800-03-3, Linezolid

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (co-drug; preparation of novel 4-(4-oxoimidazol-1-yl)phenyl substituted oxazolidinones for treating bacterial infection in combination with other antibacterial agents)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 99 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
AN 2004:253627 CAPLUS [Full-text](#)  
DN 141:98750  
TI RBX-7644: ranbezolid hydrochloride

AU Rattan, Ashok  
CS Microbiology New Drug Discovery Research, Ranbaxy Research Laboratories, Haryana, 122002, India  
SO Drugs of the Future (2003), 28(11), 1070-1077  
CODEN: DRFUD4; ISSN: 0377-8282  
PB Prous Science  
DT Journal; General Review  
LA English  
AB A review. RBX-7644 (ranbezolid hydrochloride) is an extended-spectrum oxazolidinone that not only retains the excellent activity of linezolid against important Gram-pos. pathogens, but also displays exquisite activity against all anaerobes (Gram-pos. or Gram-neg.) tested and has significant inhibitory activity against slime-producing and glass-adherent bacteria. Like linezolid, RBX-7644 has a novel mode of action, binding to the 50S ribosomal subunit and preventing it from forming a complex with the 30S subunit and initiation factors, resulting in blockade of the initiation of protein biosynthesis in prokaryotes. Due to its novel mode of action, RBX-7644 is active against pathogens that have acquired resistance to existing drugs. RBX-7644 was highly active by both the oral and parenteral routes in mouse models of infection and displayed good oral bioavailability in mice, rats and dogs. In phase I studies, oral RBX-7644 was rapidly absorbed, safe and well tolerated. Its profile suggests potentially expanded indications for use and a reduced likelihood for resistance development.

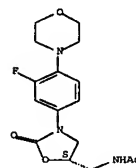
IT 165800-03-3, Linezolid

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (comparison; pharmacol. of the antibacterial agent RBX-7644 (ranbezolid hydrochloride))

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 100 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
AN 2004:79119 CAPLUS [Full-text](#)  
DN 140:230470  
TI An approach To enhance specificity against RNA targets using heteroconjugates of aminoglycosides and chloramphenicol (or linezolid)  
AU Lee, Jongkook; Kwon, Miyun; Lee, Kyung Hyun; Jeong, Sunjoo; Hyun, Soonsil;

Shin, Kye Jung; Yu, Jaehoon  
CS Life Science Division, Korea Institute of Science Technology, Seoul, 130-650, S. Korea  
SO Journal of the American Chemical Society (2004), 126(7), 1956-1957  
CODEN: JACSAT; ISSN: 0002-7863  
PB American Chemical Society  
DT Journal  
LA English  
AB We describe the design and synthesis of new heterodimeric conjugates, which are comprised of a neomycin B (Neo) stem-binding component and a chloramphenicol (Cam) or linezolid (Lnz) loop-binding component. Some of the heterodimeric conjugates display enhanced affinities to RNA targets and that binding occurs in both stem and loop regions of the RNA. In addition, the results of foot-printing and mutation studies suggest that the enhanced binding affinity of the conjugates is RNA sequence-specific.

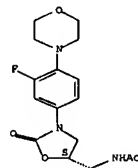
IT 165800-03-3, Linezolid

RL: BSU (Biological study, unclassified); BIOL (Biological study) (loop-binding component; approach to enhance specificity against RNA targets using heteroconjugates of aminoglycosides and chloramphenicol (or linezolid))

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 101 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
AN 2003:882178 CAPLUS [Full-text](#)  
DN 140:36871  
TI Rapid detection and estimation by pyrosequencing of 23S rRNA genes with a single nucleotide polymorphism conferring linezolid resistance in enterococci  
AU Sinclair, Alistair; Arnold, Catherine; Woodford, Neil  
CS Antibiotic Resistance Monitoring and Reference Laboratory, Specialist and Reference Microbiology Division, Health Protection Agency-Colindale, London, NW9 5HT, UK  
SO Antimicrobial Agents and Chemotherapy (2003), 47(11), 3620-3622  
CODEN: AMACCO; ISSN: 0066-4804  
PB American Society for Microbiology  
DT Journal

LA English  
AB Pyrosequencing was used to detect rapidly and estimate the number of 23S rRNA genes with a G2576T mutation in 43 linezolid-resistant and -susceptible clin. isolates of enterococci. The method showed 100% concordance with PCR-restriction fragment length polymorphism for detecting isolates homozygous for either G2576 or T2576 or heterozygous for this mutation. A good correlation was found between linezolid MICs and the number of 23S rRNA gene copies carrying the mutation.

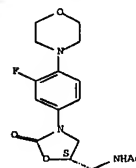
IT 165800-03-3, Linezolid

RL: BSU (Biological use, unclassified); BIOL (Biological study); USES (Uses) (23S rRNA genes with single nucleotide polymorphism conferring linezolid resistance in Enterococcus)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 102 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
AN 2003:877311 CAPLUS [Full-text](#)  
DN 140:128315  
TI Synthesis and biological evaluation of benzazepine oxazolidinone antibacterials  
AU Johnson, Paul D.; Aristoff, Paul A.; Zurenko, Gary E.; Schaadt, Ronda D.; Yagi, Betty H.; Ford, Charles W.; Hamel, Judith C.; Stapert, Douglas; Moerman, Judy K.  
CS Discovery-Chemistry, Pharmacia Corporation, Kalamazoo, MI, 49001, USA  
SO Bioorganic & Medicinal Chemistry Letters (2003), 13(23), 4197-4200  
CODEN: BMCL88; ISSN: 0960-894X  
PB Elsevier Science B.V.  
DT Journal  
LA English  
OS CASREACT 140:128315  
AB Novel benzazepine oxazolidinone antibacterials were synthesized and evaluated against relevant susceptible and resistant organisms. The effect of ring nitrogen position and N-substitution on antibacterial activity is examined. Compds. thus tested included N-[[[(5S)-2-oxo-3-(2,3,4,5-tetrahydro-1H-1-benzazepin-7-yl)-5-oxazolidinyl]methyl]acetamide, N-[[[(5S)-3-(1-formyl-2,3,4,5-tetrahydro-1H-1-benzazepin-7-yl)-2-oxo-5-

oxazolidinyl)methyl]acetamide, N-[[[(5S)-2-oxo-3-[2,3,4,5-tetrahydro-2-(hydroxyacetyl)-1H-2-benzazepin-7-yl]-5-oxazolidinyl)methyl]acetamide, and N-[[[(5S)-2-oxo-3-[2,3,4,5-tetrahydro-1H-1-benzazepin-8-yl]-5-oxazolidinyl)methyl]acetamide.

IT 165800-03-3DP, Zyxco, analogs and derivs.

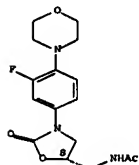
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

LN: Linezolid; preparation and antibacterial activity of N-[[oxo(tetrahydrobenzazepinyl)oxazolidinyl)methyl]acetamide derivs.)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

LS ANSWER 103 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 2003:877310 CAPLUS [Full-text](#)

DN 140:111350

TI The synthesis and antibacterial activity of 1,3,4-thiadiazole phenyl oxazolidinone analogues

AU Thomasco, Lisa M.; Gadowood, Robert C.; Weaver, Elizabeth A.; Ochoada, Jason M.; Ford, Charles M.; Zurenko, Gary E.; Hamel, Judith C.; Stapert, Douglas; Moerman, Judy K.; Schaadt, Ronda D.; Yagi, Betty H.

CS Pharmacia Corporation, Discovery-Chemistry and Discovery-Infectious Diseases, Kalamazoo, MI, 49001, USA

SO Bioorganic & Medicinal Chemistry Letters (2003), 13(23), 4193-4196

CODEN: BMCL88; ISSN: 0960-894X

PB Elsevier Science B.V.

DT Journal

LA English

OS CASREACT 140:111350

AB Replacement of the morpholine C-ring of linezolid with a 1,3,4-thiadiazolyl ring leads to oxazolidinone analogs having potent antibacterial activity against both gram-pos. and gram-neg. organisms. Conversion of the C5 acetamide group to a thioacetamide further increases the potency of these compds. The key intermediate in this synthesis was 4-[[[(5S)-5-[[acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorobenzene]carbothioic acid hydrazide. The compds. thus prepared were derivs. of N-[[[(5S)-3-[3-fluoro-4-(1,3,4-thiadiazol-2-yl)phenyl]-2-oxo-5-oxazolidinyl)methyl]acetamide.

IT 165800-03-3D, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-

5-oxazolidinyl)methyl]acetamide, analogs and derivs.

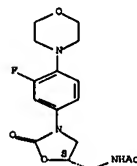
RL: PAC (Pharmacological activity); BIOL (Biological study)

LN: Preparation and antibacterial activity of N-[[[(fluoro)thiadiazolyl]phenyl]oxazolidinyl)methyl]acetamide derivs.)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

LS ANSWER 104 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 2003:877308 CAPLUS [Full-text](#)

DN 140:122097

TI New classes of antibacterial oxazolidinones with C-5, methylene O-linked heterocyclic side chains

AU Gravestock, Michael B.; Acton, David G.; Betts, Michael J.; Dennis, Michael; Hatter, Glenn; McGregor, Alexandra; Swain, Michael L.; Wilson, R. Geoffrey; Woods, Lisa; Mookey, Alan

CS AstraZeneca R&D Boston, Waltham, MA, 02451, USA

SO Bioorganic & Medicinal Chemistry Letters (2003), 13(23), 4179-4186

CODEN: BMCL88; ISSN: 0960-894X

PB Elsevier Science B.V.

DT Journal

LA English

OS CASREACT 140:122097

AB Exploration of the structure-activity relationships of the traditional C-5 acetamidomethyl side chain of the oxazolidinone antibacterials has yielded new, potent series of compds. of which the first examples, the O-linked ionoxazoles are described in detail, leading to the selection of the pre-clin. candidate AZD2563.

IT 165800-03-3, Linezolid

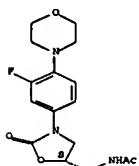
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

LN: Preparation and structure-activity relationship of antibacterial oxazolidinones with C-5, methylene O-linked heterocyclic side chains)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

LS ANSWER 105 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 2003:877305 CAPLUS [Full-text](#)

DN 140:111312

TI Influence of ethylene-oxy spacer group on the activity of linezolid: Synthesis of potent antibacterials possessing a thiocarbonyl group

AU Selvakumar, N.; Raheem, Mohammed A.; Khara, Manoj Kumar; Rajale, Trideep V.; Kumar, Magadi Sitaram; Kandepu, Greenivas; Das, Jagattaran; Rajagopalan, R.; Iqbal, Javed; Trehan, Sanjay

CS Anti-infectives Discovery Group, Discovery Research, Dr. Reddy's Laboratories Ltd., Hyderabad, 500 049, India

SO Bioorganic & Medicinal Chemistry Letters (2003), 13(23), 4169-4172

CODEN: BMCL88; ISSN: 0960-894X

PB Elsevier Science B.V.

DT Journal

LA English

OS CASREACT 140:111312

AB The influence of an ethylene-oxy spacer element between the heterocycle and the aromatic ring in linezolid is reported. The introduction of such spacer group generated compds. with inferior antibacterial activity. However, the conversion of the acetamide group present in the linezolid analogs to either thiocarbamate or thioacetamide functionality restored the activity. The synthesis of linezolid analogs possessing the ethylene-oxy spacer group along with structure-activity relationship (SAR) studies with different heterocycles and preparation of some thiocarbonyl compds. possessing potent antibacterial property are presented.

IT 165800-03-3, Linezolid

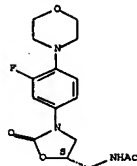
RL: PAC (Pharmacological activity); BIOL (Biological study)

LN: Preparation of thiocarbonyl group-containing heterocycles and effect of ethylene-oxy spacer group on their antibacterial activity)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

LS ANSWER 106 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 2003:770862 CAPLUS [Full-text](#)

DN 140:228506

TI Resistance of gram-positive pathogens to antibiotics is a therapeutic challenge after liver transplantation: clinical experience in one center with linezolid

AU Odakowska-Jedynak, U.; Paczek, L.; Krawczyk, M.; Zieniewicz, K.; Nyckowski, P.; Pawlak, J.; Patkowski, M.; Skwarek, A.; Paczkowska, A.

CS Department of Immunology, Transplant Medicine and Internal Diseases, Medical University of Warsaw, Warsaw, Pol.

SO Transplantation Proceedings (2003), 35(6), 2304-2306

CODEN: TRPPAS; ISSN: 0041-1345

PB Elsevier Science Inc.

DT Journal

LA English

AB Background. Orthotopic liver transplantation has become an established therapeutic option for a large variety of fulminant and chronic liver diseases. Postoperative infections are the major cause of morbidity and the leading cause of mortality. The microbes responsible for these severe infections are predominantly gram-pos. Methods. This article reviews results of linezolid therapy based on the clin. characteristics, microbial features, and outcomes of severe bacterial infections due to known or suspected resistant gram-pos. species in selected liver allograft recipients. Results: Among the 7 patients who received linezolid, methicillin-resistant Staphylococcus aureus was isolated from 3, no pathogen from 2 patients, and serious pulmonary infection in 2 patients, 1 of whom had to be reintubated due to respiratory failure. Cholangitis observed in 5 of 7 patients was caused by enterococci and staphylococci with septicemia in 1 subject. All patients demonstrated clin. improvement; microbiol. eradication was observed in 4 patients. The majority of reported adverse events were mild or moderate in intensity. No potential drug interactions were observed between linezolid and concomitant medication. Conclusions: In the present study, linezolid proved to be effective and well tolerated. In summary, linezolid may represent an effective and safe antimicrobial agent for the treatment of infections due to susceptible and resistant gram-pos. bacteria after solid organ transplantation.

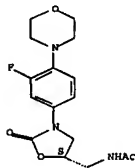
IT 165800-03-3, Linezolid

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

LN: Resistance of gram-pos. pathogens to linezolid antibiotic after liver

transplantation)  
RN 165800-03-3 CAPLUS  
CN Acetamide, N-[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 107 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
AN 2003:633448 CAPLUS [Full-text](#)  
DN 139:185666  
TI Coated pharmaceutical tablets with speckled appearance  
IN Marino, Alice C.; Noack, Robert M.; Pieman, Steven A.  
PA Pharmacia Corporation, USA  
SO PCT Int. Appl., 30 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

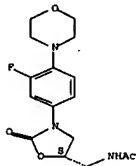
| PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE     |
|--|------|----------|-----------------|----------|
| MO 2003066030  | A2   | 20030814 | MO 2003-093837  | 20030206 |
| MO 2003066030  | A3   | 20031016 |                 |          |
| W:   |      |          |                 |          |
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| RM:  |      |          |                 |          |
| GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |      |          |                 |          |
| CA 2474921   | A1   | 20030814 | CA 2003-2474921 | 20030206 |
| AU 2003210930  | A1   | 20030902 | AU 2003-210930  | 20030206 |
| AU 2003210930  | B2   | 20070104 |                 |          |
| US 2003180357  | A1   | 20030925 | US 2003-359939  | 20030206 |
| EP 1480624   | A2   | 20041201 | EP 2003-737712  | 20030206 |
| EP 1480624   | B1   | 20061129 |                 |          |
| R:   |      |          |                 |          |
| AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK   |      |          |                 |          |

DT Journal  
LA English  
AB The effect of linezolid on the phagocytic and bactericidal functions of human polymorphonuclear neutrophils (PMN) against gram-pos. cocci was evaluated. Preincubation (30 min; 37°C) of PMN with different concns. of linezolid (2, 10, and 20 mg/l) did not significantly affect the phagocytosis of either *Staphylococcus aureus* (methicillin susceptible and resistant) or *Enterococcus faecalis* (vancomycin susceptible and resistant). Overnight exposure of vancomycin-resistant *E. faecalis* to 1/4 min. inhibitory concns. (MIC) of linezolid slightly increased phagocytosis by PMN. Preincubation of the other 3 strains with 1/4 MIC of linezolid did not affect phagocytosis by these cells. Preincubation of PMN (30 min; 37°C) using different extracellular concns. of linezolid (2, 10 and 20 mg/l) did not affect their production of either superoxide or hydrogen peroxide radicals. In conclusion, linezolid did not affect the phagocytic and bactericidal functions of human PMN.

IT 165800-03-3, Linezolid  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
C (linezolid on phagocytic functions of human polymorphonuclear leukocytes)

RN 165800-03-3 CAPLUS  
CN Acetamide, N-[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 109 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
AN 2003:576097 CAPLUS [Full-text](#)  
DN 139:85332  
TI Preparation of oxazolidone derivatives as antibacterial agents  
IN Liu, Jun; Meng, Qingguo; Jin, Jie; Wu, Yanbin  
PA Institute of Medical and Biological Technology, Chinese Academy of Medical Sciences, Peop. Rep. China  
SO Faming Zhuanli Shengqing Gongkai Shuomingshu, 50 pp.  
CODEN: CNXXEV  
DT Patent  
LA Chinese  
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|------|-----------------|------|
|            |      |      |                 |      |

|                      |    |          |                 |          |
|----------------------|----|----------|-----------------|----------|
| BR 2003007593        | A  | 20050201 | BR 2003-7593    | 20030206 |
| JP 2005517693        | T  | 20050616 | JP 2003-565454  | 20030206 |
| CN 1630512           | A  | 20050622 | CN 2003-803580  | 20030206 |
| NZ 533957            | A  | 20060224 | NZ 2003-533957  | 20030206 |
| RU 2273473           | C2 | 20060410 | RU 2004-124065  | 20030206 |
| AT 346591            | T  | 20061215 | AT 2003-737712  | 20030206 |
| ES 2274248           | T3 | 20070516 | ES 2003-3737712 | 20030206 |
| MX 2004PA06799       | A  | 20041206 | MX 2004-PA6799  | 20040713 |
| ZA 2004005556        | A  | 20050810 | ZA 2004-5556    | 20040713 |
| IN 2004DN02122       | A  | 20071019 | IN 2004-DN2122  | 20040722 |
| NO 2004003716        | A  | 20040906 | NO 2004-3716    | 20040906 |
| HK 1074581           | A1 | 20061020 | HK 2005-106918  | 20050811 |
| PRAI US 2002-355705P | P  | 20020207 |                 |          |
| WO 2003-093837       | W  | 20030206 |                 |          |

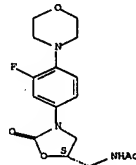
OS MARPAT 139:185666

AB A pharmaceutical tablet is provided comprising a core and a coating adherent thereto, wherein (a) the core comprises solid particles of a water-soluble dye distributed in a matrix and (b) the coating comprises gellan gum. The tablet is suitable for peroral or intraoral administration, for example for delivery of a drug contained in the core of the tablet to a subject. The tablet has a speckled appearance that renders the tablet readily identifiable.

IT 165800-03-3, Linezolid  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
C (active ingredients for coated pharmaceutical tablets with speckled appearance)

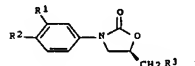
RN 165800-03-3 CAPLUS  
CN Acetamide, N-[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L8 ANSWER 108 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
AN 2003:582016 CAPLUS [Full-text](#)  
DN 140:266  
TI Effect of linezolid on the phagocytic functions of human polymorphonuclear leukocytes  
AU Ballesta, Sofia; Pascual, Alvaro; Garcia, Isabel; Perea, Evelio J.  
CS Department of Microbiology, University of Seville School of Medicine, Seville, Spain  
SO Chemotherapy (Basel, Switzerland) (2003), 49(4), 163-166  
CODEN: CHTHBK; ISSN: 0009-3157  
PB S. Karger AG

PI CN 1355165 A 20020626 CN 2001-144613 20011219  
PRAI CN 2001-144613 20011219  
OS CASREACT 139:85332; MARPAT 139:85332  
GI

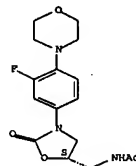


AB Title compds. I (R1 = H, halo, alkyl, or haloalkyl; R2 = morpholinyl, piperidinyl or its derivative, or 4-substituted piperazinyl; R3 = OH, SH, acyloxy, sulfonyloxy, acylamino, diacylimino, pentabasic heterocyclic group or its deriva.; and when R1 = F, R2 or R3 = morpholinyl or acetamido), useful as antibacterial agents against Gram-pos. bacteria, are prepared. For example, (R1)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-5- (hydroxy)methyl-2-oxazolidinone was converted to mesylate, condensed with potassium phthalimide, and treated with aqueous MeNH2 to give the bactericide linezolid.

IT 165800-03-3P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
C (preparation of oxazolidone derivs. as antibacterial agents)

RN 165800-03-3 CAPLUS  
CN Acetamide, N-[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L8 ANSWER 110 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
AN 2003:513241 CAPLUS [Full-text](#)  
DN 139:210667  
TI Telithromycin- and fluoroquinolone-resistant *Streptococcus pneumoniae* in Taiwan with high prevalence of resistance to macrolides and  $\beta$ -lactams: SMART program 2001 data  
AU Hsueh, Po-Ren; Teng, Lee-Jene; Wu, Tzu-Lan; Yang, Dine; Huang, Wen-Kuei;

Shyr, Jaiinn-Ming; Chuang, Yin-Ching; Wan, Jen-Hsien; Yan, Jing-Jou; Lu, Jang-Jih; Wu, Jiunn-Jong; Ko, Men-Chien; Chang, Feng-Yee; Yang, Yi-Chueh; Lau, Yeu-Jun; Liu, Yung-Ching; Lee, Chun-Ming; Leu, Hsieh-Shong; Liu, Cheng-Yi; Luh, Kwen-Tay

CS Departments of Laboratory Medicine and Internal Medicine, National Taiwan University College of Medicine, National Taiwan University Hospital, Taipei, Taiwan

SO Antimicrobial Agents and Chemotherapy (2003), 47(7), 2145-2151  
CODEN: AMACQ; ISSN: 0066-4804

PB American Society for Microbiology

DT Journal

LA English

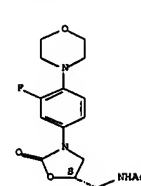
AB There is a high prevalence of  $\beta$ -lactam- and macrolide-resistant *Streptococcus pneumoniae* in Taiwan. To understand the in vitro susceptibilities of recent isolates of *S. pneumoniae* to fluoroquinolones and telithromycin (which is not available in Taiwan), the MICs of 23 antimicrobial agents for 936 clin. isolates of *S. pneumoniae* isolated from different parts of Taiwan from 2000 to 2001 were determined by the agar dilution method. Overall, 72% of isolates were not susceptible to penicillin (with 61% being intermediate and 11% being resistant) and 92% were resistant to erythromycin. Telithromycin MICs were 24  $\mu$ g/mL for 16% of the isolates, and for 99% of these isolates the MICs of all macrolides tested were 2256  $\mu$ g/mL; all of these isolates had the constitutive macrolide-lincosamide-streptogramin B phenotype. 8 Y-818% of the isolates were resistant to 3 or more classes of drugs. The ciprofloxacin MICs were 24  $\mu$ g/mL for 6 (0.6%) isolates from 5 patients collected in 2000 and 2001, and the levofloxacin MICs were 24  $\mu$ g/mL for 5 of these isolates. 7 isolates for which ciprofloxacin MICs were 24  $\mu$ g/mL, including one isolate recovered in 1999, belonged to 3 serotypes (serotype 19F, 5 isolates; serotype 23A, one isolate; and serotype 23B, one isolate). The isolates from the 6 patients for which ciprofloxacin MICs were 24  $\mu$ g/mL had different pulsed-field gel electrophoresis profiles and random amplified polymorphic DNA patterns, indicating that no clonal dissemination occurred over this time period. Despite the increased rate of fluoroquinolone use, the proportion of pneumococcal isolates for which ciprofloxacin MICs were elevated (24  $\mu$ g/mL) remained low. However, the occurrence of telithromycin resistance is impressive and raises concerns for the future.

IT 165800-03-3, Linesolid  
RL: BBU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USBS (Uses)  
(telithromycin- and fluoroquinolone-resistant *Streptococcus pneumoniae* with high prevalence of resistance to macrolides and  $\beta$ -lactams)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 111 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
AN 2003:509067 CAPLUS [Full-text](#)  
DN 139:216147

TI The Synthesis of N-Aryl-5(S)-aminomethyl-2-oxazolidinone Antibacterials and Derivatives in One Step from Aryl Carbamates

AU Perrault, William R.; Pearman, Bruce A.; Godrej, Delara B.; Jegannathan, Ashwarsamy; Yamagata, Koji; Chen, Jiong J.; Lu, Cuong V.; Herrinton, Paul M.; Gadwood, Robert C.; Chan, Lei; Lyster, Mark A.; Maloney, Mark T.; Mooslein, Jeffery A.; Greene, Meredith L.; Barbachyn, Michael R.

CS Early Chemical Process Research and Development, Chemical Process Research and Development, and Medicinal Chemistry Research, Pharmacia Corporation, Kalamazoo, MI, 49001, USA

SO Organic Process Research & Development (2003), 7(4), 533-546  
CODEN: OPRDFK; ISSN: 1083-6160

PB American Chemical Society

DT Journal

LA English

OS CASREACT 139:216147

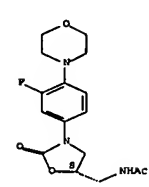
AB Economical methods for the large-scale preparation of N-[(2S)-2-(acetyloxy)-3-chloropropyl]acetamide and tert-Bu [(2S)-3-chloro-2-hydroxypropyl]carbamate from com. available (S)-epichlorohydrin via the common intermediate (2S)-1-amino-3-chloro-2-propanol hydrochloride were developed. General methods for coupling these reagents with N-aryl carbamates to give N-aryl-5(S)-aminomethyl-2-oxazolidinone derivs. in one step were developed. These reagents and procedures have proven widely applicable in the preparation of a diverse array of oxazolidinone analogs in both process and medicinal chemical research.

IT 165800-03-3P, Zyvox  
RL: EPR (Engineering process); IMP (Industrial manufacture); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USBS (Uses)  
(process development and pilot scale synthesis of N-aryl-5(S)-aminomethyl-2-oxazolidinones and derivs. in one step from aryl carbamates for antibacterial use)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 112 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
AN 2003:396460 CAPLUS [Full-text](#)  
DN 138:379198

TI Treating Infections by Administration of Oxazolidinones

IN Ford, Charles W.; Watts, Jeffrey L.

PA USA

SO U.S. Pat. Appl. Publ., 9 pp.  
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

| PATENT NO.           | KIND | DATE     | APPLICATION NO. | DATE     |
|----------------------|------|----------|-----------------|----------|
| PI US 2003096850     | A1   | 20030522 | US 2002-266304  | 20021008 |
| PRAI US 2001-328665P | P    | 20011011 |                 |          |

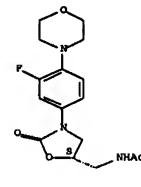
AB A method is disclosed for treating ear infections, soft-tissue infections, acne, or cellulitis in a mammal in need thereof, comprising administration of an oxazolidinone in a pharmaceutical formulation or composition to the skin of the mammal at a site proximal to the site of the infection to deliver a pharmaceutically effective amount of oxazolidinone to the infection to have a concentration of the oxazolidinone at the site of infection of about 0.5-4  $\mu$ g/mL, provided that the application for administration is not directly to the site of the infection. Preparation of [4(S)-cis]-(-)-N-[(3-(3-fluoro-4-[(tetrahydro-1-oxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl)methyl)acetamide is described.

IT 165800-03-3  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USBS (Uses)  
(oxazolidinones for treatment of infections)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L8 ANSWER 113 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
AN 2003:356028 CAPLUS [Full-text](#)  
DN 138:350275

TI Crystal structures of ribosome 50S subunit and its complexes with protein synthesis inhibitors and use for homology modeling and rational antibiotic design

IN Steltz, Thomas A.; Moore, Peter B.; Ban, Nenad; Nissen, Paul; Hansen, Jeffrey; Sutcliffe, Joyce A.; Oyler, Adegboyega K.; Ippolito, Joseph A.

PA Yale University, USA; Rib-X Pharmaceuticals, Inc.

SO Eur. Pat. Appl., 215 pp.  
CODEN: EPXXDM

DT Patent

LA English

FAN.CNT 4

| PATENT NO.          | KIND   | DATE     | APPLICATION NO. | DATE     |
|---------------------|--|----------|-----------------|----------|
| PI EP 1308457       | A1   | 20030507 | EP 2002-255442  | 20020802 |
|                     | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK |          |                 |          |
| US 2002086308       | A1   | 20020704 | US 2001-922251  | 20010603 |
| US 6947844          | B2   | 20050920 |                 |          |
| EP 1188769          | A2   | 20020320 | EP 2001-306825  | 20010809 |
| EP 1188769          | A3   | 20020710 |                 |          |
| EP 1188769          | B1   | 20060524 |                 |          |
|                     | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR                         |          |                 |          |
| US 2003153002       | A1   | 20030814 | US 2002-72634   | 20020206 |
| US 6952650          | B2   | 20051004 |                 |          |
| PRAI US 2001-922251 | A  | 20010803 |                 |          |
| US 2001-306825      | A  | 20010809 |                 |          |
| US 2002-348731P     | P  | 20020114 |                 |          |
| US 2002-352024P     | P  | 20020125 |                 |          |
| US 2002-72634       | A  | 20020208 |                 |          |
| US 2000-223977P     | P  | 20000809 |                 |          |
| US 2000-635708      | A2   | 20000809 |                 |          |
| US 2001-306996P     | P  | 20010720 |                 |          |
| US 2001-309281P     | P  | 20010801 |                 |          |

AB The invention provides methods for producing high resolution crystals of ribosomes and ribosomal subunits as well as crystals produced by such methods. The three-dimensional structure of the large 50S ribosomal subunit from *Halorubrum marismortui* is completely refined at 2.4 Å resolution. The model includes 2876 RNA nucleotides, 2701 amino acids from 28 ribosomal proteins,

117 magnesium ions, 88 monovalent cations, and 7898 water mols. In addition, X-ray diffraction data is used to solve the structure of the large ribosome subunit complexed with each of the following antibiotics: anisomycin, blasticidin, carbomycin A, tylosin, sparsomycin, virginiamycin M, spiramycin, azithromycin, linezolid, or erythromycin. Thus, the invention provides methods for identifying ribosome-related ligands and methods for designing ligands with specific ribosome-binding properties as well as ligands that may act as protein synthesis inhibitors. The methods and compns. of the invention may be used to produce ligands that are designed to specifically kill or inhibit the growth of any target organism. Syntheses are described for production of hybrid antibiotics between sparsomycin and chloramphenicol (two forms of sparsomycin) and between sparsomycin and anisomycin (sparsomycin).

IT 165800-03-3D, Linezolid, complexes with ribosomal 50S subunit

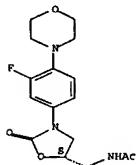
RL: PRP (Properties)

(crystal structures of ribosome 50S subunit and its complexes with protein synthesis inhibitors and use for homol. modeling and rational antibiotic design)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

LS ANSWER 114 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 2003:319648 CAPLUS [Full-text](#)

DN 138:321575

TI Novel sulfenamide prodrugs of N-H bond-containing compounds

IN Guarino, Victor R.; Karunaratne, Veranja; Stella, Valentino J.

PA University of Kansas, USA

SO PCT Int. Appl., 71 pp.

CODEN: PIXX02

DT Patent

LA English

FAN.CNT 1

| PATENT NO.       | KIND | DATE     | APPLICATION NO. | DATE     |
|------------------|------|----------|-----------------|----------|
| PI WO 2003032908 | A2   | 20030424 | WO 2002-US32957 | 20021016 |
| WO 2003032908    | A3   | 20030710 |                 |          |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW

RN: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2461557 A1 20030424 CA 2002-2461557 20021016

AU 2002337861 A1 20030428 AU 2002-337861 20021016

US 2003119814 A1 20030626 US 2002-272330 20021016

EP 1435964 A2 20040714 EP 2002-773763 20021016

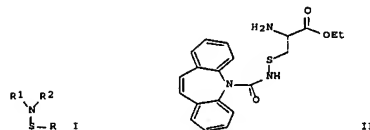
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

PRAI US 2001-329868 P 20011016

WO 2002-US32957 W 20021016

OS MARPAT 138:321575

GI



AB The present invention relates to the preparation and use of sulfenamide prodrugs wherein S-containing pro-moieties are attached to pharmaceutical compds. which contain one or more N-H bonds to produce prodrugs containing at least one N-S bond I [wherein R1 and R2 = residues of an N-H bond-containing pharmaceutical compound; R = H, inorg. residue, or optionally functionalized aliphatic, acyl, aryl, or (hetero)cyclyl group with or without addnl. heteroatoms]. These N-S bond-containing prodrugs may have optimized stability, solubility, cell membrane permeability, pharmacokinetic properties, and other pharmaceutical properties over the pharmaceutical compds. from which they are formed, depending upon the nature of the pro-moiety (no data). Reversion of the prodrug to the parent pharmaceutical compound occurs by the reaction of the prodrugs with thiol mols. such as cysteine, glutathione, or any other thiol containing mol. For example, a solution of sulfonyl chloride in CH2Cl2 was added to L-cystine di-Et ester. Reaction of the resulting sulfonyl chloride (no data) with carbamazepine in the presence of TEA in THF gave the prodrug II.

IT 165800-03-3, Linezolid

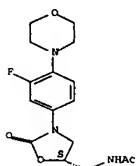
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of sulfenamide prodrugs from N-H bond-containing compds. and S-containing pro-moieties)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT 514815-11-3P 514815-12-4P

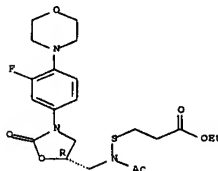
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prodrug; preparation of sulfenamide prodrugs from N-H bond-containing compds. and S-containing pro-moieties)

RN 514815-11-3 CAPLUS

CN Propanoic acid, 3-[[[acetyl[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]amino]thio]-, ethyl ester (CA INDEX NAME)

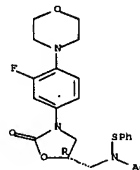
Absolute stereochemistry.



RN 514815-12-4 CAPLUS

CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]-N-(phenylthio)- (CA INDEX NAME)

Absolute stereochemistry.



LS ANSWER 115 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 2003:314060 CAPLUS [Full-text](#)

DN 139:214367

TI Synthesis of dihydrooxazole analogs derived from linezolid

AU Einsiedel, Jurgen; Schoerner, Christoph; Gnelner, Peter

CS Emil Fischer Center, Department of Medicinal Chemistry, Friedrich

Alexander University, Erlangen, D-91052, Germany

SO Tetrahedron (2003), 59(19), 3403-3407

CODEN: TETRAH; ISSN: 0040-4020

PB Elsevier Science Ltd.

DT Journal

LA English

OS CASREACT, 139:214367

AB Starting from (S)-serine, the linezolid analog with a dihydrooxazole partial structure was synthesized and pharmacol. investigated. With the help of MEP comparisons structural requirements for antibacterial activity were evaluated.

IT 165800-03-3PDP, Linezolid, analogs

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL

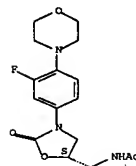
(Biological study); PREP (Preparation)

(synthesis of dihydrooxazole analogs of linezolid from nitrobenzene and antibacterial activity as related to modeled mol. electrostatic potentials)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 116 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2003:300892 CAPLUS [Full-text](#)  
DN 138:297707

TI Treating infections by administration of oxazolidinones to the skin  
IN Watts, Jeffrey L.; Ford, Charles W.  
PA Pharmacia & Upjohn Company, USA  
SO PCT Int. Appl., 28 pp.  
CODEN: PIXKD2

DT Patent  
LA English

FAN.CNT 1

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| PI WO 2003030906  | A1   | 20030417 | WO 2002-0829843 | 20021008 |
| M: AR, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NZ, NO, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                 |          |
| RN: GH, GM, KE, LS, MN, MZ, SD, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |          |
| AU 2002331878   | A1   | 20030422 | AU 2002-331878  | 20021008 |
| PRAI US 2001-328665   | A    | 20011011 |                 |          |
| WO 2002-0829843   | M    | 20021008 |                 |          |

AB Disclosed is a method of treating ear infections, soft-tissue infections, acne, or cellulitis in a mammal in need thereof comprising administration of Oxazolidinone in a pharmaceutical formulation or composition to the skin of the mammal at a site proximal to the site of the infection to deliver a pharmaceutical-effective amount of Oxazolidinone to the infection to have a concentration of the Oxazolidinone at the site of infection of about 0.5 to about 4 µg/mL, provided that the application for administration is not directly to the site of the infection.

IT 165800-03-3  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(treating skin and ear infections with oxazolidinones)

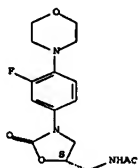
RN 165800-03-3 CAPLUS

CN Acetamide, N-[[5(8)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

(Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(antimicrobial resistance and genetic and phenotype anal. of recent fecal enterococci from healthy volunteers and food handlers in Spain)  
RN 165800-03-3 CAPLUS  
CN Acetamide, N-[[5(8)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 118 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2003:159654 CAPLUS [Full-text](#)  
DN 138:321170

TI Highly Efficient CuI-Catalyzed Coupling of Aryl Bromides with Oxazolidinones Using Buchwald's Protocol: A Short Route to Linezolid and Toloxatone

AU Mallasham, B.; Rajesh, B. M.; Reddy, P. Rajmohan; Srinivas, D.; Trehan, Sanjay  
CS Discovery Research, Dr. Reddy's Laboratories Limited, Hyderabad, 500 050, India  
SO Organic Letters (2003), 5(7), 963-965

CODEN: ORLEP7; 198N; 1523-7060

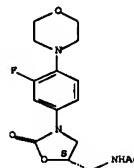
PB American Chemical Society

DT Journal

LA English

OS CASREACT 138:321170

Q1



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 117 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2003:298394 CAPLUS [Full-text](#)

DN 139:33169

TI Antimicrobial resistance in recent fecal enterococci from healthy volunteers and food handlers in Spain: Genes and phenotypes

AU Del Campo, R.; Ruiz-Garabasa, P.; Sanchez-Moreno, M. P.; Baquero, F.; Torres, C.; Canton, R.; Coque, T. M.

CS Servicio de Microbiología, Hospital Ramon y Cajal, Madrid, Spain  
SO Microbial Drug Resistance (Larchmont, NY, United States) (2003), 9(1), 47-60

CODEN: MDREPJ; ISSN: 1076-6294

PB Mary Ann Liebert, Inc.

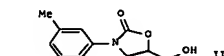
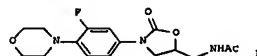
DT Journal

LA English

AB Susceptibility patterns to 15 different antibiotics and the presence of resistance genes were evaluated in recent fecal Enterococcus isolates recovered from 42 healthy volunteers (HV) and 43 food-handlers (FH). A total of 142 Enterococcus faecalis, 74 Enterococcus faecium, and 23 Enterococcus spp. with different antibiotic susceptibility patterns were studied. A higher percentage of resistance to moxifloxacin, erythromycin, glycopeptides and high-level resistance (HLR) to gentamicin were observed in the FH group. Ampicillin- or linezolid-resistant isolates were not recovered in any of the groups. The tet(M) gene was found in 96% and in 85% of tetracycline-resistant isolates from HV and FH, resp. HLR-kanamycin was mediated by aph(3')-IIIa, or aac(6')-aph(2''), or both genes in all isolates from HV group and in 86% from FH group. The aac(6')-aph(2'') gene was found in all HLR-gentamicin isolates. Ninety-one percent of HV and 71% of FH erythromycin-resistant isolates harbored the erm(B) gene (erythromycin MIC range of 8-128 µg/mL), whereas erm(A), erm(C), or mef(A) genes were not detected. Coexistence of erm(B), aph(3')-IIIa, and tet(M) genes was observed in 17% of the isolates of both groups. The HLR-gentamicin isolates presented unrelated PFGE patterns while 2 out of 3 van A E. faecium isolates showed an indistinguishable SmaI-pulsed-field gel electrophoresis (PFGE) pattern. This study shows that despite 4 yr of official banning of antibiotic growth promoters in animals, enterococci isolated from FH are more resistant than those from HV. This suggests the permanence of resistant clones or transferable resistance elements in farms and a possible exchange between food products and humans, or eventually the long-term permanence of certain clones in the FH intestinal tract.

IT 165800-03-3, Linezolid

RL: BBU (Biological study, unclassified); FPD (Food or feed use); PAC



AB Aryloxazolidinones, such as linezolid I and tolloxatone II, are prepared in 20-99% yields (most in >80% yields) by coupling reactions of substituted aryl bromides with oxazolidinones in the presence of recrystd. copper (I) iodide, trans-1,2-cyclohexanediamine, and potassium carbonate (Buchwald's protocol). E.g., 5-(tetrahydropyran-2-ylmethyl)-2-oxazolidinone, copper iodide, and potassium carbonate are stirred under argon; trans-1,2-cyclohexanediamine and 4-(4-bromo-2-fluorophenyl)morpholine are added and the mixture is heated at 110° for 15 h to give the coupled oxazolidinone in 85% yield; removal of the THP group, acylation of the free alc., substitution of the mesylate with sodium azide, and reductive acetylation with thioacetic acid provides I. Com. copper iodide is less effective as a catalyst for the coupling of aryl halides and oxazolidinones than recrystd. copper iodide; the arylation does not proceed when potassium phosphate or cesium carbonate are used as bases.

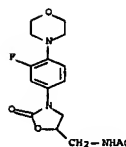
IT 224323-50-6P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of linezolid using the copper-catalyzed coupling reaction of

an aryl halide and an oxazolidinone as the key step)

RN 224323-50-6 CAPLUS

CN Acetamide, N-[[3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)



RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 119 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN

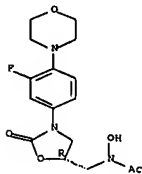
AN 2002:915641 CAPLUS [Full-text](#)

DN 138:268234

TI Synthesis and antibacterial activity of 5-substituted oxazolidinones

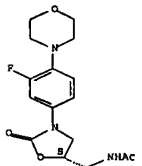
AU Phillips, O. A.; Udo, E. E.; Ali, A. A. M.; Al-Hassawi, N.  
 CS Faculty of Pharmacy, Department of Pharmaceutical Chemistry, Kuwait  
 University, Safat, 13110, Kuwait  
 SO Bioorganic & Medicinal Chemistry (2003), 11(1), 35-41  
 CODEN: BMECEP; ISSN: 0968-0896  
 PB Elsevier Science Ltd.  
 DT Journal  
 LA English  
 OS CASREACT 138:268234  
 AB A series of 5-substituted oxazolidinones with varying substitution at the 5-position of the oxazolidinone ring were synthesized and their in vitro antibacterial activity was evaluated. The compds. demonstrated potent antibacterial activity. A novel compound (PH-027) demonstrated potent antibacterial activity, which is comparable to or better than those of linezolid and vancomycin against antibiotic-susceptible standard and clin. isolated resistant strains of gram-pos. bacteria. Although the presence of the C-5-acetamidomethyl functionality at the C-5 position of the oxazolidinones has been widely claimed and reported as a structural requirement for optimal antimicrobial activity in the oxazolidinone class of compds., our results from this work identified the C-5 triazole substitution as a new structural alternative for potent antibacterial activity in the oxazolidinone class.  
 IT 503026-34-4  
 RL: BSU (Biological study, unclassified); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent) (synthesis and antibacterial activity of 5-substituted oxazolidinones)  
 RN 503026-34-4 CAPLUS  
 CN Acetamide, N-[[[(5R)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-N-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



IT 503026-37-3P  
 RL: PRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (synthesis and antibacterial activity of 5-substituted oxazolidinones)  
 RN 503026-37-3 CAPLUS  
 CN Acetamide, N-[(acetyloxy)-N-[[[(5R)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

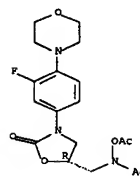
Absolute stereochemistry.



RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 121 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
 AN 2002:907204 CAPLUS Full-text  
 DN 138:249123  
 TI Detection of oxazolidinone-resistant Enterococcus faecalis and Enterococcus faecium strains by real-time PCR and PCR-restriction fragment length polymorphism analysis  
 AU Woodford, Neil; Tysall, Luke; Auckland, Cressida; Stockdale, Mark W.; Lawson, Andrew J.; Walker, Rachel A.; Livermore, David M.  
 CS Antibiotic Resistance Monitoring and Reference Laboratory, London, NW9 5HT, UK  
 SO Journal of Clinical Microbiology (2002), 40(11), 4298-4300  
 CODEN: JCMIDW; ISSN: 0095-1137  
 PB American Society for Microbiology  
 DT Journal  
 LA English  
 AB A real-time PCR assay identified linezolid-resistant Enterococcus faecalis and Enterococcus faecium isolates with a G2576U rDNA mutation. PCR-restriction fragment length polymorphism anal. of ribosomal DNA amplicons with NheI also detected this mutation. Both assays detected isolates heterozygous at this position. Recognition of isolates with what is presently the most frequent oxazolidinone resistance mutation may aid surveillance and individual case management.  
 IT 152800-03-3, Linezolid  
 RL: BSU (Biological study, unclassified); BIOL (Biological study) (resistance to; detection of linezolid-resistant Enterococcus faecalis and E. faecium strains using real-time PCR, PCR-RFLP, and 23S rRNA gene-specific primers/probe)  
 RN 152800-03-3 CAPLUS  
 CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

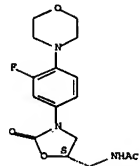
Absolute stereochemistry. Rotation (-).



RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 120 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
 AN 2002:908490 CAPLUS Full-text  
 DN 138:395484  
 TI Uptake and intracellular activity of linezolid in human phagocytes and nonphagocytic cells  
 AU Pascual, Alvaro; Ballesta, Sofia; Garcia, Isabel; Perea, Evelio J.  
 CS Department of Microbiology, School of Medicine, University of Seville, Seville, 41009, Spain  
 SO Antimicrobial Agents and Chemotherapy (2002), 46(12), 4013-4015  
 CODEN: AMACCO; ISSN: 0066-4804  
 PB American Society for Microbiology  
 DT Journal  
 LA English  
 AB The intracellular penetration and activity of linezolid in human polymorphonuclear leukocytes and tissue-cultured cells (McCoy) were evaluated. Linezolid reached intracellular concns. slightly greater than extracellular ones in both types of cell. The uptake was rapid and not saturable and was affected by environmental temperature and cell viability. Linezolid showed slight intracellular activity against Staphylococcus epidermidis at high extracellular concns.  
 IT 152800-03-3, Linezolid  
 RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (uptake and intracellular activity of linezolid in human phagocytes and nonphagocytic cells)  
 RN 152800-03-3 CAPLUS  
 CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

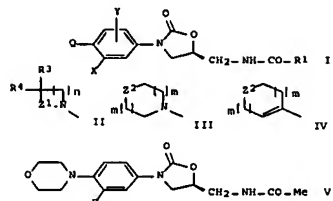


RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 122 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
 AN 2002:832754 CAPLUS Full-text  
 DN 137:337876  
 TI Preparation of pharmaceutically active (S)-2-oxo-5-oxazolidinylmethylacetamides via a one step process from (S)-acetamidoacetoxypyrans and N-aryl-O-alkylcarbamates  
 IN Perrault, William R.; Pearlman, Bruce A.; Godrej, Delara B.  
 PA Pharmacia & Upjohn Company, USA  
 SO PCT Int. Appl., 30 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1  

| PATENT NO.  | KIND | DATE     | APPLICATION NO.  | DATE     |
|---|------|----------|------------------|----------|
| WO 2002085849   | A2   | 20021031 | WO 2002-US8261   | 20020415 |
| WO 2002085849   | A3   | 20030306 |                  |          |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VM, VU, ZA, ZM, ZW |      |          |                  |          |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, T2, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CP, CQ, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                  |          |
| CA 2440600  | A1   | 20021031 | CA 2002-2440600  | 20020415 |
| AU 2002255803   | A1   | 20021105 | AU 2002-255803   | 20020415 |
| US 2002169312   | A1   | 20021114 | US 2002-122852   | 20020415 |
| US 6887995  | B2   | 20050503 |                  |          |
| JP 2005040007   | T    | 20050210 | JP 2002-583376   | 20020415 |
| NZ 528996   | A    | 20060127 | NZ 2002-528996   | 20020415 |
| EP 1380121  | B1   | 20070926 | EP 2002-725224   | 20020415 |
| R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, TR, AL, LT, LV, MK, RO, SI   |      |          |                  |          |
| AT 374463   | T    | 20071015 | AT 2002-725224   | 20020415 |
| TW 252229   | B    | 20060401 | TW 2002-91108112 | 20020419 |
| MX 2003PA09645  | A    | 20040129 | MX 2003-PA9645   | 20031020 |
| US 2004220407   | A1   | 20041104 | US 2004-809125   | 20040325 |
| US 7087784  | B2   | 20060808 |                  |          |

10524478 145 of 202  
 PRAI US 2001-285586P P 20010420  
 US 2002-365581P P 20020319  
 US 2002-122852 A3 20020415  
 WO 2002-058261 W 20020415  
 OS CASREACT 137:337876; MARPAT 137:337876  
 GI

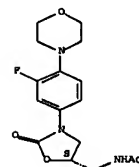


AB Title compds. I [X, Y = H, F; O = II, III, IV, etc. or O and X together = dihydropyridine (un)substituted with R5; R1 = CH3 optionally substituted by 1-3 F or Cl atoms; R3 = H, CH3; R4 = H, OH, alkyl, etc.; R5 = COCH3, CH3, COCHCl2, etc.; Z1 = CH2(CH2)p, CH(OH)(CH2)p, CO, Z2 = S, SO, SO2, etc.; m = 0-1; n = 1-3; p = 0-2] were prepd via a one step process from (8)-acetamidoacetoxypyrans and N-aryl-O-alkylcarbamates. For example, to a solution of N-carboboxy-3-fluoro-4-morpholinylaniline (3.125 mmol) in DMF (2.0 mL) and MeOH (6.32 mmol) was added a solution of lithium tert-butoxide in THF (9.39 mmol), while keeping the reaction temperature less than 25 °C with an ice bath. The solution was cooled to 5 °C and (8)-N-(2-(acetoxy)-3-chloropropyl)acetamide (6.234 mmol) added in one portion. The reaction was allowed to stand for 21 h at 21 °C, then quenched with saturated aqueous NH4Cl (5.0 mL), H2O (30 mL), brine (20 mL) and CH2Cl2 (20 mL). The organic layer was separated, the aqueous phase washed with CH2Cl2 (3 X 20 mL) and the combined CH2Cl2 fractions dried over anhydrous Mg2SO4 and concentrated in vacuo to an oil. The residue was dissolved in xylenes (25 mL), followed by vacuum filtration of the crystalline material to provide oxazolidinylmethylacetamide V in 61.8 % yield. A key-step of the process is the in situ generation of (8)-N-oxiranylmethylacetamide, which is an unstable chiral epoxide that can not be isolated on large scale by the prior art. Approx. 5-specific claimed examples of I and one intermediate were prepared

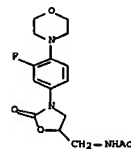
IT 165800-03-3P 224323-50-6P  
 RL IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (product; preparation of oxazolidinylmethylacetamides via a one step process from N-aryl-O-alkylcarbamates and acetamidoacetoxypyrans)

RN 165800-03-3 CAPLUS  
 CN Acetamide, N-[(1S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl- (CA INDEX NAME)

10524478 146 of 202  
 oxazolidinyl)methyl]- (CA INDEX NAME)  
 Absolute stereochemistry. Rotation (-).



RN 224323-50-6 CAPLUS  
 CN Acetamide, N-[(1S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl- (CA INDEX NAME)



L8 ANSWER 123 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN  
 AN 2002:716061 CAPLUS Full-text  
 DN 137:237750  
 TI Composition for rectal delivery of an oxazolidinone antibacterial drug  
 IN Pena, Lorraine E.; McCurdy, Vincent S.; Clark, Carol S.  
 PA Pharmacia & Upjohn Company, USA  
 SO PCT Int. Appl., 28 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

| PATENT NO.       | KIND   | DATE     | APPLICATION NO. | DATE     |
|------------------|--|----------|-----------------|----------|
| PI WO 2002072066 | A1   | 20020919 | WO 2002-US3627  | 20020205 |
| W:               | AB, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NO, NZ, PH, PL, PT, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW |          |                 |          |

10524478 147 of 202  
 PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM  
 R1: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CP, CO, CI, CM, CA, GN, GQ, GM, ML, MR, NE, SN, TD, TG

CA 2441854 A1 20020919 CA 2002-2441854 20020205  
 AU 2002258393 A1 20020924 AU 2002-258393 20020205  
 US 2003008012 A1 20030109 US 2002-72493 20020205  
 EP 1365719 A1 20031203 EP 2002-728336 20020205

R1: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

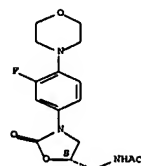
JP 2004520432 T 20040708 JP 2002-571025 20020205  
 MX 2003PA06958 A 20031118 MX 2003-PA6958 20030804

PRAI US 2001-266528P P 20010205  
 US 2001-285260P P 20010420  
 WO 2002-058261 W 20020205

OS MARPAT 137:337750  
 AB There is provided a pharmaceutical composition suitable for rectal administration, the composition comprising at least 1 oxazolidinone antibacterial drug, e.g., linezolid, in a concentration effective for treatment and/or prophylaxis of a gram-pos. bacterial infection, at least 1 oxazolidinone being in particulate form having a particle size of about 0.5-150 µm, dispersed in a carrier in which the oxazolidinone is poorly soluble. The composition is, a suppository, an enema, a microenema or a rectal capsule. Suppositories containing 2.9% linezolid by weight, in a particulate form dispersed in a lipophilic carrier, were prepared by the following procedure. Hard fat (Mitepsol H-32 97.123 g) was melted and mixed with 2.877 g linezolid which had been milled to a particle size of 14 µm. The resulting linezolid hard fat mixture was then homogenized at high speed. The homogenized mixture of linezolid and molten hard fat was filled into suppository molds and allowed to cool at room temperature overnight. The resulting solidified suppositories were removed from the molds.

IT 165800-03-3, Linezolid  
 RL THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (composition for rectal delivery of oxazolidinone antibacterial drug)

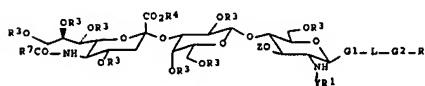
RN 165800-03-3 CAPLUS  
 CN Acetamide, N-[(1S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl- (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

10524478 148 of 202  
 L8 ANSWER 124 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN  
 AN 2002:615630 CAPLUS Full-text  
 DN 137:140730  
 TI Preparation of linkable sialyl Lewis X analogs as antiinflammatory agents and S-selectin receptors  
 IN Ranganathan, Ramachandra; Ramalingam, Kondareddi; Pillai, Radhakrishna; Marinelli, Edmund R.; Swenson, Rolf  
 PA Bracco International B.V., Meth.  
 SO PCT Int. Appl., 68 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

| PATENT NO.           | KIND   | DATE           | APPLICATION NO. | DATE     |
|----------------------|--|----------------|-----------------|----------|
| PI WO 2002062810     | A2   | 20020815       | WO 2001-US44590 | 20011129 |
| W:                   | AZ, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NO, NZ, PL, PT, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW |                |                 |          |
| R1:                  | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR   |                |                 |          |
| JP 2004518704 T      | 20040624   | JP 2002-563162 | 20011129        |          |
| US 2004097403 A1     | 20040520   | US 2003-432914 | 20031117        |          |
| PRAI US 2000-250238P | P  | 20001129       |                 |          |
| WO 2001-US44590      | W  | 20011129       |                 |          |
| OS MARPAT 137:140730 |  |                |                 |          |
| GI                   |  |                |                 |          |



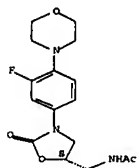
AB Disclosed herein is the preparation of a class of linkable sialooligosaccharides tetrasaccharide compds. I wherein Z is hydrogen, acyl, or sugar residue; Y is C(O), SO2, HNC(O), OC(O), or SC(O); G1 and G2 are each independently C(R3)2, NR10, O, or S; L is alkylidene, cycloalkylidene, arylidene, or vinylidene; R2 is hydrogen, C(O)R8, SO2R8, C(R8)R8, COCH3, or 14COCH3; R4 is hydrogen, alkyl, aryl, arylalkyl, substituted aryl, or substituted arylalkyl; R3 and R5 are each independently hydrogen, benzyl, methoxybenzyl, dimethoxybenzyl, acyl, and R1, R7-R10 are each independently



hydrogen, alkyl, aryl, substituted aryl, or a Ph alkenyl group, that includes the amino Ph glycoside of sialyl Lewis X (S<sub>Lex</sub>) and related analogs. These compds. have nucleophilic groups, making them useful in preparing multi-meric S<sub>Lex</sub> compds. In particular, the disclosed S<sub>Lex</sub> compds. can be used to prepare selectin binding ligand conjugates by linking them to a reporter moiety, such as a contrast agent, a radio-diagnostic agent, or a cytotoxic or chemotherapeutic agent. The S<sub>Lex</sub> compds. and conjugates of the invention exhibit binding to selectin that is similar to native Sialyl Lex, and are, therefore, useful for diagnosing and treating selectin-mediated disorders and related conditions.

IT 165800-03-3, Linezolid  
 RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (preparation of linkable sialyl Lewis X analogs as antiinflammatory agents and  $\alpha$ -selectin receptors)  
 RN 165800-03-3 CAPLUS  
 CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L8 ANSWER 125 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 2002:584150 CAPLUS [Full-text](#)

DN 138:26023

TI Stability of oral Zyvox suspension prepared from ground tablets

AU Sugawara, Mitsuru; Ogino, Osamu; Miyazaki, Katsumi

CS Department of Pharmacy, Hokkaido University Hospital, Japan

SO Iryo Yakugaku (2002), 28(3), 256-258

CODEN: IYRAAJ

PB Nippon Iryo Yakugakkai

DT Journal

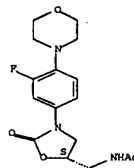
LA Japanese

AB Zyvox (linezolid), an oxazolidinone-class synthetic antibacterial agent, has been approved for the treatment of vancomycin-resistant *Enterococcus faecium* infections. Although there are three forms of this drug, including injection, tablets and oral suspension, presently available overseas, only injection and tablets have so far been approved in Japan. It is possible to use ground tablets as an oral suspension. However, the quality including the stability of linezolid in such a suspension has not yet been studied. In this study, we therefore, examined the stability of linezolid in a suspension of ground tablets and the characteristics of such a suspension. The solubility of linezolid was low, and approx. 85% of linezolid was suspended in a solid phase. Linezolid was stable in the suspension, and there were no differences

in the pH for up to 24 h. However, precipitation occurred rapidly after the ground tablets had been suspended. The concentration of linezolid in the upper layer of the suspension decreased to half of the initial concentration in 15 min. In conclusion, Zyvox was found to be stable and therefore is considered suitable to be ground and used in an oral suspension. Such suspensions should be re-suspended just before use, especially when the suspension is pre-constituted.

IT 165800-03-3, Zyvox  
 RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (stability of oral Zyvox suspension prepared from ground tablets)  
 RN 165800-03-3 CAPLUS  
 CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L8 ANSWER 126 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 2002:407970 CAPLUS [Full-text](#)

DN 138:49312

TI Drugs, leads, and drug-likeness: an analysis of some recently launched drugs

AU Proudfoot, John R.

CS Department of Medicinal Chemistry, Boehringer Ingelheim Pharmaceuticals

Inc., Ridgefield, CT, 06877, USA

SO Bioorganic & Medicinal Chemistry Letters (2002), 12(12), 1647-1650

CODEN: BMCL58; ISSN: 0960-894X

PB Elsevier Science Ltd.

DT Journal

LA English

AB An anal. of the origins of recently launched drugs reveals that most were derived by modification of known drug structures or from lead structures obtained from the scientific literature. High-throughput screening did not have a significant impact on the derivation of these drugs. The drug structures are very closely related to their leads.

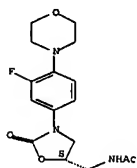
IT 165800-03-3, Linezolid  
 RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(comparison anal. of recently launched drugs and leads properties)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 127 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 2002:324951 CAPLUS [Full-text](#)

DN 137:345592

TI Novel piperidinyl oxazolidinone antibacterial agents. Diversification

of the N-substituent

AU Meidner-Wells, Michele A.; Boggs, Christine M.; Foleno, Barbara D.;

Melton, John; Bush, Karen; Goldschmidt, Raul M.; Hlasta, Dennis J.

CS LLC, Antimicrobial Agents Research Team, Johnson and Johnson

Pharmaceutical Research and Development, Raritan, NJ, 08869, USA

SO Bioorganic & Medicinal Chemistry (2002), 10(7), 2345-2351

CODEN: BMCEP; ISSN: 0960-894X

PB Elsevier Science Ltd.

DT Journal

LA English

OS CASREACT 137:345592

AB Oxazolidinone antibacterial agents, where the morpholino group of linezolid was replaced with an N-substituted piperidinyl moiety, were synthesized and shown to be active against a variety of resistant and susceptible Gram-pos. organisms. The functionality attached to the piperidine nitrogen was varied extensively to determine the structure-activity relationship (SAR) for this series. One of the most potent compds., showed in vivo efficacy upon s.c. administration in a *Staphylococcus aureus* Smith murine systemic infection.

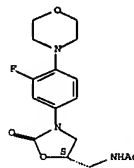
IT 165900-03-3, Linezolid  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(structure-activity relationship of novel piperidinyl oxazolidinone antibacterial agents)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 128 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 2002:316724 CAPLUS [Full-text](#)

DN 137:60121

TI NB2001, A novel antibacterial agent with broad-spectrum activity and

enhanced potency against  $\beta$ -lactamase-producing strains

AU Li, Qing; Lee, Jean Y.; Castillo, Rosario; Nixon, Mark S.; Pujol,

Catherine; Doppalapudi, Venkata Ramana; Shepard, H. Michael; Wahl,

Geoffrey M.; Lobl, Thomas J.; Chan, Ming Fai

CS NewBiotics, Inc., San Diego, CA, 92121, USA

SO Antimicrobial Agents and Chemotherapy (2002), 46(5), 1262-1268

CODEN: AMACQ; ISSN: 0066-4804

PB American Society for Microbiology

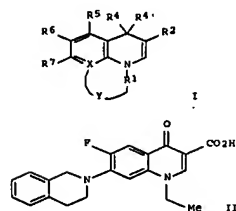
DT Journal

LA English

AB Enzyme-catalyzed therapeutic activation (ECTA) is a novel prodrug strategy to overcome drug resistance resulting from enzyme overexpression.  $\beta$ -Lactamase overexpression is a common mechanism of bacterial resistance to  $\beta$ -lactam antibiotics. We present here the results for one of the  $\beta$ -lactamase ECTA compds., NB2001, which consists of the antibacterial agent triclosan in a prodrug form with a cephalosporin scaffold. Unlike conventional  $\beta$ -lactam antibiotics, where hydrolysis of the  $\beta$ -lactam ring inactivates the antibiotic, hydrolysis of NB2001 by  $\beta$ -lactamase releases triclosan. Evidence supporting the proposed mechanism is as follows. (i) NB2001 is a substrate for TEM-1  $\beta$ -lactamase, forming triclosan with a second-order rate constant ( $k_{cat}/K_m$ ) of greater than 77,000 M<sup>-1</sup> s<sup>-1</sup>. (ii) Triclosan is detected in NB2001-treated,  $\beta$ -lactamase-producing *Escherichia coli* but not in *E. coli* that does not express  $\beta$ -lactamase. (iii) NB2001 activity against  $\beta$ -lactamase-producing *E. coli* is decreased in the presence of the  $\beta$ -lactamase inhibitor clavulanic acid. NB2001 was similar to or more potent than reference antibiotics against clin. isolates of *Staphylococcus aureus* (including MRSA), *Staphylococcus epidermidis*, *Streptococcus pneumoniae*, vancomycin-resistant *Enterococcus faecalis*, *Moraxella catarrhalis* and *Haemophilus influenzae*. NB2001 is also active against *Klebsiella pneumoniae*, *Enterobacter aerogenes*, and *Enterobacter cloacae*. The results indicate that NB2001 is a potent, broad-spectrum antibacterial agent and demonstrate the potential of ECTA in overcoming  $\beta$ -lactamase-mediated resistance.

IT 165900-03-3, Linezolid

RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL



L# ANSWER 131 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2001:886164 CAPLUS Full-text  
DN 136:11106  
TI Cobalamin compounds useful as antibiotic agents and as imaging agents  
IN Collins, Douglas A.; Hogenkamp, Henricus P. C.

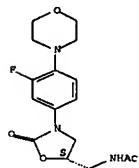
10524478

157 of 202

PA Mayo Foundation for Medical Education and Research, USA; Regents of the University of Minnesota  
 SO PCT Int. Appl., 168 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 DT Journal  
 FAN.CNT 1

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| WO 2001092288   | A2   | 20011206 | WO 2001-US17989 | 20010531 |
| WO 2001092288   | A3   | 20020627 |                 |          |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM<br>RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CP, CO, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG |      |          |                 |          |
| US 2002042394   | A1   | 20020411 | US 2001-873164  | 20010531 |
| US 2000-208148P   | P    | 20000531 |                 |          |
| US 2001-267543P   | P    | 20010209 |                 |          |
| MARPAT 136:11106  |      |          |                 |          |
| AB The invention provides cobalamin deriva. linked to an antibiotic and/or an imaging agent, as well as pharmaceutical compns. comprising the compds. and methods for using the compds. in treatment or diagnosis of a microbial infection.<br>IT 165800-03-3D, Zyvox, cobalamin conjugates<br>RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)<br>(cobalamin compds. useful as antibiotic agents and as imaging agents)<br>RN 165800-03-3 CAPLUS<br>CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)          |      |          |                 |          |

Absolute stereochemistry. Rotation (-).



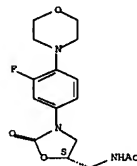
L8 ANSWER 132 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
 AN 2001:772916 CAPLUS [Full-text](#)  
 DN 136:69622  
 TI Amination Reactions of Aryl Halides with Nitrogen-Containing Reagents Mediated by Palladium/Imidazolium Salt Systems

10524478

158 of 202

AU Grass, Gabriela A.; Vicu, Mihai S.; Huang, Jinkun; Nolan, Steven P.  
 CS Department of Chemistry, University of New Orleans, New Orleans, LA, 70148, USA  
 SO Journal of Organic Chemistry (2001), 66(23), 7729-7737  
 CODEN: JOCEAH; ISSN: 0022-3263  
 PB American Chemical Society  
 DT Journal  
 LA English  
 OS CASREACT 136:69622  
 AB Nucleophilic N-heterocyclic carbenes have been conveniently used as catalyst modifiers in amination reactions involving aryl chlorides, aryl bromides, and aryl iodides with various nitrogen-containing substrates. The scope of a coupling process using a Pd(0) or Pd(II) source and an imidazolium salt in the presence of a base, KOAc or NaOH, was tested using various substrates. The Pd2(dba)3/IPr-HCl [IPr = 1,3-bis(2,6-diisopropylphenyl)imidazol-2-ylidene] system presents the highest activity with respect to electron-neutral and electron-rich aryl chlorides. The ligand is also effective for the synthesis of benzophenone imines, which can be easily converted to the corresponding primary amines by acid hydrolysis. Less reactive indoles were converted to N-aryl-substituted indoles using as supporting ligand the more donating SIPr-HCl [SIPr = 1,3-bis(2,6-diisopropylphenyl)-4,5-dihydroimidazol-2-ylidene]. The Pd(OAc)2/SIPr-HCl/NaOH system is efficient for the N-arylation of diverse indoles with aryl bromides. The general protocol developed has been applied successfully to the synthesis of a key intermediate in the synthesis of an important new antibiotic. Mechanistically, palladium-to-ligand ratio studies strongly support an active species bearing one nucleophilic carbene ligand.  
 IT 165300-03-3P, Linezolid  
 RL: PNU (Preparation, unclassified); PREP (Preparation)  
 (amination of aryl halides using a palladium-imidazolium salt catalyst)  
 RN 165800-03-3 CAPLUS  
 CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 114 THERE ARE 114 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

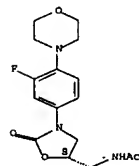
L8 ANSWER 133 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
 AN 2001:763682 CAPLUS [Full-text](#)  
 DN 136:95615  
 TI Oxazolidinones mechanism of action: inhibition of the first peptide bond formation

10524478

159 of 202

AU Patel, Utpal; Yan, Yong P.; Hobbs, Frank W., Jr.; Kaczmarek, Janet; Slee, Andrew M.; Pompliano, David L.; Kurilla, Michael G.; Bobkova, Ekaterina V.  
 CS DuPont Pharmaceuticals Company, Wilmington, DE, 19880, USA  
 SO Journal of Biological Chemistry (2001), 276(40), 37199-37205  
 CODEN: JBCHA3; ISSN: 0021-9258  
 PB American Society for Biochemistry and Molecular Biology  
 DT Journal  
 LA English  
 AB Oxazolidinones are potent inhibitors of bacterial protein biosynthesis. Previous studies have demonstrated that this new class of antimicrobial agent blocks translation by inhibiting initiation complex formation, while post-initiation translation by polysomes and poly(U)-dependent translation is not a target for these compds. We found that oxazolidinones inhibit translation of natural mRNA templates but have no significant effect on poly(A)-dependent translation. Here we show that various oxazolidinones inhibit ribosomal peptidyltransferase activity in the simple reaction of 70 S ribosomes using initiator-tRNA or N-protected CCA-phe as a P-site substrate and puromycin as an A-site substrate. Steady-state kinetic anal. shows that oxazolidinones display a competitive inhibition pattern with respect to both the P-site and A-site substrates. This is consistent with a rapid equilibrium, ordered mechanism of the peptidyl-transferase reaction, wherein binding of the A-site substrate can occur only after complex formation between peptidyltransferase and the P-site substrate. We propose that oxazolidinones inhibit bacterial protein biosynthesis by interfering with the binding of initiator [Met-tRNA<sup>Met</sup>] to the ribosomal peptidyltransferase P-site, which is vacant only prior to the formation of the first peptide bond.  
 IT 165800-03-3, Linezolid  
 RL: DMA (Drug mechanism of action); BIOL (Biological study)  
 (antibacterial oxazolidinones mechanism of action in relation to inhibition of the first peptide bond formation)  
 RN 165800-03-3 CAPLUS  
 CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

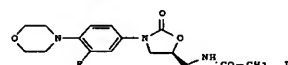
L8 ANSWER 134 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
 AN 2001:581886 CAPLUS [Full-text](#)  
 DN 135:137512

10524478

160 of 202

TI Preparation and characterization of linezolid crystal form II  
 IN Bergren, Michael S.  
 PA Pharmacia & Upjohn Co., USA  
 SO PCT Int. Appl., 13 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 DT Journal  
 FAN.CNT 1

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| WO 2001057035   | A1   | 20010809 | WO 2001-US657   | 20010129 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW<br>RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CP, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG |      |          |                 |          |
| CA 2395603  | A1   | 20010809 | CA 2001-2395603 | 20010129 |
| AU 200132755  | A    | 20010814 | AU 2001-32755   | 20010129 |
| AU 762138   | B2   | 20050707 |                 |          |
| US 2001051621   | A1   | 20011213 | US 2001-772239  | 20010129 |
| US 6444813  | B2   | 20020903 |                 |          |
| BR 2001007667   | A    | 20021008 | BR 2001-7667    | 20010129 |
| EP 1255754  | A1   | 20021113 | EP 2001-904805  | 20010129 |
| EP 1255754  | B1   | 20050615 |                 |          |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR<br>JP 2003522175<br>HU 2003001076<br>EE 200200420<br>NZ 520541<br>AT 297920<br>ES 2242728<br>PT 1255754<br>US 6559305<br>ZA 2002005162<br>IN 2002MN00871<br>NO 2002003654<br>NO 323459<br>MX 2002PA07471<br>HK 1051196<br>IN 2004MN00623<br>NO 2006005836<br>US 2000-179837P<br>US 2001-772239<br>WO 2001-US657<br>IN 2002-MN871<br>OS MARPAT 135:137512<br>GI  |      |          |                 |          |

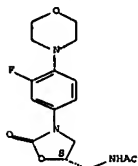


AB Linezolid (I; i.e., (S)-N-[[3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]acetamide) in crystal form II, characterized by its X-ray diffraction spectrum and IR spectrum, which is useful as an antibacterial agent (no data), is prepared by dissolving the pure I (S) enantiomer in a solvent (e.g., ethanol) at <80° and then separating the crystal form II from the solvent.

IT 165900-03-3, Linezolid  
 RL: PEP (Physical, engineering or chemical process); PRP (Properties); PROC (Process)  
 (preparation and characterization of linezolid crystal form II)

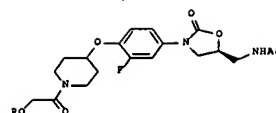
RN 165800-03-3 CAPLUS  
 CN Acetamide, N-[[[(S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 135 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
 AN 2001:518606 CAPLUS Full-text  
 DN 135:288719  
 TI Novel piperidinyloxy oxazolidinone antimicrobial agents  
 AU Weidner-Wells, M. A.; Boggs, C. M.; Poleno, B. D.; Mira, R.; Bush, K.; Goldschmidt, R. M.; Hlasta, D. J.  
 CS Drug Discovery, The R. W. Johnson Pharmaceutical Research Institute, Raritan, NJ, 08869, USA  
 SO Bioorganic & Medicinal Chemistry Letters (2001), 11(14), 1829-1832  
 CODEN: BMCLB8, ISSN: 0960-894X  
 PB Elsevier Science Ltd.  
 DT Journal  
 LA English  
 OS CASREACT 135:288719  
 GI

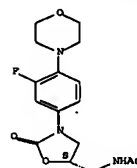


AB Oxazolidinone antibacterial agents, where the N-substituted piperazine group of eperesolid was replaced with a N-substituted piperidinyloxy moiety, were synthesized and shown to be active against a variety of resistant and susceptible Gram-pos. organisms. Thus, chiral piperidinyloxy oxazolidinones I (R = PhCH2, H) were prepared via multi-step synthesis. The effect of ring size, positional isomerism, and fluorine substitution on antibacterial activity was examined.

IT 165800-03-3D, Linezolid, Linezolid analog  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
 (preparation of antimicrobial piperidinyloxy oxazolidinone linezolid analogs via multi-step synthesis from hydroxypiperidine)

RN 165800-03-3 CAPLUS  
 CN Acetamide, N-[[[(S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



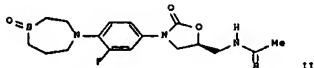
RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 136 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
 AN 2001:482178 CAPLUS Full-text  
 DN 135:76881  
 TI Preparation of N-(oxooxazolidinyl)methylthioamides and analogs as bactericides  
 IN Hester, Jackson B., Jr.; Nidy, Eldon George; Perricone, Salvatore Charles; Poel, Toni-Jo  
 PA Pharmacia & Upjohn Company, USA

SO U.S., 93 pp., Cont.-in-part of U.S. 6,218,413.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 FAN.CNT 2

| PATENT NO.          | KIND | DATE     | APPLICATION NO. | DATE     |
|---------------------|------|----------|-----------------|----------|
| US 6255304          | B1   | 20010703 | US 1998-200904  | 19981127 |
| US 6218413          | B1   | 20010417 | US 1998-80751   | 19980518 |
| US 6362189          | B1   | 20020326 | US 2000-712055  | 20001114 |
| US 6342513          | B1   | 20020129 | US 2000-713739  | 20001115 |
| US 2001041728       | A1   | 20011115 | US 2001-822072  | 20010330 |
| US 6537986          | B2   | 20030325 |                 |          |
| US 2002016323       | A1   | 20020207 | US 2001-822666  | 20010330 |
| PRAI US 1997-48342P | P    | 19970530 |                 |          |
| US 1998-80751       | A2   | 19980518 |                 |          |
| US 1998-200904      | A3   | 19981127 |                 |          |

OS MARPAT 135:76881  
 GI

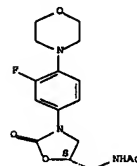


AB R2Z1CH2NHC8R1 [I; R = e.g., N-attached-(oxo)thiazacycloalkyl; R1 = H, (alkyl)amino, alkyl, alkoxy, etc.; Z = e.g., fluorophenylene; Z1 = e.g., 2-oxooxazolidine-3,5-diyl] were prepared. Thus, 1,4-hexahydrothiazepine was N-arylated by 3,4-F2C6H3NO2 and the reduced and N-protected product cyclized with (R)-glycidyl butyrate to give, in 4 addnl. steps, title compound II. Data for Biol. activity of I were given.

IT 165800-03-3  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of N-(oxooxazolidinyl)methylthioamides and analogs as bactericides)

RN 165800-03-3 CAPLUS  
 CN Acetamide, N-[[[(S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



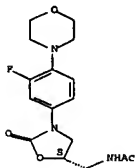
RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 137 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
 AN 2001:453092 CAPLUS Full-text  
 DN 135:61555  
 TI Preparation of lipopeptides as antibacterial agents  
 IN Hill, Jason; Parr, Ian; Morytko, Michael; Siedlecki, Jim; Yu, Xiang Yang; Silverman, Jared; Keith, Dennis; Finn, John; Christensen, Dale; Lazarova, Tsvetelina; Watson, Alan D.; Zhang, Yan  
 PA Cubist Pharmaceuticals, Inc., USA; et al.  
 SO PCT Int. Appl., 202 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

| PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE     |
|--|------|----------|-----------------|----------|
| PI NO 2001044274   | A1   | 20010621 | NO 2000-US34205 | 20001215 |
| AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW |      |          |                 |          |
| CA 2394350   | A1   | 20010621 | CA 2000-2394350 | 20001215 |
| BR 2000016467  | A    | 20020827 | BR 2000-16467   | 20001215 |
| EP 1246938   | A1   | 20021009 | EP 2000-991867  | 20001215 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  |      |          |                 |          |
| JP 2003517480  | T    | 20030527 | JP 2001-544763  | 20001215 |
| US 2004067878  | A1   | 20040408 | US 2000-737908  | 20001215 |
| IN 2000CA00688   | A    | 20050311 | IN 2000-CA688   | 20001215 |
| AU 784812  | B2   | 20060629 | AU 2001-36357   | 20001215 |
| NO 200202887   | A    | 20020812 | NO 2002-2887    | 20001215 |
| MX 2002PA06030   | A    | 20040823 | MX 2002-PA6030  | 20001215 |
| ZA 2002005108  | A    | 20031117 | ZA 2002-5108    | 20001215 |
| PRAI US 1999-170946P   | P    | 19991215 |                 |          |
| US 2000-208222P  | P    | 20000530 |                 |          |
| NO 2000-US34205  | W    | 20001215 |                 |          |

| PI   | PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|------|---|------|----------|-----------------|----------|
|      | WO 2001044272   | A2   | 20010621 | WO 2000-0U34118 | 20001215 |
|      | WO 2001044272   | A3   | 20011129 |                 |          |
|      | M: AR, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, NZ, NI, NT, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW |      |          |                 |          |
|      | RM: GH, GM, KE, LS, MM, NZ, SD, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, CA, CN, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |          |
| CA   | 2393907   | A1   | 20010621 | CA 2000-2393907 | 20001215 |
| US   | 2002025924  | A2   | 20020228 | US 2000-738742  | 20001215 |
| JP   | 6191525   | B2   | 20050628 |                 |          |
| EP   | 1240181   | A2   | 20020918 | EP 2000-986444  | 20001215 |
|      | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR   |      |          |                 |          |
| BR   | 2000017026  | A    | 20030107 | BR 2000-17026   | 20001215 |
| JP   | 2003517004  | T    | 20030520 | JP 2001-544761  | 20001215 |
| IN   | 2000CA00687   | A    | 20050311 | IN 2000-CA687   | 20001215 |
| AU   | 784755  | B2   | 20060608 | AU 2001-22692   | 20001215 |
| NO   | 200202888   | A    | 20020802 | NO 2002-2888    | 20020617 |
| MX   | 2002066029  | A    | 20040823 | MX 2002-PA6029  | 20020617 |
| ZA   | 2002005106  | A    | 20030925 | ZA 2002-5106    | 20020625 |
| US   | 2005203006  | A1   | 20050915 | US 2005-121851  | 20050504 |
| PRAI | US 1999-170943P   | P    | 19991215 |                 |          |
| US   | 2000-738742   | A3   | 20001215 |                 |          |
| WO   | 2000-0U34118  | M    | 20001215 |                 |          |
| OS   | MARPAT 135:61554  |      |          |                 |          |

**Absolute stereochemistry.** Rotation (-).

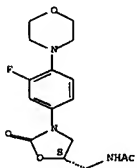


L8 ANSWER 138 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2001:453090 CAPLUS Full-Text  
DN 135:61554  
TI Preparation of novel lipopeptides as antibacterial agents  
IN Hill, Jason; Parr, Ian; Morytko, Michael; Siedlecki, Jim; Yu, Xiang Yang;  
Silverman, Jared; Keith, Dennis; Finn, John; Christensen, Dale; Lazarova,

168 of 202

|     |  |
|-----|--|
| IT  | 165800-03-3, Linezolid   |
| RI: | THU (Therapeutic use); BIOL (Biological study); USES (Uses)<br>(preparation of novel lipopeptides as antibacterial agents) |
| RN  | 165800-03-3 CAPLUS   |
| CN  | Acetamide, N-[[[5S]-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)                     |

**Absolute stereochemistry.** Rotation (-).



L8 ANSWER 139 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2001:453089 CAPLUS Full-text  
DN 135:61553  
TI Preparation of novel lipopeptides as antibacterial agents  
IN Hill, Jason; Parr, Ian; Morytko, Michael; Siedleick, Jim; Yu, Xiang Yang;  
Silverman, Jared; Keith, Dennis; Finn, John; Christensen, Dale; Lazarova,

CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| PI WO 2001044271  | A2   | 20010621 | 2000-US34051    | 20001215 |
| WO 2001044271   | A3   | 20020307 |                 |          |
| M: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, OD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LR, LS, LT, LV, LU, MA, MD, MG, MK, MN, MM, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TZ, UG, UA, UG, UZ, VN, YU, ZA, ZW |      |          |                 |          |
| RM: GM, GM, KE, LS, MM, NZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, CF, CG, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, DK, ES, CI, GA, GN, GW, ML, MR, NE, SN, DT, TG  |      |          |                 |          |
| CA 2394313  | A1   | 20010621 | CA 2000-2394313 | 20001215 |
| US 2002058785   | A1   | 20020516 | US 2000-739535  | 20001215 |
| US 6794180  | B2   | 20040921 |                 |          |
| EP 1240492  | A2   | 20020918 | EP 2000-991409  | 20001215 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LT, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR   |      |          |                 |          |
| BR 2000117028   | A    | 20030107 | BR 2000-17028   | 20001215 |

**AB** Lipopeptides I [R is -N(B)(X)n-A; B is X<sup>1</sup>RY, H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkoxy or heterocycloxy; RY is hydrido, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkoxy, heterocycloxy, hydroxyl; X, X<sup>1</sup> are C=O, C-S, C-NH, C-NR<sub>x</sub>; S/O or SO<sub>2</sub>/n is 0 or 1; RX is alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkoxy, heterocycloxy, hydroxyl, alkoxy, carboxy or carboalkoxy; A is H, NH<sub>2</sub>, NHR<sub>A</sub>, NR<sub>A</sub>R<sub>B</sub>, heteroaryl, cycloalkyl, heterocyclyl (RA, RB are alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocycloxy or carboalkoxy) or when n is 0, then A is P(O)(ORSO)ORS<sub>1</sub>, P(O)(RS<sub>2</sub>RS<sub>3</sub>) or P(O)(ORSO)RS<sub>3</sub>, where RS<sub>0-3</sub> are alkyl (with proviso); R<sub>1</sub> is decahydrotetrahydro-1H-pyrrolo[2,1-b]pyridin-2-yl, 6-methyl-2H-pyridin-5-yl, halo, hydroxyl, alkyl, amino, thio, sulfinyl, sulfonyl, etc. or CR<sub>7</sub>R<sub>8</sub> is CO, C(S), oxime or hydrazone group] were prepared for use as antibacterials. Thus, daptomycin was Boc-protected, deacylated using deacylase enzyme, and reacted with octyl isocyanate to give I (R = NHC(=O)(CH<sub>2</sub>)<sub>7</sub>Me, R<sub>1</sub> = NH<sub>2</sub>, R<sub>2</sub> = CH<sub>2</sub>COC(CH<sub>3</sub>)NH<sub>2</sub>-o], which showed MIC (*S. Aureus*) ≤ 1 × 10 mg/ml mg/kg.

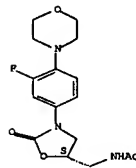
|      |                 |    |          |    |              |          |
|------|-----------------|----|----------|----|--------------|----------|
| JP   | 20031517003     | T  | 20030520 | JP | 2001-544760  | 20001215 |
| IN   | 2000CA00689     |    | 20050311 | IN | 2000-CA0689  | 20001215 |
| AU   | 784942          | B2 | 20060803 | AU | 2001-32640   | 20001215 |
| NO   | 2002022886      | A  | 20020802 | NO | 2002-2886    | 20020617 |
| MX   | 2002PA06028     | A  | 20040823 | MX | 2002-PA06028 | 20020617 |
| ZA   | 2002005113      | A  | 20030925 | ZA | 2002-5113    | 20020625 |
| PRAI | US 1999-170945P | P  | 19991215 |    |              |          |
| WO   | 2000-US34051    | W  | 20001215 |    |              |          |

AB Lipopeptides I [R and R1 are -W(B)(X)n-A; B is X'RV, H, alkyl, alkenyl, alkenyl, aryl, heterocyclic, cycloalkyl, heterocyclyl, RV is hydrido, alkyl, alkenyl, aryl, heterocyclic, cycloalkyl, heterocyclyl, hydroxyl, X, X' are C, O, C=S, C=NH, C=NRX, S:O or S=O; n is 0 or 1, RX is alkyl, alkenyl, alkynyl, aryl, heterocyclic, cycloalkyl, heterocyclyl, hydroxyl, alkoxy, carboxy or carboalkoxy; A is H, NH<sub>2</sub>, NHRA, NRARB, alkyl, alkenyl, alkynyl, alkoxy, aryloxy, aryl, heterocyclic, cycloalkyl, heterocyclyl (RA, RB are alkyl, alkenyl, alkynyl, aryl, heterocyclic, cycloalkyl, heterocyclyl or carboalkoxy) or when n is 0, then A is P(O) (OR5O)OR51, P(O)R52R53, or P(O) (OR5O)R53, where R5O-R53 are alkyl, alternatively, B and A together form a 5-7 membered heterocyclic or heterocyclic ring; R2 is CH<sub>2</sub>CR17R18-ring, where R17 and R18 are hydrido, halo, hydroxyl, alkoxy, amino, thio, sulfinyl, sulfonyl, etc. or CR17R18 are CO, C(=S), oxime or hydrazone group] were prepared for use as antibacterials. Thus, sulfamic acid (89.9 mg) and sodium nitrite (51.1 mg) were added to a solution of daptomycin (1 g) in 0.1 M HCl (31 mL) at 0°. Aqueous potassium O-ethylxanthic acid (497 mg) in 0.1 M acid and the mixture was heated at 60° for 1 h to afford I (R = NHCO(CH<sub>2</sub>)<sub>8</sub>Me, R1 = NH<sub>2</sub>, R2 = CH<sub>2</sub>CO-CO-C<sub>6</sub>H<sub>4</sub>SC(S)OEt], which showed MIC (S. Aureus and E. faecalis) and ED<sub>50</sub> = 1.5 to 10

(preparation of novel lipopeptides as antibacterial agents)  
RN 165800-03-3 CAPLUS

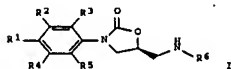
CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

**Absolute stereochemistry.** Rotation (-).

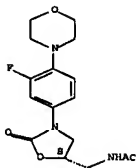


L8 ANSWER 140 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
 AN 2001:392067 CAPLUS [Full-text](#)  
 DN 135:5606  
 TI Preparation of oxazolidinones as bactericides  
 IN Gordeev, Mikhail F.; Luehr, Gary W.; Patel, Dinesh V.; Ni, Zhi-jie;  
 Gordon, Eric  
 PA Pharmacia & Upjohn Company, USA  
 SO U.S., 104 pp., Cont.-in-part of U.S. Ser. No. 12,535, abandoned.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 FAN.CNT 2

| PATENT NO.         | KIND | DATE     | APPLICATION NO. | DATE     |
|--------------------|------|----------|-----------------|----------|
| US 6239152         | B1   | 20010529 | US 1999-235771  | 19990122 |
| US 7002020         | B1   | 20060221 | US 2000-641396  | 20000817 |
| US 6531470         | B1   | 20030311 | US 2000-652250  | 20000830 |
| US 2002183371      | A1   | 20021205 | US 2001-34754   | 20011228 |
| US 6562844         | B2   | 20030513 |                 |          |
| US 2005004174      | A1   | 20050106 | US 2004-884717  | 20040702 |
| PRAI US 1998-12535 | B2   | 19980123 |                 |          |
| US 1998-86702      | B2   | 19980528 |                 |          |
| US 1999-235771     | A3   | 19990122 |                 |          |
| US 2000-641396     | A1   | 20000817 |                 |          |
| US 2000-652250     | A3   | 20000830 |                 |          |
| OS MARPAT 135:5606 |      |          |                 |          |
| GI                 |      |          |                 |          |

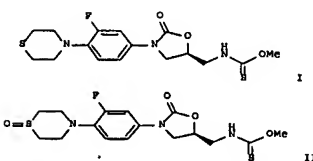


AB Title compds. [e.g., I; R = H; R1 = SR11, CONR7R8, etc.; R7, R8, R11 = H, alkyl, (hetero)aryl, etc.] were prepared. Thus, 3,4-F(Me3CO2C)C6H3NHCO2CH2Ph (preparation given) was cyclocondensed with (R)-glycidyl butyrate and the product converted in several steps to I (R = resin, R1 = CO2C6F5) which was amidated by morpholine to give, after resin cleavage, I (R = H, R1 = CONHR8, R8 = morpholino). Data for biol. activity of I were given.  
 IT 224323-30-65  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of oxazolidinones as bactericides)  
 RN 224323-30-6 CAPLUS  
 CN Acetamide, N-[(3-{3-fluoro-4-(4-morpholinyl)phenyl}-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)

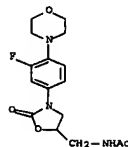


RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 142 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
 AN 2001:252573 CAPLUS [Full-text](#)  
 DN 135:31129  
 TI Structure-activity relationship (SAR) studies on oxazolidinone antibacterial agents. 3. Synthesis and evaluation of 5-thiocarbamate oxazolidinones  
 AU Tokuyama, Ryukou; Takahashi, Yoshiaki; Tomita, Yayoi; Taubouchi, Masaotoshi; Iwasaki, Nobuhiko; Kado, Noriyuki; Okezaki, Eiichi; Nagata, Osamu  
 CS Research and Development Division, Hokuriku Seiyaku Co., Ltd., Fukui, 911-8555, Japan  
 SO Chemical & Pharmaceutical Bulletin (2001), 49(4), 361-367  
 CODEN: CPBTAL, ISSN: 0009-2363  
 PB Pharmaceutical Society of Japan  
 DT Journal  
 LA English  
 OS CASREACT 135:31129  
 GI



AB A series of 5-thiocarbamate oxazolidinones was prepared and tested for in vitro and in vivo antibacterial activities. The results of in vitro antibacterial activity indicated that the 5-thiocarbamate group was a suitable



RE.CNT 157 THERE ARE 157 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

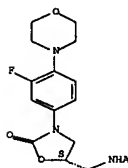
L8 ANSWER 141 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
 AN 2001:331109 CAPLUS [Full-text](#)  
 DN 135:131667  
 TI Determination of linezolid in human plasma by LC-MS-MS  
 AU Phillips, Oludotun A.; Abdel-Hamid, Mohammed E.; Al-Hassawi, Nada A.  
 CS Department of Pharmaceutical Chemistry, Faculty of Pharmacy, Health Sciences Center, Kuwait University, Safat, 13110, Kuwait  
 SO Analyst (Cambridge, United Kingdom) (2001), 126(5), 609-614  
 CODEN: ANALAO, ISSN: 0003-2654  
 PB Royal Society of Chemistry  
 DT Journal  
 LA English  
 AB A rapid, sensitive and selective LC-atmospheric pressure-chemical ionization-MS-MS method for the determination of the new antimicrobial agent, linezolid, in human plasma using selected reaction monitoring (SRM) was developed. Linezolid and the internal standard were extracted from the biol. samples by solid phase extraction (SPE) and analyzed on a reversed-phase Shim Pack CLC-CN, C18 column with the mobile phase of MeCN and 20 mM ammonium acetate solution (4 + 1 volume/volume). Detection was accomplished using an LCQTM mass spectrometer (Finnigan), which was programmed in pos. MS-MS mode to permit measurement of the fragment ions of linezolid and internal standard at m/z 296.2 and 223.2, resp. The assay run-time was <3.5 min. Quant. anal. was based on peak area ratio of linezolid to the internal standard. Calibration plots were established over the concentration range of 0.1-20 µg ml<sup>-1</sup> of linezolid with the lowest detection limit of 0.05 µg ml<sup>-1</sup> using 10 µl sample volume. The SPE technique quant. recovered linezolid and the internal standard from the plasma samples at a percentage range of 89.1-93.7%. Determination of control samples of linezolid in plasma validated the LC-MS-MS-SRM method. Intra-assay and inter-assay precision were at 5.1-11.4% relative standard deviation, whereas the intra- and inter-accuracy were at 97.5-114.0% of the nominal concns. of linezolid added. The data confirmed that the plasma samples of linezolid were stable at room temperature and when stored at -20° for at least 10 d. The developed LC-MS-MS-SRM method is recommended for the determination of linezolid in human plasma.  
 IT 165800-03-3, Linezolid  
 RL: ANT (Analytical); ANST (Analytical study) (determination of linezolid in human plasma by LC-MS-MS)  
 RN 165800-03-3 CAPLUS  
 CN Acetamide, N-[(5S)-3-{3-fluoro-4-(4-morpholinyl)phenyl}-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

substituent for the activity by the 5-moderate hydrophilicity. The compds. within a favorable log P value range were found to have potent in vitro antibacterial activity against gram-pos. bacteria, including methicillin-resistant Staphylococcus aureus and vancomycin-resistant enterococci. Compds. I and II were superior to linezolid in both in vitro and in vivo potency and were considered to be hopeful compds. The pharmacokinetic properties of several compds. in mice are also discussed.

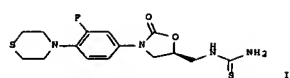
IT 165800-03-3, Linezolid  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study) (antibacterial activity of)  
 RN 165800-03-3 CAPLUS  
 CN Acetamide, N-[(5S)-3-{3-fluoro-4-(4-morpholinyl)phenyl}-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 143 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
 AN 2001:252571 CAPLUS [Full-text](#)  
 DN 135:31127  
 TI Structure-activity relationship (SAR) studies on oxazolidinone antibacterial agents. 1. Conversion of 5-substituent on oxazolidinone  
 AU Tokuyama, Ryukou; Takahashi, Yoshiaki; Tomita, Yayoi; Suzuki, Tomio; Yoshida, Toshihiko; Iwasaki, Nobuhiko; Kado, Noriyuki; Okezaki, Eiichi; Nagata, Osamu  
 CS Research and Development Division, Hokuriku Seiyaku Co., Ltd., Fukui, 911-8555, Japan  
 SO Chemical & Pharmaceutical Bulletin (2001), 49(4), 347-352  
 CODEN: CPBTAL, ISSN: 0009-2363  
 PB Pharmaceutical Society of Japan  
 DT Journal  
 LA English  
 OS CASREACT 135:31127  
 GI

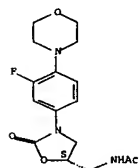


AB A structure-activity relationship (SAR) study on 5-substituted oxazolidinones as antibacterial agents is described. Oxazolidinones, whose 5-acetylaminomethyl moiety was converted to other functions, were prepared and evaluated for antibacterial activity. Elongation of the methylene chain and conversion of the acetamido moiety to a guanidino moiety decreased the antibacterial activity. The replacement of carbonyl O (C=O) by thiocarbonyl S (C=S) enhanced in vitro antibacterial activity. Especially (I), which had a 5-thiourous group, showed 4-8-fold stronger in vitro activity than linezolid. SAR study revealed that the antibacterial activity was greatly affected by the conversion of the 5-substituent.

IT 165900-03-3, Linezolid  
 RI: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
 (structure-activity relationship studies on oxazolidinone antibacterial agents)

RN 165800-03-3 CAPLUS  
 CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

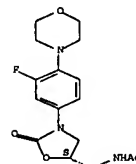
L8 ANSWER 144 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
 AN 2000:784124 CAPLUS [Full-text](#)  
 DN 133:304844  
 TI Linezolid, Pharmacia Corp  
 AU Barrett, John F.  
 CS Pharmaceutical Research and Development Division, Bristol-Myers Squibb  
 Pharmaceutical Research Institute, Wallingford, CT, 06492, USA  
 SO Current Opinion in Investigational Drugs (PharmPress Ltd.) (2000), 1(2), 181-187

CODEN: COIDAZ  
 PB PharmaPress Ltd.  
 DT Journal; General Review  
 LA English  
 AB A review with 81 refs. Linezolid is an oxazolidinone developed by Pharmacia (formerly Pharmacia & Upjohn) for the treatment of multiresistant gram-positive infections. It binds to ribosomal 50S subunits, most likely within domain V within the 23S rRNA peptidyl transferase and a secondary interaction with the 30S subunit. This results in inhibition of the initiation of protein translation at an early point, which is probably N-formylmethionyl-tRNA. No direct action on DNA or RNA synthesis has been observed. Linezolid resistance due to a 23S rRNA mutation may emerge in Enterococci during therapy with this antimicrobial and may be associated with clinical failure. Following FDA approval, linezolid was launched in May 2000. In Apr. 2000, the FDA approved linezolid injections, tablets and oral suspensions for the treatment of patients with infections caused by gram-positive bacteria. It is indicated for adults in the treatment of nosocomial pneumonia, community-acquired pneumonia (CAP), complicated and uncomplicated skin and skin structure infections and vancomycin-resistant Enterococcus infections caused by methicillin-resistant Staphylococcus aureus (MRSA), vancomycin-resistant Enterococcus faecium and penicillin-susceptible Streptococcus pneumoniae. The FDA, however, did not grant Pharmacia indications for linezolid in the treatment of CAP due to either penicillin-resistant S. aureus or MRSA.

IT 165800-03-3P, Linezolid  
 RI: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)  
 (antibacterial pharmacol. of linezolid)

RN 165800-03-3 CAPLUS  
 CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 81 THERE ARE 81 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 145 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
 AN 2000:535370 CAPLUS [Full-text](#)  
 DN 133:144893  
 TI Assays for modulators of elongation factor p activity

IN Poorman, Roger A.; Wells, Peter Andrew; Marotti, Keith R.; Shinabarger, Dean L.  
 PA Pharmacia and Upjohn, USA  
 SO PCT Int. Appl., 52 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

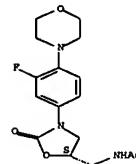
| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| PI WO 2000045177  | A1   | 20000803 | WO 1999-US12073 | 19990528 |
| M: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SF, BJ, CF, CG, CI, CM, GA, GN, GM, ML, MR, NE, SN, TD, TG |      |          |                 |          |
| AU 9942246  | A1   | 20000818 | AU 1999-42246   | 19990528 |
| EP 1147422  | A1   | 20011024 | EP 1999-926086  | 19990528 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO   |      |          |                 |          |
| JP 2002535680   | T    | 20021022 | JP 2000-596378  | 19990528 |
| US 6511813  | B1   | 20030128 | US 2000-704321  | 20001102 |
| PRAI US 1999-117473P  | P    | 19990127 |                 |          |
| US 1999-322732  | A3   | 19990528 |                 |          |
| WO 1999-US12073   | M    | 19990528 |                 |          |

AB Disclosed are novel methods of using elongation factor p (efp) and related constituents of ribosomal complexes which comprise efp, the 50S ribosomal subunit, the 30S ribosomal subunit, the 70S initiation complex, and related proteins, cofactors and enzymes. Methods of identifying compds. which modulate prokaryotic elongation factor p and modify cell function are described. Both in vitro and in vivo methods for identifying compds. which modulate such constituents and affect cell function are described. Such identified compds., including various antibiotics, which specifically affect cell growth, methods of treating various disorders with such compds., and antisepsics containing such compds. are described. The present invention is also directed to methods and compds. that modulate prokaryotic elongation factor p.

IT 165900-03-3, Linezolid  
 RI: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses)  
 (drug screening and assays for modulators of elongation factor p activity)

RN 165800-03-3 CAPLUS  
 CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

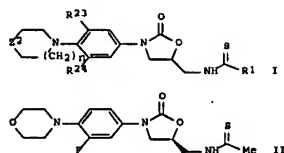
Absolute stereochemistry. Rotation (-).



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 146 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
 AN 2000:384192 CAPLUS [Full-text](#)  
 DN 133:30719  
 TI Oxazolidinone antibacterial agents having a thiocarbonyl functionality  
 Hester, Jackson B., Jr.; Widy, Eldon George; Perricone, Salvatore Charles; Poel, Toni-Jo  
 PA Pharmacia & Upjohn Company, USA  
 SO PCT Int. Appl., 183 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| PI WO 2000032599  | A1   | 20000608 | WO 1998-US25308 | 19981127 |
| M: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW |      |          |                 |          |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SF, BJ, CF, CG, CI, CM, GA, GN, GM, ML, MR, NE, SN, TD, TG  |      |          |                 |          |
| CA 2351062  | A1   | 20000608 | CA 1998-2351062 | 19981127 |
| AU 9917053  | A    | 20000619 | AU 1999-17053   | 19981127 |
| AU 764980   | B2   | 20030904 |                 |          |
| EP 1133493  | A1   | 20010919 | EP 1998-961822  | 19981127 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO   |      |          |                 |          |
| JP 2002531455   | T    | 20020924 | JP 2000-585241  | 19981127 |
| NZ 511963   | A    | 20031031 | NZ 1998-511963  | 19981127 |
| MX 2001PA05287  | A    | 20000821 | MX 2001-PA5287  | 20010525 |
| PRAI WO 1998-US25308  | M    | 19981127 |                 |          |
| OS MARPAT 133:10719   |      |          |                 |          |
| GI  |      |          |                 |          |



AB The title compds. (I) [wherein Z2 = SO<sub>2</sub>, S(O), S, O, or (un)substituted NH; n = 0-3; R23 and R24 = independently H or F; R1 = H, NH<sub>2</sub>, NH(alkyl), N(alkyl)<sub>2</sub>, aziridinyl, azetidiny, pyrrolidinyl, piperidinyl, alkyl(thio), alkoxy(carbonyl), CN, or cycloalkyl] were prepared by various methods, including conversion of the corresponding amides to (alkyl)thioamides or thioamides. Replacement of the O atom with S atom unexpectedly improved the antimicrobial properties of the compds. For example, II was prepared by treating the corresponding acetamide with Lawesson's Reagent. II inhibited growth of tested gram pos. organisms at concns. 2-4 times lower than the comparison carbonyl-containing compound

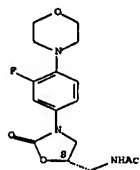
IT 165900-03-3

RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of antibacterial oxazolidinone (alkyl)thioamides or thioamides from the corresponding amides or amines)

RN 165900-03-3 CAPLUS

CN Acetamide, N-[[[5S]-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 147 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 2000-111851 CAPLUS [Full-text](#)

DN 132-105627

TI Substituent effects on the antibacterial activity of nitrogen-carbon-

linked (azolyphenyl)oxazolidinones with expanded activity against the fastidious Gram-negative organisms *Haemophilus influenzae* and *Moraxella catarrhalis*.  
AU Genin, Michael J.; Allwine, Debra A.; Anderson, David J.; Barbachyn, Michael R.; Emmert, D. Edward; Garmon, Stuart A.; Graber, David R.; Grege, Kevin C.; Hester, Jackson B.; Hutchinson, Douglas K.; Morris, Joel; Reischer, Robert J.; Ford, Charles W.; Zurenko, Gary E.; Hamel, Judith C.; Schadt, Ronda D.; Stapert, Douglas; Yagi, Betty H.  
CS Pharmacia Upjohn Inc., Kalamazoo, MI, 49001, USA  
SO Journal of Medicinal Chemistry (2000), 43(5), 953-970  
CODEN: JMCMAJ; ISSN: 0022-2623  
PB American Chemical Society  
DT Journal  
LA English

AB A series of new nitrogen-carbon-linked (azolyphenyl)oxazolidinone antibacterial agents has been prepared in an effort to expand the spectrum of activity of this class of antibiotics to include Gram-neg. organisms. Pyrrole, pyrazole, imidazole, triazole, and tetrazole moieties have been used to replace the morpholine ring of linezolid. These changes resulted in the preparation of compds. with good activity against the fastidious Gram-neg. organisms *Haemophilus influenzae* and *Moraxella catarrhalis*. The unsubstituted pyrrolyl analog 3 and the 1H-1,2,3-triazolyl analog 6 have MICs against *H. influenzae* = 4 µg/mL and *M. catarrhalis* = 2 µg/mL. Various substituents were also placed on the azole moieties in order to study their effects on antibacterial activity in vitro and in vivo. Differences in activity were observed for many analogs that cannot be rationalized solely on the basis of sterics and position/number of nitrogen atoms in the azole ring. Differences in activity rely strongly on subtle changes in the electronic character of the overall azole systems. Aldehyde, aldoxime, and cyano azoles generally led to dramatic improvements in activity against both Gram-pos. and Gram-neg. bacteria relative to unsubstituted counterparts. However, amide, ester, amino, hydroxy, alkoxy, and alkyl substituents resulted in no improvement or a loss in antibacterial activity. The placement of a cyano moiety on the azole often generates analogs with interesting antibacterial activity in vitro and in vivo. In particular, the 3-cyanopyrrole, 4-cyanopyrazole, and 4-cyano-1H-1,2,3-triazole congeners 28, 50, and 90 had *S. aureus* MICs ≤ 0.5-1 µg/mL and *H. influenzae* and *M. catarrhalis* MICs = 2-4 µg/mL. These analogs are also very effective vs. *S. aureus* and *S. pneumoniae* in mouse models of human infection with ED50s in the range of 1.2-1.9 mg/kg vs. 2.8-4.0 mg/kg for the eperzolid (1) control.

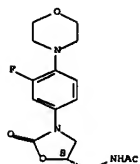
IT 165800-03-3, Linezolid

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
(antibacterial activity of nitrogen-carbon-linked (azolyphenyl)oxazolidinones against *Haemophilus influenzae* and *Moraxella catarrhalis*)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[[5S]-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 148 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 1999-795599 CAPLUS [Full-text](#)

DN 132-135987

TI Preparation of multivalent amino glycoside, lincosamide, oxazolidinone, streptogramin, and tetracycline macrolides as antibiotics

IN Griffin, John H.; Pace, John L.

PA Advanced Medicine, Inc., USA

SO PCT Int. Appl., 292 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 31

| PATENT NO.    | KIND   | DATE       | APPLICATION NO.  | DATE       |
|---------------|--|------------|------------------|------------|
| WO 9963937    | A2   | 1999-12-16 | WO 1999-US12771  | 1999-06-08 |
| WO 9963937    | A3   | 2000-03-02 |                  |            |
| W:            | AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KO, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MM, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW |            |                  |            |
| RW:           | GH, GM, KE, LS, MM, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GW, ML, MR, NE, SN, TD, TG   |            |                  |            |
| US 6288234    | B1   | 2001-09-11 | US 1999-325662   | 1999-06-04 |
| SG 106036     | A1   | 2004-09-30 | SG 1999-2845     | 1999-06-07 |
| AT 322910     | T  | 2006-04-15 | AT 1999-928455   | 1999-06-07 |
| PT 1005356    | T  | 2006-07-31 | PT 1999-928455   | 1999-06-07 |
| ES 2263274    | T3   | 2006-12-01 | ES 1999-928455   | 1999-06-07 |
| CA 2320241    | A1   | 1999-12-16 | CA 1999-2320241  | 1999-06-08 |
| SG 80631      | A1   | 2001-05-22 | SG 1999-2719     | 1999-06-08 |
| EP 1124528    | A1   | 2001-08-22 | EP 1999-928452   | 1999-06-08 |
| R:            | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI   |            |                  |            |
| JP 2002517422 | T  | 2002-06-18 | JP 2000-553011   | 1999-06-08 |
| SG 90053      | A1   | 2002-07-23 | SG 1999-2944     | 1999-06-08 |
| US 6566509    | B1   | 2001-05-20 | US 1999-327899   | 1999-06-08 |
| TW 2319959    | B  | 2005-09-21 | TW 1999-88109469 | 1999-06-08 |
| ZA 2000004086 | A  | 2001-08-10 | ZA 2000-4086     | 2000-08-10 |
| ZA 2000004559 | A  | 2001-11-30 | ZA 2000-4559     | 2000-08-31 |

| ZA 2000004559       | A  | 2002-04-02 | ZA 2000-4559   | 2000-08-31 |
|---------------------|----|------------|----------------|------------|
| US 2002028943       | A1 | 2002-03-07 | US 2001-760827 | 2001-01-17 |
| US 2004023290       | A1 | 2004-02-05 | US 2002-161279 | 2002-06-03 |
| US 2003176670       | A1 | 2003-09-18 | US 2002-330381 | 2002-12-27 |
| US 7179794          | B2 | 2007-02-20 |                |            |
| PRAI US 1998-88448P | P  | 1998-06-08 |                |            |
| US 1998-93072P      | P  | 1998-07-16 |                |            |
| US 1999-325662      | A3 | 1999-06-04 |                |            |
| US 1999-327899      | A1 | 1999-06-08 |                |            |
| US 1999-328071      | B1 | 1999-06-08 |                |            |
| WO 1999-US12771     | W  | 1999-06-08 |                |            |
| US 2000-502938      | A1 | 2000-02-11 |                |            |

AB Disclosed are multibinding compds. which include macrolide antibiotics, amino glycosides, lincosamides, oxazolidinones, streptogramins, tetracycline and/or other compds. which bind to bacterial rRNA and/or to one or more proteins involved in ribosomal protein synthesis in the bacterium, which are useful in treating bacterial infections. The compds. adversely affect protein expression and have an antibacterial effect. The multi-binding compds. of this invention containing from 2 to 10 ligands covalently attached to one or more linkers. Each ligand is a macrolide antibiotic, amino glycoside, lincosamide, oxazolidinone, streptogramin, tetracycline or other compound which binds to bacterial rRNA and/or one or more proteins involved in ribosomal protein synthesis in the bacterium (no data).

IT 165900-03-3DP, Linezolid, multivalent compds. bound to bacteria

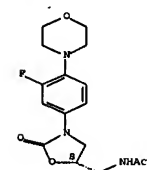
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMP (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of multivalent amino glycoside lincosamide oxazolidinone streptogramin and tetracycline macrolides as antibiotics)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[[[5S]-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L8 ANSWER 149 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 1999-783923 CAPLUS [Full-text](#)

DN 132-15659

TI Topical administration of oxazolidinones for transdermal delivery

IN Ford, Charles W.; Watts, Jeffrey L.

PA Pharmacia and Upjohn Company, USA



10524478

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SO PCT Int. Appl., 19 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

| PATENT NO.  | KIND | DATE     | APPLICATION NO.  | DATE     |
|---|------|----------|------------------|----------|
| PI WO 9962504   | A2   | 19991209 | WO 1999-US10463  | 19990526 |
| WO 9962504  | A3   | 20000224 |                  |          |
| M: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MM, MO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TW, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW |      |          |                  |          |
| RM: GH, GM, KE, LS, MM, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  |      |          |                  |          |
| CA 2327326  | A1   | 19991209 | CA 1999-2327326  | 19990526 |
| AU 9941848  | A    | 19991220 | AU 1999-41848    | 19990526 |
| AU 749523   | B2   | 20020627 |                  |          |
| BR 9910318  | A    | 20010130 | BR 1999-10318    | 19990526 |
| EP 1083900  | A2   | 20010321 | EP 1999-925598   | 19990526 |
| EP 1083900  | B1   | 20020717 |                  |          |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO   |      |          |                  |          |
| TR 200003205  | T2   | 20010521 | TR 2000-3205     | 19990526 |
| US 2002009483   | A1   | 20020124 | US 1999-320428   | 19990526 |
| US 6613349  | B2   | 20030902 |                  |          |
| NZ 508636   | A    | 20020301 | NZ 1999-508636   | 19990526 |
| HU 2001002191   | A2   | 20020529 | HU 2001-2191     | 19990526 |
| HU 225234   | B1   | 20060828 |                  |          |
| JP 2002516852   | T    | 20020611 | JP 2000-551760   | 19990526 |
| AT 220548   | T    | 20020815 | AT 1999-925598   | 19990526 |
| ES 2179658  | T3   | 20030116 | ES 1999-925598   | 19990526 |
| CZ 292420   | B6   | 20030917 | CZ 2000-4432     | 19990526 |
| SK 285352   | B6   | 20061103 | SK 2000-1626     | 19990526 |
| PL 193535   | B1   | 20070228 | PL 1919-3451     | 19990526 |
| TW 224000   | B    | 20041121 | TW 1999-88108864 | 19990526 |
| ZA 200005886  | A    | 20020422 | ZA 2000-5886     | 20001020 |
| NO 200006161  | A    | 20001204 | NO 2000-6161     | 20001204 |
| MX 2000PA11990  | A    | 20010419 | MX 2000-PA11990  | 20001204 |
| HK 1036593  | A1   | 20050520 | HK 2001-107513   | 20011029 |
| US 2004072841   | A1   | 20040415 | US 2003-443399   | 20030916 |
| PRAI US 1999-88283P   | P    | 19980605 |                  |          |
| US 1999-320428  | A3   | 19990526 |                  |          |
| WO 1999-US10463   | W    | 19990526 |                  |          |

AB Disclosed is a method of treating a non-topical infection selected from the group consisting of ear infections, skin and soft tissue infections, acne, infected wounds, bacteremia, in a useful warm blooded mammal who is in need of such treatment which comprises topical administration of a pharmaceutical formulation containing a transdermally effective amount of an oxazolidinone. A male having acne was treated with an ointment containing 30 mg/mL (S)-N-[(3-[3-fluoro-4-(4-hydroxyacetyl)-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl)methyl]acetamide twice daily until the redness and swelling were gone.

IT 195905-02-1P

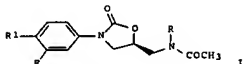
RU: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(topical administration of oxazolidinones for transdermal delivery)

10524478

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OS MARPAT 131:116228

GI



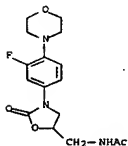
AB Title compds. [e.g., I; R = H; R1 = SR11, CONR7R8, etc.; R7,R8, R11 = H, alkyl, (hetero)aryl, etc.] were prepared. Thus, 3,4-(Me3CO2C)C6H3NHCO2CH2Ph (preparation given) was cyclocondensed with (R)-glycidyl butyrate and the product converted in several steps to I (R = resin, R1 = CO2C6F5) which was amidated by morpholine to give, after resin cleavage, I (R = H, R1 = CONHRS, RS = morpholino). Data for biol. activity of I were given.

IT 224323-50-6P

RU: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of oxazolidinones as bactericides)

RN 224323-50-6 CAPLUS

CN Acetamide, N-[(3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)



RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 151 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1999:429192 CAPLUS Full-text

DN 131:184922

TI A short synthesis of oxazolidinone derivatives Linezolid and Eperezolid: a new class of antibacterials

AU Lohray, Brij B.; Baskaran, Sundarababu; Rao, B. Srinivasa; Reddy, B. Yadi; Rao, T. Nageswara

CS Basic Research & Drug Discovery, Dr. Reddy's Research Foundation, Hyderabad, 500 050, India

SO Tetrahedron Letters (1999), 40(26), 4855-4856

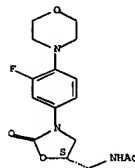
10524478

182 of 202

RN 165800-03-3 CAPLUS

CN Acetamide, N-[(3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L8 ANSWER 150 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1999:487281 CAPLUS Full-text

DN 131:116228

TI Preparation of oxazolidinones as bactericides

IN Gordeev, Mikhail F.; Luehr, Gary W.; Patel, Dinesh V.; Ni, Zhi-Jie;

Gordon, Eric

PA Versicoor, Inc., USA

SO PCT Int. Appl., 229 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| PI WO 9937630   | A1   | 19990729 | WO 1999-US1318  | 19990122 |
| M: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MM, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW |      |          |                 |          |
| RM: GH, GM, KE, LS, MM, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |          |
| CA 2318969  | A1   | 19990729 | CA 1999-2318969 | 19990122 |
| AU 9924644  | A    | 19990809 | AU 1999-24644   | 19990122 |
| AU 764184   | B2   | 20030814 |                 |          |
| EP 1049682  | A1   | 20001108 | EP 1999-904193  | 19990122 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO   |      |          |                 |          |
| JP 2002501059   | T    | 20020115 | JP 2000-528553  | 19990122 |
| BR 9907183  | A    | 20030610 | BR 1999-7183    | 19990122 |
| NZ 505902   | A    | 20030829 | NZ 1999-505902  | 19990122 |
| MX 2000PA07150  | A    | 20011211 | MX 2000-PA7150  | 20000721 |
| PRAI US 1998-12535  | A    | 19980123 |                 |          |
| US 1998-86702   | A    | 19980528 |                 |          |
| WO 1999-091318  | W    | 19990122 |                 |          |

10524478

184 of 202

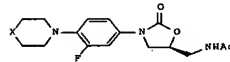
CODEN: TELBAY; ISSN: 0040-4039

PB Elsevier Science Ltd.

DT Journal

LA English

GI



AB Oxazolidinone derivs. such as Linezolid (I, X = O) and Eperezolid (I, X = HOCH2CON) have been synthesized from 1,2,5,6-dianhydro-3,4-isopropylidene-D-mannitol in good yield.

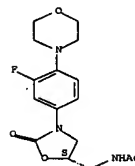
IT 165800-03-3P, Linezolid

RU: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of oxazolidinone antibacterials)

RN 165800-03-3 CAPLUS

CN Acetamide, N-[(3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 152 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1999:350596 CAPLUS Full-text

DN 131:724

TI Use of oxazolidinone derivatives for treating psoriasis and arthritis and reducing the toxicity of cancer chemotherapy

IN Batta, Donald H.; Ulrich, Roger G.

PA Pharmacia &amp; Upjohn Company, USA

SO PCT Int. Appl., 25 pp.

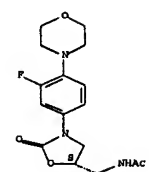
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

| PATENT NO.   | KIND | DATE     | APPLICATION NO.  | DATE     |
|--|------|----------|------------------|----------|
| PI NO 9925244  | A1   | 19990527 | NO 1998-0823233  | 19981110 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IS, JP, KR, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MM, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW                  |      |          |                  |          |
| RM: GH, GM, KE, LS, MM, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SF, BJ, CF, CO, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TO   |      |          |                  |          |
| CA 2303961   | A1   | 19990527 | CA 1998-2303961  | 19981110 |
| AU 9915823   | A    | 19990607 | AU 1999-15823    | 19981110 |
| AU 743941  | B2   | 20020207 |                  |          |
| EP 1032386   | A1   | 20000906 | EP 1998-960157   | 19981110 |
| EP 1032386   | B1   | 20030226 |                  |          |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO  |      |          |                  |          |
| BR 9815615   | A    | 20001024 | BR 1998-15615    | 19981110 |
| JP 2001522886  | T    | 20011120 | JP 2000-520777   | 19981110 |
| NZ 504612  | A    | 20020828 | NZ 1998-504612   | 19981110 |
| AT 233092  | T    | 20030315 | AT 1998-960157   | 19981110 |
| EP 1304107   | A2   | 20030423 | EP 2002-26171    | 19981110 |
| EP 1304107   | A3   | 20031119 |                  |          |
| EP 1304107   | B1   | 20050706 |                  |          |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL  |      |          |                  |          |
| PT 1032386   | T    | 20030731 | PT 1998-960157   | 19981110 |
| ES 2193592   | T3   | 20031101 | ES 1998-960157   | 19981110 |
| CN 1546030   | A    | 20041117 | CN 2004-10002790 | 19981110 |
| AT 299021  | T    | 20050715 | AT 2002-28171    | 19981110 |
| PT 1304107   | T    | 20050930 | PT 2002-28171    | 19981110 |
| ES 2243650   | T3   | 20051201 | ES 2002-28171    | 19981110 |
| HK 1036591   | A1   | 20040930 | HK 2001-107458   | 20011026 |
| AU 780197  | B2   | 20050310 | AU 2001-97205    | 20011212 |
| PRAI US 1997-65689P  | P    | 19971118 |                  |          |
| US 1998-71297P   | P    | 19980116 |                  |          |
| US 1998-73662P   | P    | 19980204 |                  |          |
| US 1998-75247P   | P    | 19980219 |                  |          |
| US 1998-77672P   | P    | 19980312 |                  |          |
| AU 1999-15823  | A3   | 19981110 |                  |          |
| EP 1998-960157   | A3   | 19981110 |                  |          |
| WO 1998-0823233  | M    | 19981110 |                  |          |
| AB A method for treating a person who has psoriasis or arthritis or for reducing the toxicity of cancer chemotherapy comprises administering to the patient an anti-psoriasis effective amount of an oxazolidinone, preferably (S)-N-[(3-(3-fluoro-4-(4-morpholinyl)phenyl)-2-oxo-5-oxazolidinyl)methyl]acetamide. |      |          |                  |          |
| IT 165800-03-3   |      |          |                  |          |
| RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BLOL (Biological study); USRS (Uses)   |      |          |                  |          |
| (oxazolidinone deriva. for treatment of psoriasis and arthritis and reduction of cancer chemotherapy toxicity)   |      |          |                  |          |
| RN 165800-03-3 CAPLUS  |      |          |                  |          |
| CN Acetamide, N-[(5S)-3-[(3-fluoro-4-(4-morpholinyl)phenyl)-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)  |      |          |                  |          |
| Absolute stereochemistry. Rotation (-).  |      |          |                  |          |

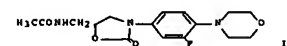


RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 153 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
AN 1999-325857 CAPLUS Full-text  
DN 130-338099  
TI Process to produce oxazolidinones  
IN Pearlman, Bruce A.  
PA Pharmacia & Upjohn Company, USA  
SO PCT Int. Appl., 51 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 2

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| PI NO 9924393   | A1   | 19990520 | WO 1998-US20934 | 19981013 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IS, JP, KR, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MM, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW |      |          |                 |          |
| RM: GH, GM, KE, LS, MM, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SF, BJ, CF, CO, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TO  |      |          |                 |          |
| CA 2304100  | A1   | 19990520 | CA 1998-2304100 | 19981013 |
| AU 9910672  | A    | 19990531 | AU 1999-10672   | 19981013 |
| AU 748128   | B2   | 20020530 |                 |          |
| TR 200001199  | T2   | 20000821 | TR 2000-1199    | 19981013 |
| BR 9813187  | A    | 20000822 | BR 1998-12187   | 19981013 |
| US 6107519  | A    | 20000822 | US 1998-170776  | 19981013 |
| EP 1028940  | A1   | 20000823 | EP 1998-953258  | 19981013 |
| EP 1028940  | B1   | 20070418 |                 |          |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, AL, MK   |      |          |                 |          |
| EE 200000210  | A    | 20010416 | EE 2000-210     | 19981013 |
| SE 4370   | B1   | 20041015 |                 |          |
| HU 2000004388   | A2   | 20010428 | HU 2000-4388    | 19981013 |
| JP 2001522828   | T    | 20011120 | JP 2000-520407  | 19981013 |
| NZ 504372   | A    | 20021220 | NZ 1998-504372  | 19981013 |
| RU 2205822  | C2   | 20030610 | RU 2000-114835  | 19981013 |
| IL 135912   | A    | 20041215 | IL 1998-135912  | 19981013 |
| IL 159736   | A    | 20050725 | IL 1998-159736  | 19981013 |

|   |    |          |                  |          |
|---|----|----------|------------------|----------|
| IL 159737   | A  | 20050725 | IL 1998-159737   | 19981013 |
| IL 159738   | A  | 20050725 | IL 1998-159738   | 19981013 |
| EP 1772453  | A1 | 20070411 | EP 2007-100547   | 19981013 |
| R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, AL, LT, LV, MK, RO, SI |    |          |                  |          |
| AT 359994   | T  | 20070515 | AT 1998-953258   | 19981013 |
| TM 555752   | B  | 20031001 | TM 1998-87117213 | 19981013 |
| TM 250155   | B  | 20060304 | TM 2003-92112352 | 19981013 |
| US 6362334  | B1 | 20020326 | US 2000-546357   | 20000410 |
| BQ 104377   | A  | 20010311 | BQ 2000-104377   | 20000425 |
| BQ 64794  | B1 | 20060428 |                  |          |
| MX 2000PA04244  | A  | 20001211 | MX 2000-PA4244   | 20000502 |
| NO 2000002373   | A  | 20000505 | NO 2000-2373     | 20000505 |
| US 2002032348   | A1 | 20020314 | US 2001-927007   | 20010809 |
| US 6410788  | B2 | 20020625 |                  |          |
| US 2002095054   | A1 | 20020718 | US 2002-47705    | 20020115 |
| US 6492555  | B2 | 20021210 |                  |          |
| US 2003065219   | A1 | 20030403 | US 2002-271861   | 20021016 |
| US 6563003  | B2 | 20030513 |                  |          |
| US 2003130509   | A1 | 20030710 | US 2003-352533   | 20030128 |
| US 6613944  | B2 | 20030902 |                  |          |
| US 2003216572   | A1 | 20031120 | US 2003-422334   | 20030424 |
| US 6740754  | B2 | 20040525 |                  |          |
| US 2004006238   | A1 | 20040108 | US 2003-607697   | 20030627 |
| US 6716980  | B2 | 20040406 |                  |          |
| PRAI US 1997-64738P   | P  | 19971107 |                  |          |
| US 1998-15495P  | P  | 19980411 |                  |          |
| EP 1998-953258  | A3 | 19981013 |                  |          |
| IL 1998-135912  | A3 | 19981013 |                  |          |
| US 1998-170776  | A3 | 19981013 |                  |          |
| WO 1998-US20934   | M  | 19981013 |                  |          |
| US 2000-546357  | A3 | 20000410 |                  |          |
| US 2001-927007  | A3 | 20010809 |                  |          |
| US 2002-47705   | A3 | 20020115 |                  |          |
| US 2002-271861  | A3 | 20020116 |                  |          |
| US 2003-352533  | A3 | 20030128 |                  |          |
| US 2003-422334  | A3 | 20030424 |                  |          |
| OS CASREACT 130-338099; MARPAT 130-338099   |    |          |                  |          |
| QI  |    |          |                  |          |



AB Title compound I, pharmacol. useful oxazolidinones, and stereoisomers thereof were prepared through processes of reduction, cyclization with 1-amino-2-chloro-3-propanol and 1-phthalimido-3-chloro-2-propanol as novel intermediates.

IT 165800-03-3P 234323-50-6P

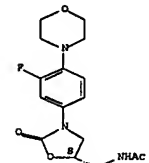
RL: SPN (Synthetic preparation); PREP (Preparation)

(process to produce oxazolidinones)

RN 165800-03-3 CAPLUS

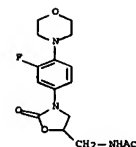
CN Acetamide, N-[(5S)-3-[(3-fluoro-4-(4-morpholinyl)phenyl)-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 224323-50-6 CAPLUS

CN Acetamide, N-[(3-(3-fluoro-4-(4-morpholinyl)phenyl)-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)



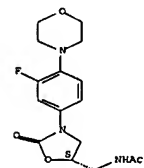
RE.CWT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 154 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
AN 1999-300925 CAPLUS Full-text  
DN 131-82494  
TI Determination of linezolid in plasma by reversed-phase high-performance liquid chromatography  
AU Peng, Geoffrey W.; Strydom, Ronald P.; Murata, Shoji; Igarashi, Mayumi; Chiba, Koji; Aoyama, Hiroyuki; Aoyama, Makiko; Zenki, Tomoko; Ozawa, Naoki  
CS Drug Metabolism Research Laboratories, Pharmacia and Upjohn Co., Kalamazoo, MI, 49007, USA  
SO Journal of Pharmaceutical and Biomedical Analysis (1999), 20(1-2), 65-73  
CODEN: JPBADA; ISSN: 0731-7085  
PB Elsevier Science B.V.  
DT Journal  
LA English  
AB An HPLC-UV method was developed for assay of linezolid in dog, rat, mouse, and rabbit plasma. Linezolid and the internal standard were extracted on a solid phase cartridge (SP8) and separated on a reversed-phase column (C8, 4.6 x 150 mm, 5 µm) with 20% acetonitrile in water as mobile phase. The SPE quant.

recovered linezolid and the internal standard from plasma samples. The chromatog. peak height ratio or peak area ratio based on UV absorbency at 251 nm was used for quant. anal. The assay procedures were simple and the assay was specific and had adequate precision and accuracy. Calibration stds. with concns. over the range of 0.01-20 µg/mL were validated for routine sample anal. to support the pharmacokinetic and toxicol. studies with linezolid in dog, rat, mouse, and rabbit. Anal. of quality control samples showed the coeffs. of variation were usually < 10% and the measured and theor. concns. differed by < 10% in most assays. Linezolid in the plasma samples was stable when stored at below -20°C for at least 63 days, at room temperature (22-23°C) for up to 24 h, and after three freeze-thaw cycles. This HPLC method has been successfully used in multiple labs. to assay plasma samples from pharmacokinetic and toxicol. studies with linezolid in the animal species.

IT 165900-03-3, Linezolid  
 RL: AMT (Analyte); AMST (Analytical study)  
 (linezolid determination in blood by reversed-phase HPLC)  
 RN 165800-03-3 CAPLUS  
 CN Acetamide, N-[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

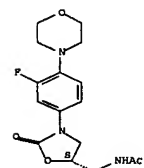


RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 155 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
 AN 1998:794995 CAPLUS [Full-text](#)  
 DN 130:38373  
 TI Preparation of thiocarbonyloxazolidinones as antibacterial agents  
 IN Hester, Jackson B., Jr.; Nidy, Eldon George; Perricone, Salvatore Charles; Poel, Toni-jo  
 PA Pharmacia & Upjohn Company, USA; Hester, Jackson B., Jr.  
 SO PCT Int. Appl., 118 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 2

| PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE     |
|--|------|----------|-----------------|----------|
| PI WO 9554161  | A1   | 19981203 | WO 1998-US9889  | 19980518 |
| M: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, |      |          |                 |          |

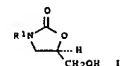
Absolute stereochemistry. Rotation (-).



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

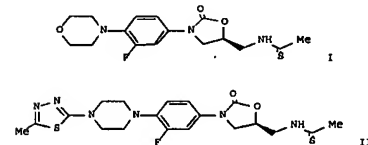
L8 ANSWER 156 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
 AN 1998:752261 CAPLUS [Full-text](#)  
 DN 130:25061  
 TI Preparation of hydroxymethyloxazolidinones as pharmaceutical intermediates  
 IN Pearlman, Bruce A.; Perrault, William R.; Barbachyn, Michael R.; Manninen, Peter R.; Toops, Dana S.; Houser, David J.; Fleck, Thomas J.  
 PA Pharmacia & Upjohn Co., USA  
 SO U.S., 24 pp.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 FAN.CNT 1

| PATENT NO.                              | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| PI US 5837870                           | A    | 19981117 | US 1997-828923  | 19970328 |
| PRAI US 1997-828923                     |      | 19970328 |                 |          |
| OS CASREACT 130:25061; MARPAT 130:25061 |      |          |                 |          |



AB Title compds. [I: R1 = RZ, 1-acyl-2,3-dihydro-5-indolyl, etc.; R = N-attached azacycloalkyl, pyrrolid, imidazolo, etc.; Z = {3(5)-(di)fluoro} 4,1-phenylene] were prepared. Thus, RZ=HCO2CH2PH [RZ = 4-(4-benzoyloxycarbonyl-1-piperazinyl)-3-fluorophenyl] was cyclized with (S)-ClCH2CH(OH)CH2OH to give I [R1 = 4-(4-benzoyloxycarbonyl-1-piperazinyl)-3-fluorophenyl].  
 IT 165900-03-3  
 RL: IMP (Industrial manufacture); SPN (Synthetic preparation); PREP

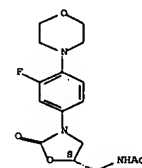
NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW  
 RW: GH, GM, KE, LS, MM, SD, SE, UG, ZM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG  
 AU 9874883 A 19981230 AU 1998-74883 19980513  
 AU 737995 B2 20010906  
 CA 2288750 A1 19981203 CA 1998-2288750 19980518  
 EP 984947 A1 20000315 EP 1998-922303 19980518  
 EP 984947 B1 20050420  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO  
 BR 981588 A 20001121 BR 1998-15518 19980518  
 HU 2000001393 A2 20010628 HU 2000-1393 19980518  
 HU 2000001393 A3 20010730  
 NZ 501412 A 20011130 NZ 1998-501412 19980518  
 JP 2002501530 T 20020115 JP 1999-500722 19980518  
 RU 2208613 C2 20030720 RU 1999-128083 19980518  
 AT 293609 T 20050515 AT 1998-922303 19980518  
 ES 2242280 T3 20051101 ES 1998-922303 19980518  
 NO 9905846 A 20000128 NO 1999-5846 19991129  
 NO 315798 B1 20031027  
 FI 9902555 A 19991130 FI 1999-2555 19991130  
 MX 9911069 A 20000430 MX 1999-11069 19991130  
 HK 1027569 A1 20040618 HK 2000-106696 20001023  
 PRAI US 1997-483422 P 19970530  
 WO 1998-US9889 W 19980518  
 OS MARPAT 130:38373  
 GI



AB Chiral title compds. AGCH2NHCSR (A is (un)substituted Ph, indolyl, G is 2-oxo-5-oxazolidinyl, R is H, NH2, alkyl, cycloalkyl, etc.) or pharmaceutical acceptable salts are prepared, from amines with Lawesson's Reagent or 1,1'-thiocarbonyldi-2(1H)-pyridone, as antibacterial agents. Title compds. I and II were tested in vitro by standard agar dilution method.  
 IT 165800-03-3  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); BIOL (Biological study); RACT (Reactant or reagent)  
 (preparation of thiocarbonyloxazolidinones as antibacterial agents)  
 RN 165800-03-3 CAPLUS  
 CN Acetamide, N-[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

(Preparation)  
 (preparation of hydroxymethyloxazolidinones as pharmaceutical intermediates)  
 RN 165800-03-3 CAPLUS  
 CN Acetamide, N-[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 157 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
 AN 1997:684393 CAPLUS [Full-text](#)  
 DN 127:358852  
 TI Process to prepare oxazolidinones  
 IN Pearlman, Bruce A.; Perrault, William R.; Barbachyn, Michael R.; Manninen, Peter R.; Toops, Dana S.; Houser, David J.; Fleck, Thomas J.  
 PA Pharmacia & Upjohn Co., USA; Pearlman, Bruce A.; Perrault, William R.; Barbachyn, Michael R.; Manninen, Peter R.; Toops, Dana S.; Houser, David J.; Fleck, Thomas J.  
 SO PCT Int. Appl., 78 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 2

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| PI WO 9737980   | A1   | 19971016 | WO 1997-US3458  | 19970328 |
| M: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, YU |      |          |                 |          |
| RW: GH, GM, KE, LS, MM, SD, SE, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG  |      |          |                 |          |
| CA 2248143 A1 19971016 CA 1997-2248143 19970328   |      |          |                 |          |
| CA 2557862 A1 19971016 CA 1997-2557862 19970328   |      |          |                 |          |
| AU 9723182 A 19971029 AU 1997-23182 19970328  |      |          |                 |          |
| AU 706117 B2 19990610   |      |          |                 |          |
| EP 892792 A1 19990127 EP 1997-915865 19970328   |      |          |                 |          |
| EP 892792 B1 20011121   |      |          |                 |          |

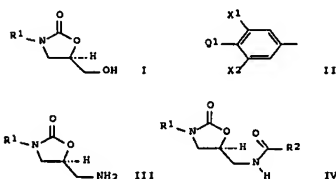
R1: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

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|----------------|----|----------|----------------|----------|
| CN 1215393     | A  | 19990428 | CN 1997-193658 | 19970328 |
| CN 1082953     | B  | 20020417 |                |          |
| NZ 332278      | A  | 20000526 | NZ 1997-332278 | 19970328 |
| JP 20000508312 | T  | 20000704 | JP 1997-536189 | 19970328 |
| EP 1114819     | A1 | 20010711 | EP 2001-302264 | 19970328 |

R1: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

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|----------------|----|----------|--------------------|----------|
| RU 2176643     | C2 | 20011210 | RU 1998-120398     | 19970328 |
| AT 209193      | T  | 20011215 | AT 1997-915865     | 19970328 |
| SG 85683       | A1 | 20020115 | SG 1999-5133       | 19970328 |
| ES 2166073     | T3 | 20020401 | ES 1997-915865     | 19970328 |
| PT 892792      | T  | 20020531 | PT 1997-915865     | 19970328 |
| CN 1496983     | A  | 20040519 | CN 2003-2003159474 | 19970328 |
| SK 284703      | B6 | 20050908 | SK 1998-1336       | 19970328 |
| HU 2005000044  | A3 | 20050928 | HU 2005-44         | 19970328 |
| PL 192691      | B1 | 20061130 | PL 1997-329295     | 19970328 |
| CZ 298060      | B6 | 20070606 | CZ 1998-2871       | 19970328 |
| TW 449593      | B  | 20010811 | TW 1997-86104216   | 19970402 |
| ZA 9702983     | A  | 19981008 | ZA 1997-2983       | 19970408 |
| IN 1997DB00923 | A  | 20050311 | IN 1997-DB923      | 19970409 |
| NO 9804737     | A  | 19981209 | NO 1998-4737       | 19981009 |
| NO 311837      | B1 | 20020204 |                    |          |
| KR 2000005358  | A  | 20000125 | KR 1998-708079     | 19981010 |
| HK 1018785     | A1 | 20021122 | HK 1999-103839     | 19990903 |
| CN 1381454     | A  | 20021127 | CN 2001-133003     | 20010913 |
| NO 2001005253  | A  | 19981209 | NO 2001-5253       | 20011026 |
| NO 312728      | B1 | 20020624 |                    |          |
| US 2002095054  | A1 | 20020718 | US 2002-47705      | 20020115 |
| US 6492555     | B2 | 20021210 |                    |          |
| IN 2007DB01454 | A  | 20070831 | IN 2007-DB1454     | 20070710 |

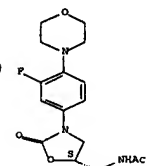
PRAI US 1996-15499P  
CA 1997-2248143  
EP 1997-915865  
WO 1997-UB3458  
IN 1997-DE923  
US 1997-64738P  
US 1998-170776  
US 2000-546357  
US 2001-927007  
OS CASREACT 127:358852; MARPAT 127:358852  
GI



AB 5-Hydroxymethyl substituted oxazolidinone alcs. I (R1 = II; X1, X2 = H, F; Q1 = 1-pyrrolyl, 1-imidazolyl, etc.) were prepared by reaction of carbamate R1NHCOOM2 (M2 = C1-20 alkyl, C3-7 cycloalkyl, CH2:CHCH2, etc.) or a trifluoroacetamide R1NHCOCF3 with a dihydroxy compound M1CH2CH(OH)CH2OH (M1 = Cl, Br, MeC6H4SO3) or glycidol. Compds. I were converted to the corresponding 5-aminomethyl substituted oxazolidinone amines III which were acylated to form com. useful antibacterial (no data) 5-acylamidomethyl substituted oxazolidinone IV.

IT 165800-03-3P  
R1: IMP (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)  
(process to prepare oxazolidinones)  
RN 165800-03-3 CAPLUS  
CN Acetamide, N-[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L8 ANSWER 158 OF 161 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 1997:324112 CAPLUS Full-text  
DN 126:293348  
TI Preparation of 5-acylamidomethyl-3-(N-oxidoheterocyclyl)phenyl-2-oxazolidinones as antibacterial prodrugs  
IN Gadwood, Robert C.; Kamdar, Bharat V.  
PA Upjohn Co., USA; Gadwood, Robert C.; Kamdar, Bharat V.  
SO PCT Int. Appl., 84 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN,CNT 1

| PATENT NO.    | KIND | DATE     | APPLICATION NO. | DATE     |
|---------------|------|----------|-----------------|----------|
| PI MO 9710223 | A1   | 19970320 | WO 1996-US14135 | 19960909 |

M: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KO, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KO, KZ, MD, RU, TJ, TM  
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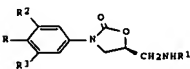
IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI

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| AU 9669640  | A  | 19970401 | AU 1996-69640  | 19960909 |
| JP 11512429 | T  | 19991026 | JP 1996-511993 | 19960909 |
| EP 1019385  | A1 | 20000719 | EP 1996-930676 | 19960909 |
| EP 1019385  | B1 | 20040114 |                |          |

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| US 6277985         | B1 | 20010821 | US 1996-709998 | 19960909 |
| AT 257829          | T  | 20040115 | AT 1996-930676 | 19960909 |
| PT 1019385         | T  | 20040630 | PT 1996-930676 | 19960909 |
| ES 2214546         | T3 | 20040916 | ES 1996-930676 | 19960909 |
| US 2001051722      | A1 | 20011213 | US 2001-894019 | 20010628 |
| US 6512112         | B2 | 20030128 |                |          |
| US 2002107402      | A1 | 20020808 | US 2001-988078 | 20010628 |
| US 6441188         | B2 | 20020827 |                |          |
| US 2002120152      | A1 | 20020829 | US 2001-988079 | 20010628 |
| US 6515135         | B2 | 20030204 |                |          |
| US 2002177707      | A1 | 20021128 | US 2001-988076 | 20010628 |
| US 6525193         | B2 | 20030225 |                |          |
| US 6518427         | B1 | 20030211 | US 2001-988077 | 20010628 |
| PRAI US 1995-3838P | P  | 19950915 |                |          |
| US 1996-709998     | A3 | 19960909 |                |          |
| WO 1996-US14135    | M  | 19960909 |                |          |
| MARPAT 126:293348  |    |          |                |          |

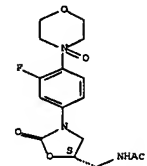
OS  
GI



AB Title compds. [I; R = N-attached-N-oxido-hetero(bi)cyclyl; R1 = CHO, Ac, CO2Me, etc.; R2, R3 = H, F, Cl] were prepared. Thus, I (R = 4-hydroxyacetyl-1-piperazinyl, R1 = Ac, R2 = F, R3 = H) was oxidized to give I (R = 4-hydroxyacetyl-1-oxido-1-piperazinyl, R1 = Ac, R2 = F, R3 = H). Data for biol. activity of I were given.

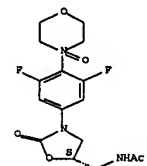
IT 189038-36-6P 189038-45-7P  
R1: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of 5-acylamidomethyl-3-(N-oxidoheterocyclyl)phenyl-2-oxazolidinones as antibacterial prodrugs)  
RN 189038-36-6 CAPLUS  
CN Acetamide, N-[(3S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



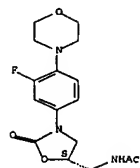
RN 189038-45-7 CAPLUS  
CN Acetamide, N-[(3S)-3-[3,5-difluoro-4-(4-oxido-4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



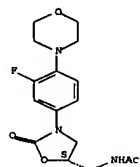
IT 165800-03-3  
R1: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of 5-acylamidomethyl-3-(N-oxidoheterocyclyl)phenyl-2-oxazolidinones as antibacterial prodrugs)  
RN 165800-03-3 CAPLUS  
CN Acetamide, N-[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L8 ANSWER 159 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
 AN 1997:100935 CAPLUS [Full-text](#)  
 DN 126:112677  
 TI Linezolid, Oxazolidinone Antibacterial  
 AU Lizondo, J.; Rabasseda, X.; Castaner, J.  
 CS Prous Science Publishers, Barcelona, 08080, Spain  
 SO Drugs of the Future (1996), 21(11), 1116-1123  
 CODEN: DRFUD4; ISSN: 0377-8282  
 PB Prous  
 DT Journal, General Review  
 LA English  
 AB A review, with 35 refs., of the preparation and pharmacol. of the oxazolidinone antibacterial linezolid.  
 IT 155800-03-3P, Linezolid  
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (linezolid, Oxazolidinone antibacterial)  
 RN 165800-03-3 CAPLUS  
 CN Acetamide, N-[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinylmethyl]- (CA INDEX NAME)

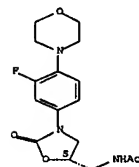
Absolute stereochemistry. Rotation (-).



RE.CNT 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 160 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
 AN 1996:58412 CAPLUS [Full-text](#)  
 DN 124:232277  
 TI Synthesis and Antibacterial Activity of U-100592 and U-100766, Two Oxazolidinone Antibacterial Agents for the Potential Treatment of Multidrug-Resistant Gram-Positive Bacterial Infections  
 AU Brickner, Steven J.; Hutchinson, Douglas K.; Barbachyn, Michael R.; Manninen, Peter R.; Ulanowicz, Debra A.; Garmon, Stuart A.; Grega, Kevin C.; Hendges, Susan K.; Toops, Dana S.; et al.  
 CS Upjohn Laboratories, Upjohn Company, Kalamazoo, MI, 49001, USA  
 SO Journal of Medicinal Chemistry (1996), 39(3), 673-9  
 CODEN: JMCMAJ; ISSN: 0022-2623  
 PB American Chemical Society  
 DT Journal  
 LA English  
 AB Bacterial resistance development has become a very serious clin. problem for many classes of antibiotics. The 3-aryl-2-oxazolidinones are a relatively new class of synthetic antibacterial agents, having a new mechanism of action which involves very early inhibition of bacterial protein synthesis. Two potent, synthetic oxazolidinones, U-100592 [i.e., (S)-N-[(3-[3-fluoro-4-(4-(hydroxyacetyl)-1-piperazinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]acetamide] and U-100766 [i.e., (S)-N-[(3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]acetamide] were prepared, which are currently in clin. development for the treatment of serious multidrug-resistant Gram-pos. bacterial infections caused by strains of staphylococci, streptococci, and enterococci. The in vitro and in vivo (po and i.v.) activities of U-100592 and U-100766 against representative strains are similar to those of vancomycin. U-100592 and U-100766 demonstrate potent in vitro activity against Mycobacterium tuberculosis. A novel and practical asym. synthesis of (5S)-(acetamidomethyl)-2-oxazolidinones was developed and was employed for the synthesis of U-100592 and U-100766. This involved the reaction of N-lithiocarbamates with (R)-glycidyl butyrate, resulting in excellent yields and high enantiomeric purity of the intermediate (R)-5-(hydroxymethyl)-2-oxazolidinones.  
 IT 165800-03-3P, U 100766  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation and bactericidal activity of U-100592 and U-100766)  
 RN 165800-03-3 CAPLUS  
 CN Acetamide, N-[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinylmethyl]- (CA INDEX NAME)

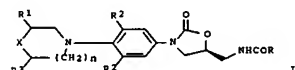
Absolute stereochemistry. Rotation (-).



L8 ANSWER 161 OF 161 CAPLUS COPYRIGHT 2007 ACS ON STN  
 AN 1995:846512 CAPLUS [Full-text](#)  
 DN 123:256742  
 TI Preparation of substituted oxazine- and thiazineoxazolidinone antibiotics  
 AU Barbachyn, Michael R.; Brickner, Steven J.; Hutchinson, Douglas K.  
 PA Upjohn Co., USA  
 SO PCT Int. Appl., 37 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 PAN.CNT 1  

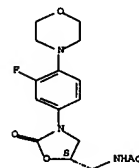
| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
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| WO 9507271  | A1   | 19950316 | WO 1994-US8904  | 19940816 |
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| RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CP, CO, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG  |      |          |                 |          |
| ZA 9405894  | A    | 19960205 | ZA 1994-5894    | 19940805 |
| CA 2168560  | A1   | 19950316 | CA 1994-2168560 | 19940816 |
| CA 2168560  | C    | 20010814 |                 |          |
| AU 9475870  | A    | 19950327 | AU 1994-75570   | 19940816 |
| AU 687866   | B2   | 19980305 |                 |          |
| EP 717738   | A1   | 19960626 | EP 1994-925765  | 19940816 |
| EP 717738   | B1   | 19991020 |                 |          |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE   |      |          |                 |          |
| CN 1130379  | A    | 19960904 | CN 1994-193313  | 19940816 |
| CN 1057087  | B    | 20001004 |                 |          |
| JP 09502436   | T    | 19970311 | JP 1994-508665  | 19940816 |
| AT 185804   | T3   | 19991115 | AT 1994-925765  | 19940816 |
| ES 2139093  | T3   | 20000201 | ES 1994-925765  | 19940816 |
| JP 3176630  | B2   | 20010618 | JP 1995-508665  | 19940816 |
| IL 110802   | A    | 20000928 | IL 1994-110802  | 19940829 |
| US 5688792  | A    | 19971118 | US 1996-617877  | 19960305 |
| US 5880118  | A    | 19990309 | US 1997-886965  | 19970702 |
| GR 3031809  | T3   | 20000229 | GR 1999-402902  | 19991110 |
| LV 12605  | B    | 20010520 | LV 2000-142     | 20001020 |
| PRAI US 1993-119279   | A    | 19930909 |                 |          |
| US 1994-226158  | A    | 19940411 |                 |          |
| WO 1994-US8904  | W    | 19940816 |                 |          |
| US 1996-617877  | A3   | 19960305 |                 |          |

OS MARPAT 123:256742  
 GI



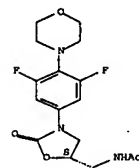
AB The title compds. (I; R = H, (un)substituted C1-8 alkyl, C3-6 cycloalkyl, (un)substituted NH2, C1-8 alkoxy; R1 = H except when X is O, then R1 = H, CH3, CN, CO2H, CO2R, etc.; R2 = H, F, Cl; R3 = H except when X is O and R1 is CH3, then R3 = CH3; X = O, S, SO, SO2, etc.; n = 0-2), useful as antibiotics against gram-pos. aerobic bacteria (e.g., multiply resistant Staphylococci, Streptococci and Enterococci), as well as anaerobic organisms (e.g., Bacteroides species and Clostridia species), and acid-fast organisms (e.g., Mycobacterium tuberculosis, Mycobacterium avium etc.), are prepared Thus, (S)-N-[(3-[3-fluoro-4-(thiomorpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]acetamide, prepared from 3,4-difluoronitrobenzene in 6 steps, demonstrated a ED50 for S. aureus (UC number 9213)-injected mice of 1.25 mg/kg, when administered p.o.  
 IT 165800-03-3P 168828-61-3P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of substituted oxazine- and thiazineoxazolidinone antibiotics)  
 RN 165800-03-3 CAPLUS  
 CN Acetamide, N-[(5S)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinylmethyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 168828-61-3 CAPLUS  
 CN Acetamide, N-[(3-[3,5-difluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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L7 1 S US2001-524478/APPS  
L8 161 S L6 NOT L7

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| CA SUBSCRIBER PRICE                        | -126.36          | -126.36       |

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DICTIONARY FILE UPDATES: 30 OCT 2007 HIGHEST RN 952091-02-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and  
predicted properties as well as tags indicating availability of  
experimental property data in the original document. For information  
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=&gt; d his

(FILE 'HOME' ENTERED AT 09:35:14 ON 01 NOV 2007)

FILE 'REGISTRY' ENTERED AT 09:35:34 ON 01 NOV 2007

L1 STRUCTURE UPLOADED  
L2 17 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 09:36:03 ON 01 NOV 2007

L3 1283 S L2  
L4 113 S L3 AND PREP/RL  
L5 68 S L3 AND (CRYST? OR POLYMORPH? OR XRD OR "X-RAY" OR "X RAY" OR  
L6 162 S L4 OR L5  
L7 1 S US2001-524478/APPS  
L8 161 S L6 NOT L7

FILE 'REGISTRY' ENTERED AT 09:37:45 ON 01 NOV 2007

FILE 'CAPLUS' ENTERED AT 09:38:01 ON 01 NOV 2007

FILE 'REGISTRY' ENTERED AT 09:39:38 ON 01 NOV 2007

=&gt; sav tem 12 brd524478/a

| => log hold          |                  |               |
|----------------------|------------------|---------------|
| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
| FULL ESTIMATED COST  | 0.45             | 1051.45       |

| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
|--|------------------|---------------|
| CA SUBSCRIBER PRICE                        | 0.00             | -126.36       |

SESSION WILL BE HELD FOR 120 MINUTES  
STN INTERNATIONAL SESSION SUSPENDED AT 09:40:00 ON 01 NOV 2007